

07/19/2005 10691770.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 28 PATDPAFULL - New display fields provide for legal status  
data from INPADOC  
NEWS 4 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 5 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 6 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 8 MAR 22 KOREAPAT now updated monthly; patent information enhanced  
NEWS 9 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
NEWS 10 MAR 22 PATDPASPC - New patent database available  
NEWS 11 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
NEWS 12 APR 04 EPFULL enhanced with additional patent information and new  
fields  
NEWS 13 APR 04 EMBASE - Database reloaded and enhanced  
NEWS 14 APR 18 New CAS Information Use Policies available online  
NEWS 15 APR 25 Patent searching, including current-awareness alerts (SDIs),  
based on application date in CA/CAPLUS and USPATFULL/USPAT2  
may be affected by a change in filing date for U.S.  
applications.  
NEWS 16 APR 28 Improved searching of U.S. Patent Classifications for  
U.S. patent records in CA/CAPLUS  
NEWS 17 MAY 23 GBFULL enhanced with patent drawing images  
NEWS 18 MAY 23 REGISTRY has been enhanced with source information from  
CHEMCATS  
NEWS 19 JUN 06 The Analysis Edition of STN Express with Discover!  
(Version 8.0 for Windows) now available  
NEWS 20 JUN 13 RUSSIAPAT: New full-text patent database on STN  
NEWS 21 JUN 13 FRFULL enhanced with patent drawing images  
NEWS 22 JUN 27 MARPAT displays enhanced with expanded G-group definitions  
and text labels  
NEWS 23 JUL 01 MEDICONF removed from STN  
NEWS 24 JUL 07 STN Patent Forums to be held in July 2005  
NEWS 25 JUL 13 SCISEARCH reloaded  
  
NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN

07/19/2005 10691770.trn

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 09:06:01 ON 19 JUL 2005

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:06:15 ON 19 JUL 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 JUL 2005 HIGHEST RN 855596-49-5

DICTIONARY FILE UPDATES: 17 JUL 2005 HIGHEST RN 855596-49-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

07/19/2005 10691770.trn

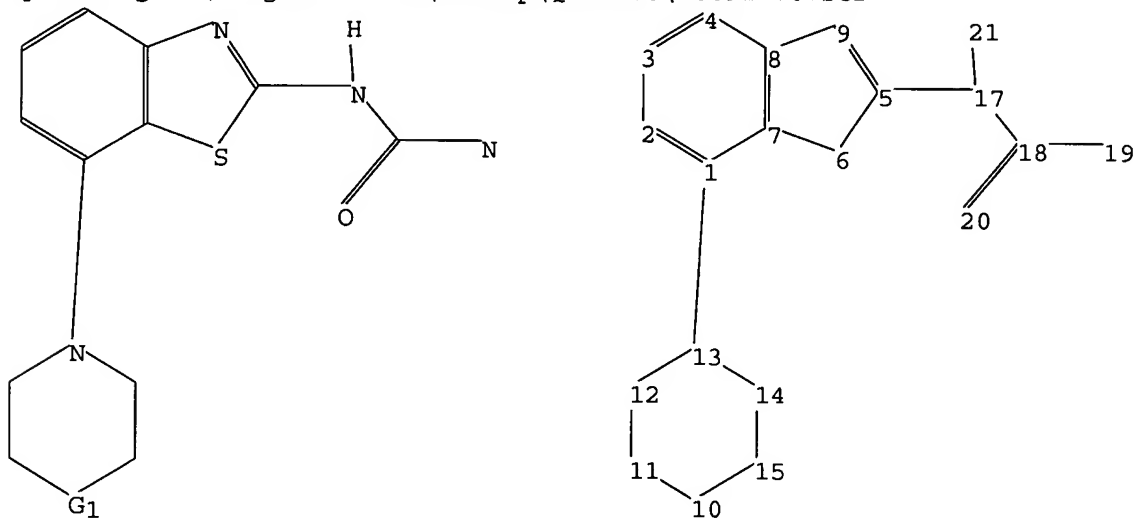
Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10691770.str



chain nodes :

17 18 19 20 21

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds :

1-13 5-17 17-18 17-21 18-19 18-20

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14 14-15

exact/norm bonds :

1-13 5-6 5-9 5-17 6-7 8-9 10-11 10-15 11-12 12-13 13-14 14-15 17-18 17-21 18-19 18-20

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8

isolated ring systems :

containing 1 : 10 :

G1:O,CH2

Match-level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS  
21:CLASS

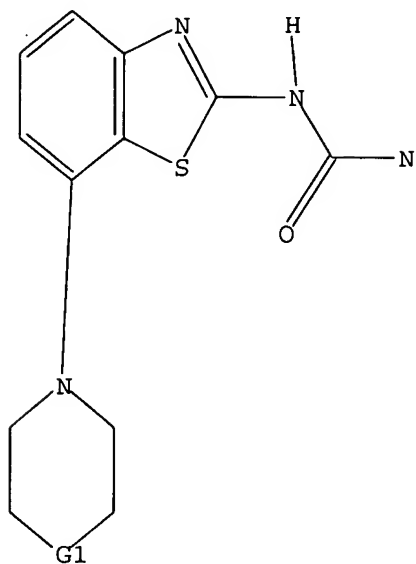
L1 STRUCTURE UPLOADED

10691770.trn

Page 3

09:12

=> d l1  
 L1 HAS NO ANSWERS  
 L1 STR



G1 O,CH2

Structure attributes must be viewed using STN Express query preparation.

=> s l1  
 SAMPLE SEARCH INITIATED 09:06:29 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 2 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 4 TO 200  
 PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 sss full  
 FULL SEARCH INITIATED 09:06:36 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 119 TO ITERATE

100.0% PROCESSED 119 ITERATIONS 37 ANSWERS  
 SEARCH TIME: 00.00.01

L3 37 SEA SSS FUL L1

=> FIL CAPLUS  
 COST IN U.S. DOLLARS  
 FULL ESTIMATED COST  
 SINCE FILE ENTRY 161.33  
 TOTAL SESSION 161.54



07/19/2005 10691770.trn

FILE 'CAPLUS' ENTERED AT 09:06:43 ON 19 JUL 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Jul 2005 VOL 143 ISS 4  
FILE LAST UPDATED: 18 Jul 2005 (20050718/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 3 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1036709 CAPLUS

DOCUMENT NUMBER: 142:23294

TITLE: Preparation of 7-morpholinobenzothiazol-2-ylureas for treatment of diseases related to the adenosine A2A receptor.

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): Swiss

SOURCE: U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242576	A1	20041202	US 2004-854059	20040526
WO 2004105755	A1	20041209	WO 2004-EP5474	20040521
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,			

SN, TD, TG

PRIORITY APPLN. INFO.:

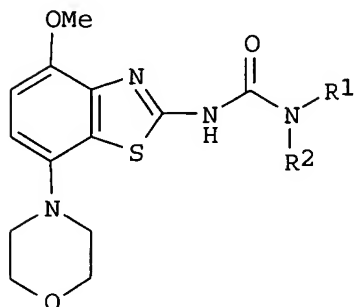
EP 2003-12118

A 20030530

OTHER SOURCE(S):

MARPAT 142:23294

GI



I

AB Title compds. (I; R1 = cyclopentyl, trifluoromethylcyclopentyl, alkylcyclopentyl, cyclohexyl, alkylcyclohexyl, trifluoromethylcyclohexyl, alkoxyalkyl, bicycloheptyl, adamantyl, etc.; R2 = alkyl; R1R2N = oxaazabicyclooctyl), were prepared. Thus, reaction of 4-methoxy-7-morpholinobenzothiazol-2-ylamine with Ph chloroformate and then with (-)-(exo)-methyl-(7-oxabicyclo[2.2.1]hept-2-yl)amine gave (exo)-(+)-3-(4-methoxy-7-morpholinylbenzothiazol-2-yl)-1-methyl-1-(7-oxabicyclo[2.2.1]hept-2-yl)urea. The latter bound to adenosine A2A receptors with pKi = 8.5.

IT 800386-24-7P 800386-25-8P 800386-26-9P

800386-27-0P 800386-28-1P 800386-29-2P

800386-30-5P 800386-31-6P 800386-32-7P

800386-33-8P 800386-34-9P 800386-35-0P

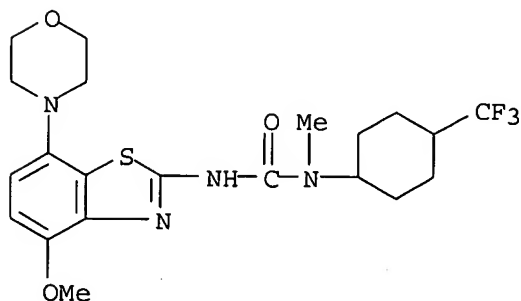
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of morpholinobenzothiazolylureas for treatment

of diseases related to the adenosine A2A receptor)

RN 800386-24-7 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-[4-(trifluoromethyl)cyclohexyl]- (9CI) (CA INDEX NAME)

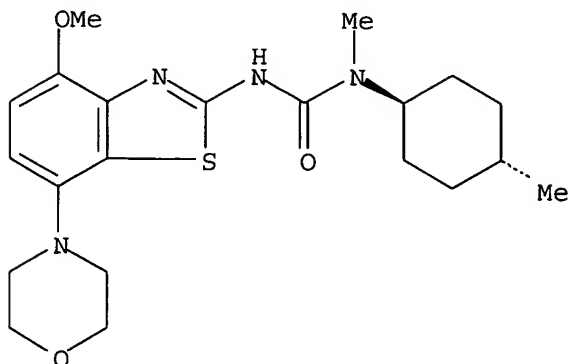


07/19/2005 10691770.trn

RN 800386-25-8 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(trans-4-methylcyclohexyl)- (9CI) (CA INDEX NAME)

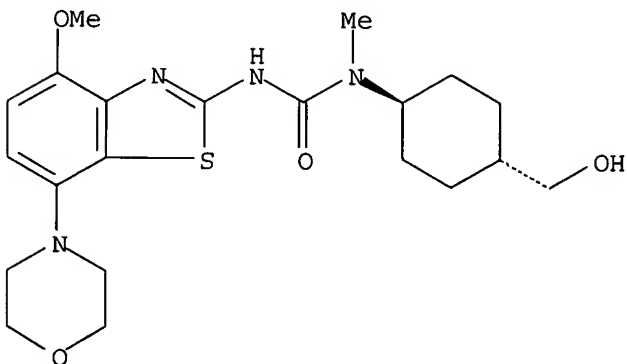
Relative stereochemistry.



RN 800386-26-9 CAPLUS

CN Urea, N-[trans-4-(hydroxymethyl)cyclohexyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



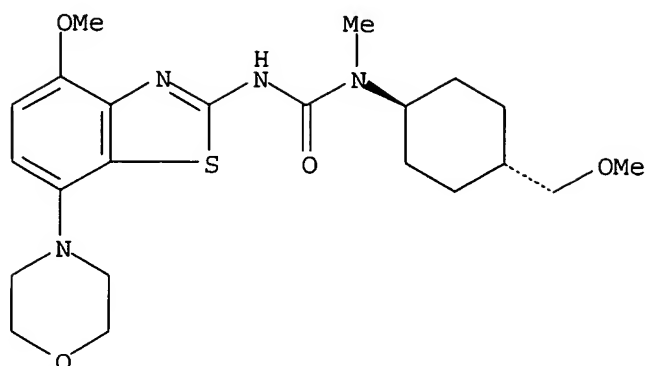
RN 800386-27-0 CAPLUS

CN Urea, N-[trans-4-(methoxymethyl)cyclohexyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

07/19/2005

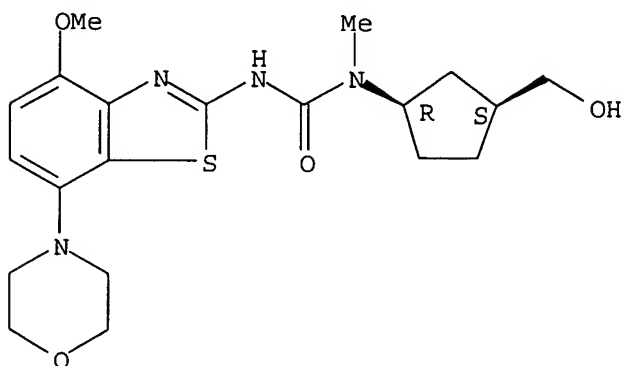
10691770.trn



RN 800386-28-1 CAPLUS

CN Urea, N-[(1R,3S)-3-(hydroxymethyl)cyclopentyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

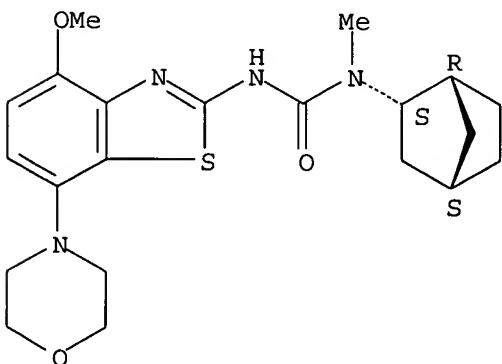
Relative stereochemistry.



RN 800386-29-2 CAPLUS

CN Urea, N-(1R,2S,4S)-bicyclo[2.2.1]hept-2-yl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 800386-30-5 CAPLUS

10691770.trn

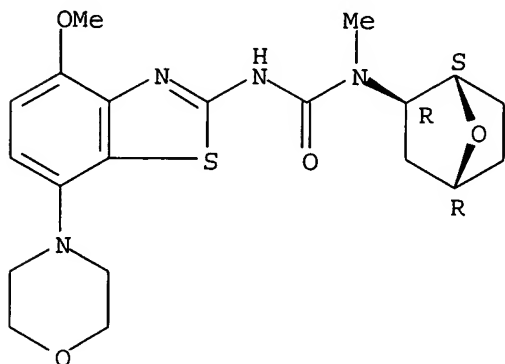
Page 8

09:12

10691770.trn

Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(1R,2S,4S)-7-oxabicyclo[2.2.1]hept-2-yl-, rel-(+)-(9CI) (CA INDEX NAME)

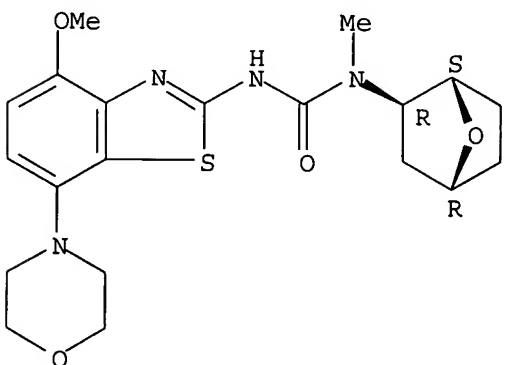
Rotation (+). Absolute stereochemistry unknown.



RN 800386-31-6 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(1R,2S,4S)-7-oxabicyclo[2.2.1]hept-2-yl-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



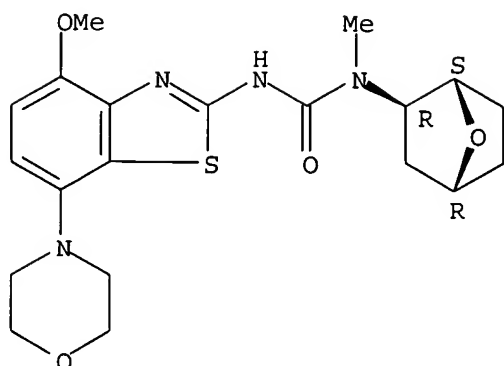
RN 800386-32-7 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(1R,2S,4S)-7-oxabicyclo[2.2.1]hept-2-yl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

07/19/2005

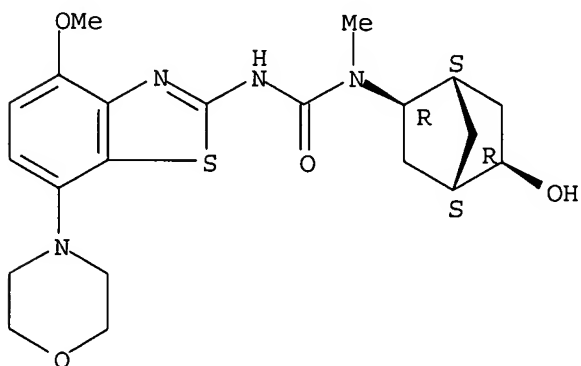
10691770.trn



RN 800386-33-8 CAPLUS

CN Urea, N-[(1R,2S,4R,5S)-5-hydroxybicyclo[2.2.1]hept-2-yl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

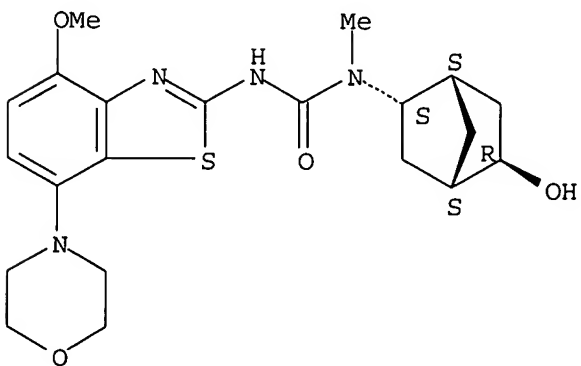
Relative stereochemistry.



RN 800386-34-9 CAPLUS

CN Urea, N-[(1R,2R,4R,5S)-5-hydroxybicyclo[2.2.1]hept-2-yl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 800386-35-0 CAPLUS

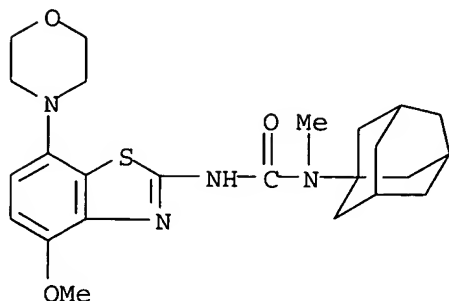
10691770.trn

Page 10

09:12

07/19/2005 10691770.trn

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-tricyclo[3.3.1.3,7]dec-1-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:472390 CAPLUS

DOCUMENT NUMBER: 139:53026

TITLE: Preparation of ureidobenzothiazoles as adenosine receptor ligands.

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

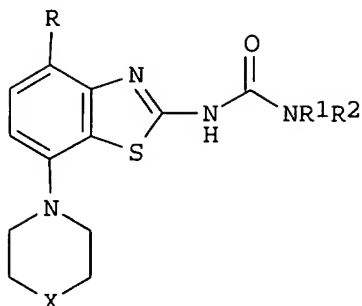
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049741	A1	20030819	WO 2002-EP13761	20021205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003149836	A1	20030807	US 2002-308338	20021203
US 6727247	B2	20040427		
CA 2469596	AA	20030619	CA 2002-2469596	20021205
BR 2002014825	A	20040914	BR 2002-14825	20021205
EP 1455792	A1	20040915	EP 2002-804578	20021205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516006	T2	20050602	JP 2003-550790	20021205
US 2004229893	A1	20041118	US 2003-691770	20031023
PRIORITY APPLN. INFO.:			EP 2001-129228	A 20011210
			US 2002-308338	A3 20021203
			WO 2002-EP13761	W 20021205

OTHER SOURCE(S): MARPAT 139:53026

GI



AB Title compds. [I; R = alkoxy, halo; R1, R2 = H, alkyl, cycloalkyl, tetrahydropyran-4-yl; R1R2N = (substituted) 2-oxa-5-azabicyclo[2.2.1]heptyl, 3-endo-hydroxy-8-azabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.2]octyl, 1-oxo-2,8-diazaspiro[4.5]decyl, 3-azaspiro[5.5]undecyl, 8-azaspiro[4.5]decyl, 1-oxa-8-azaspiro[4.5]decyl, 1,8,8-trimethyl-3-azabicyclo[3.2.1]octyl, 1,4-oxazepanyl, 2-oxa-5-azabicyclo[2.2.2]octyl, 8-oxa-3-azabicyclo[3.2.1]octyl, 1,4-diazabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.1]heptyl, 3-azabicyclo[3.2.1]octyl, piperazinyl, piperidin-1-yl; X = O, CH2; n = 0-4], were prepared Thus, 4-methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine in CH2Cl2 was treated with pyridine and Ph chloroformate and the resulting solution stirred for 45 min at ambient temperature; (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane was added and the mixture stirred at ambient temperature

for 15 min and at 40° for 2.5 h. to give (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane-5-carboxylic acid (4-methoxy-7-morpholin-4-ylbenzothiazol-2-yl)amide. This bound to human A2a receptors with pKi = 8.5.

IT 546093-27-0P 546093-30-5P 546093-31-6P  
546093-32-7P 546093-33-8P 546093-35-0P  
546093-37-2P 546093-39-4P 546093-40-7P  
546093-41-8P 546093-42-9P 546093-52-1P  
546093-54-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ureidobenzothiazoles as adenosine receptor ligands)

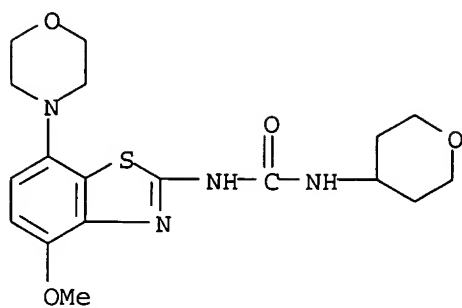
RN 546093-27-0 CAPLUS

CN Urea, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



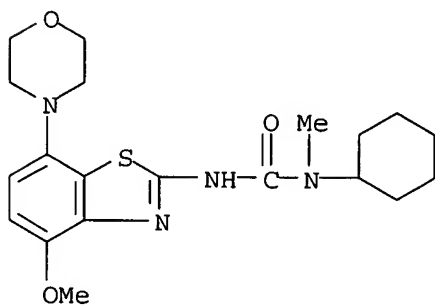
07/19/2005

10691770.trn



RN 546093-30-5 CAPLUS

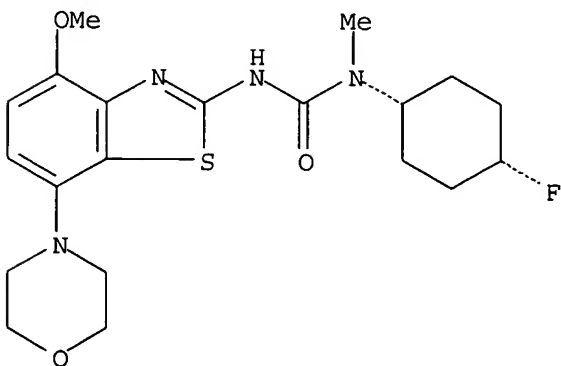
CN Urea, N-cyclohexyl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 546093-31-6 CAPLUS

CN Urea, N-(cis-4-fluorocyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



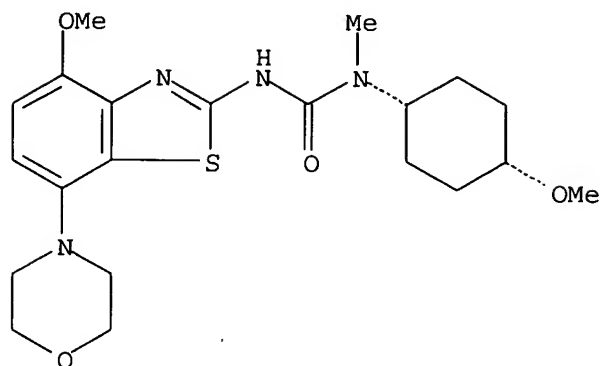
RN 546093-32-7 CAPLUS

CN Urea, N-(cis-4-methoxycyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

07/19/2005

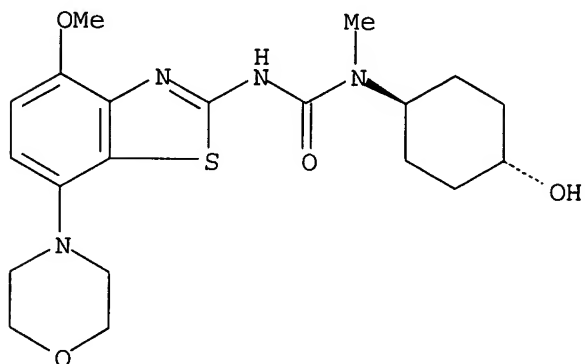
10691770.trn



RN 546093-33-8 CAPLUS

CN Urea, N-(trans-4-hydroxycyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

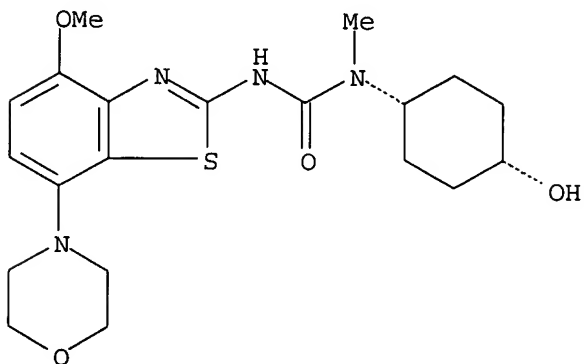
Relative stereochemistry.



RN 546093-35-0 CAPLUS

CN Urea, N-(cis-4-hydroxycyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



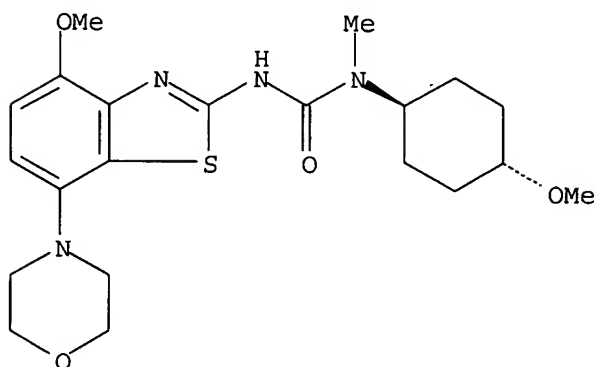
RN 546093-37-2 CAPLUS

CN Urea, N-(trans-4-methoxycyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-

07/19/2005 10691770.trn

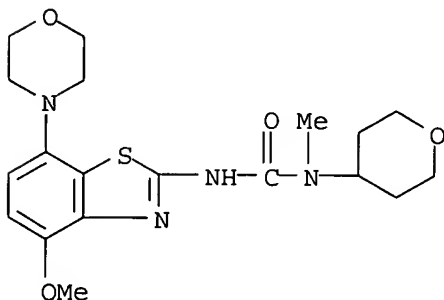
benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



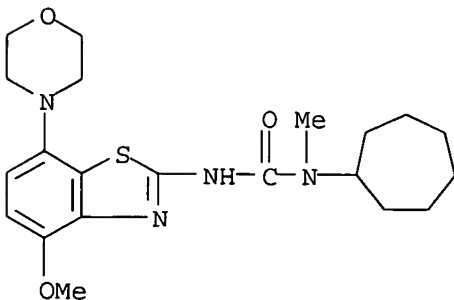
RN 546093-39-4 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



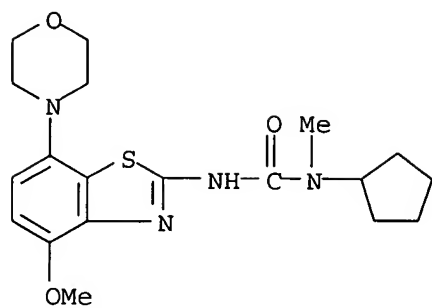
RN 546093-40-7 CAPLUS

CN Urea, N-cycloheptyl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



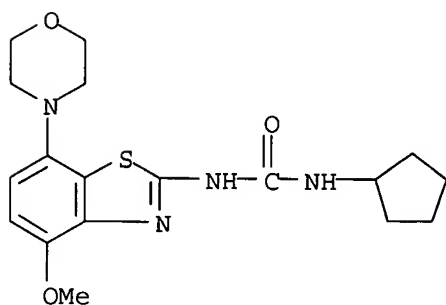
RN 546093-41-8 CAPLUS

CN Urea, N-cyclopentyl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



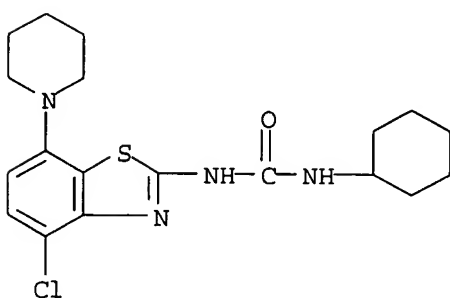
RN 546093-42-9 CAPLUS

CN Urea, N-cyclopentyl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-  
(9CI) (CA INDEX NAME)



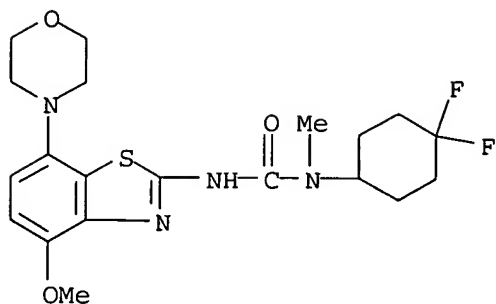
RN 546093-52-1 CAPLUS

CN Urea, N-[4-chloro-7-(1-piperidinyl)-2-benzothiazolyl]-N'-cyclohexyl- (9CI)  
(CA INDEX NAME)



RN 546093-54-3 CAPLUS

CN Urea, N-(4,4-difluorocyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:935384 CAPLUS

DOCUMENT NUMBER: 136:69803

TITLE: Preparation of N-benzothiazol-2-yl amides having affinity toward the A2A adenosine receptor

INVENTOR(S): Alanine, Alexander; Flohr, Alexander; Miller, Aubry Kern; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 160 pp

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

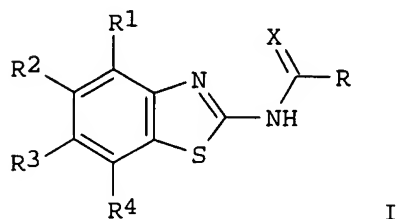
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097786	A2	20011227	WO 2001-EP6506	20010608
WO 2001097786	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2413086	AA	20011227	CA 2001-2413086	20010608
EP 1303272	A2	20030423	EP 2001-960284	20010608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012395	A	20030708	BR 2001-12395	20010608
JP 2003535887	T2	20031202	JP 2002-503263	20010608
RU 2251419	C2	20050510	RU 2003-100518	20010608
NZ 522928	A	20050527	NZ 2001-522928	20010608
US 2002045615	A1	20020418	US 2001-881252	20010614
<del>US 6521754</del>	B2	20030218		
ZA 2002009730	A	20040301	ZA 2002-9730	20021129
US 2003125318	A1	20030703	US 2002-310508	20021205
US 6835732	B2	20041228		
NO 2002005978	A	20021212	NO 2002-5978	20021212

US 2003176695	A1	20030918	US 2002-322272	20021218
US 2005026906	A1	20050203	US 2004-930361	20040830
PRIORITY APPLN. INFO.:			EP 2000-113219	A 20000621
			WO 2001-EP6506	W 20010608
			US 2001-881252	A3 20010614
			US 2002-322272	A3 20021218

OTHER SOURCE(S): MARPAT 136:69803  
GI

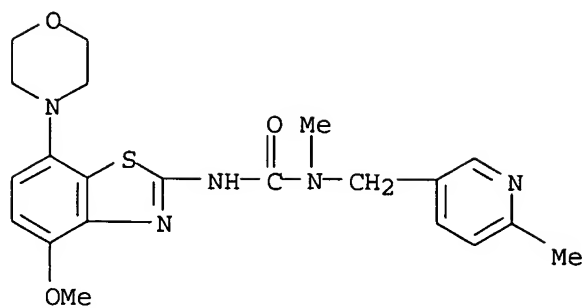


AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; R2, R3 = H, halo, alkyl, alkoxy; R4 = H, alkyl, alkenyl, etc.; R = (un)substituted Ph, (CH2)<sub>n</sub>(5-6 membered (non)aromatic heterocyclyl, (CH2)<sub>n+1</sub>Ph, etc.; n = 0-4; X = O, S, H2)], useful for the treatment of diseases related to the adenosine receptor, were prepared Thus, reacting 2-amino-4-methoxy-7-phenylbenzothiazole with benzoyl chloride in pyridine afforded 69% I [R1 = OMe; R2, R3 = H; R4 = Ph; R = Ph; X = O]. Biol. data for compds. I were given.

IT **383866-33-9P**, 3-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-1-methyl-1-((6-methylpyridin-3-yl)methyl)urea **383868-85-7P**, N-(2-Methoxyethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea **383869-17-8P**, N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-(4-methoxyphenyl)-N-methylurea **383869-23-6P**, N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methyl-N-phenylurea **383869-78-1P**, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea **383869-86-1P**, N-Benzyl-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea **383869-88-3P**, N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methyl-N-phenethylurea **383870-02-8P**, N-Benzyl-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea **383870-05-1P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N'-phenethylurea **383870-07-3P**, N-(2-Methoxyethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea **383870-09-5P**, N-(2-Dimethylaminoethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea **383870-11-9P**, N-(2-Dimethylaminoethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

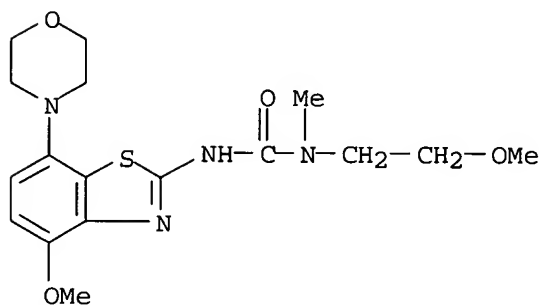
RN 383866-33-9 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-[(6-methyl-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



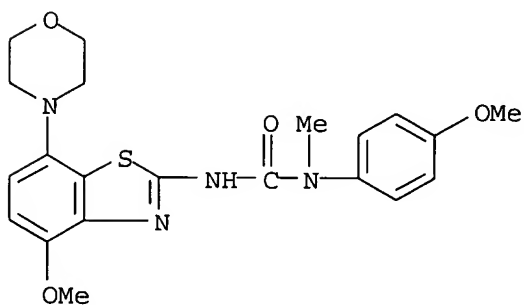
RN 383868-85-7 CAPLUS

CN Urea, N-(2-methoxyethyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 383869-17-8 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

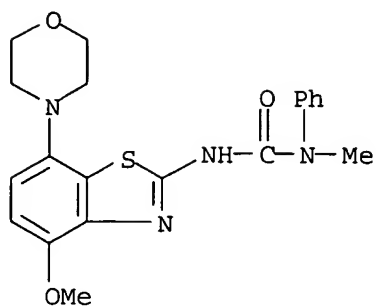


RN 383869-23-6 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)

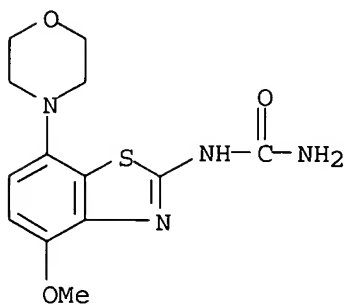
07/19/2005

10691770.trn



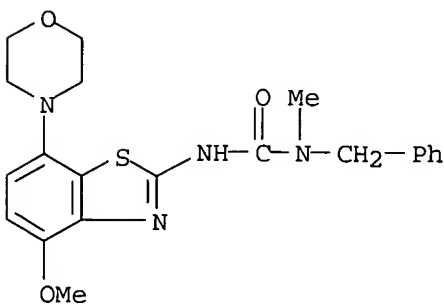
RN 383869-78-1 CAPLUS

CN Urea, [4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383869-86-1 CAPLUS

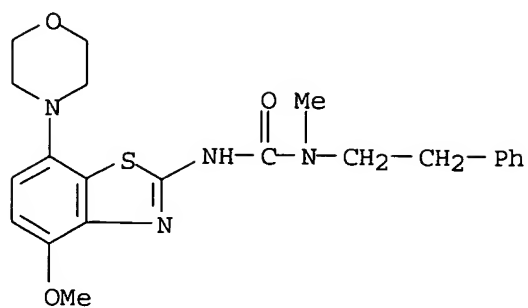
CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 383869-88-3 CAPLUS

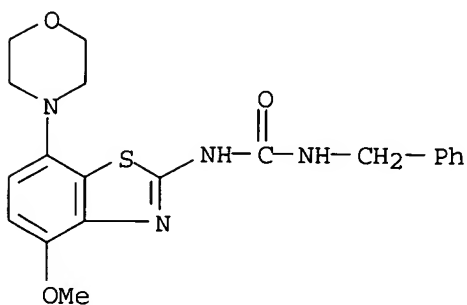
CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)





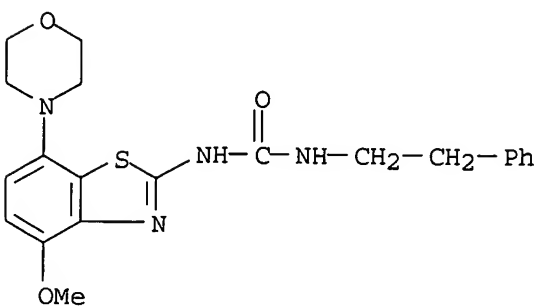
RN 383870-02-8 CAPLUS

CN Urea, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N'-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



RN 383870-05-1 CAPLUS

CN Urea, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N'-(2-phenylethyl)-  
(9CI) (CA INDEX NAME)

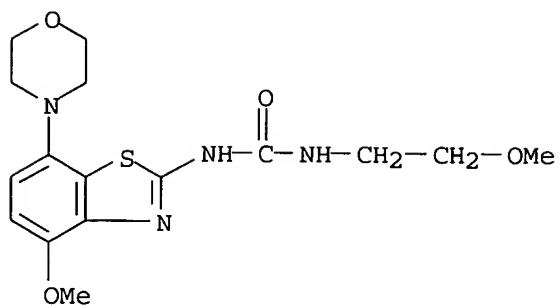


RN 383870-07-3 CAPLUS

CN Urea, N-(2-methoxyethyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-  
(9CI) (CA INDEX NAME)

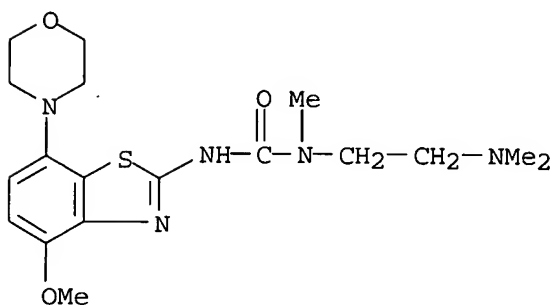
07/19/2005

10691770.trn



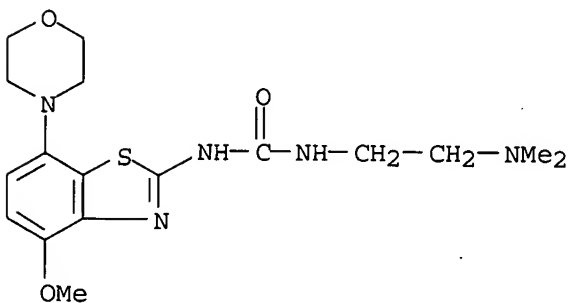
RN 383870-09-5 CAPLUS

CN Urea, N-[2-(dimethylamino)ethyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 383870-11-9 CAPLUS

CN Urea, N-[2-(dimethylamino)ethyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
16.62	178.16

SINCE FILE	TOTAL
ENTRY	SESSION

07/19/2005 10691770.trn

CA SUBSCRIBER PRICE

-2.19

-2.19

FILE 'REGISTRY' ENTERED AT 09:08:56 ON 19 JUL 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 17 JUL 2005 HIGHEST RN 855596-49-5  
DICTIONARY FILE UPDATES: 17 JUL 2005 HIGHEST RN 855596-49-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

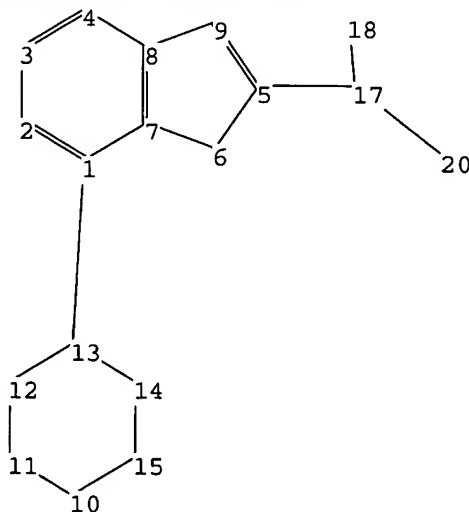
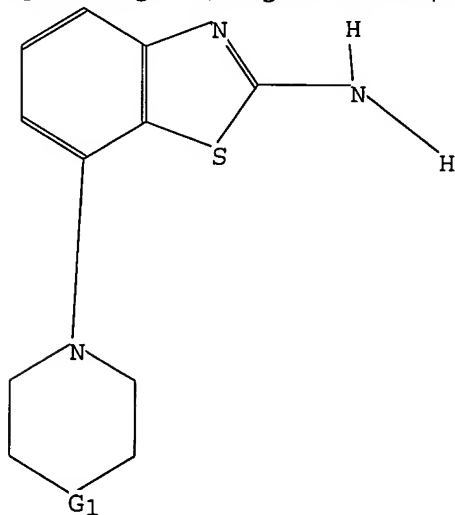
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10691770astr.str



07/19/2005 10691770.trn

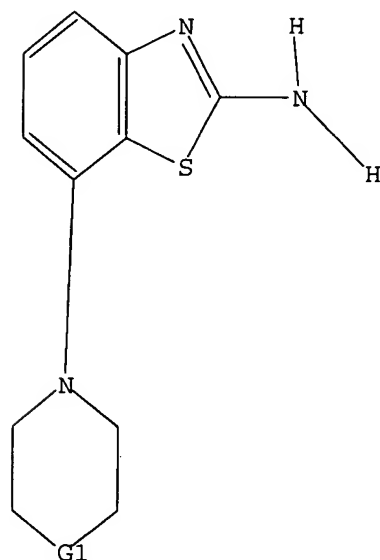
chain nodes :  
17 18 20  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15  
chain bonds :  
1-13 5-17 17-18 17-20  
ring bonds :  
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14  
14-15  
exact/norm bonds :  
1-13 5-6 5-9 5-17 6-7 8-9 10-11 10-15 11-12 12-13 13-14 14-15 17-18  
17-20  
normalized bonds :  
1-2 1-7 2-3 3-4 4-8 7-8  
isolated ring systems :  
containing 1 : 10 :

G1:O,CH2

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS 20:CLASS

L5 STRUCTURE UPLOADED

=> d 15  
L5 HAS NO ANSWERS  
L5 STR



G1 O,CH2

Structure attributes must be viewed using STN Express query preparation.

07/19/2005 10691770.trn

=> s 15

SAMPLE SEARCH INITIATED 09:09:19 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 119 TO 641  
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 sss full

FULL SEARCH INITIATED 09:09:28 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 474 TO ITERATE

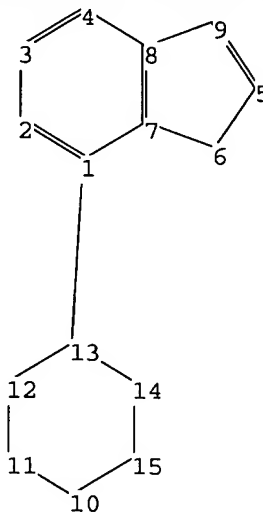
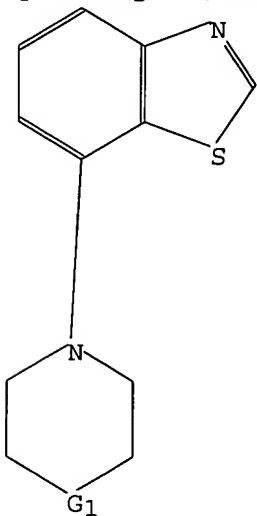
100.0% PROCESSED 474 ITERATIONS  
SEARCH TIME: 00.00.01

4 ANSWERS

L7 4 SEA SSS FUL L5

=>

Uploading C:\Program Files\Stnexp\Queries\10691770bstr.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds :

1-13

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14  
14-15

exact/norm bonds :

1-13 5-6 5-9 6-7 8-9 10-11 10-15 11-12 12-13 13-14 14-15

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8

isolated ring systems :

containing 1 : 10 :

07/19/2005 10691770.trn

G1:O,CH2

Match level :

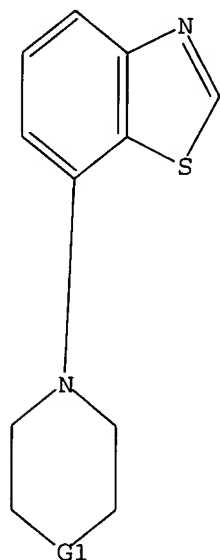
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom

L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

L8 STR



G1 O,CH2

Structure attributes must be viewed using STN Express query preparation.

=> s 18

SAMPLE SEARCH INITIATED 09:10:33 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 74 TO ITERATE

100.0% PROCESSED 74 ITERATIONS

SEARCH TIME: 00.00.05

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 964 TO 1996

PROJECTED ANSWERS: 186 TO 772

L9 24 SEA SSS SAM L8

=> s 18 sss full

10691770.trn

Page 26

09:12

24 ANSWERS

07/19/2005 10691770.trn

FULL SEARCH INITIATED 09:10:47 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1389 TO ITERATE

100.0% PROCESSED 1389 ITERATIONS  
SEARCH TIME: 00.00.01

483 ANSWERS

L10 483 SEA SSS FUL L8

=> d his

(FILE 'HOME' ENTERED AT 09:06:01 ON 19 JUL 2005)

FILE 'REGISTRY' ENTERED AT 09:06:15 ON 19 JUL 2005

L1 STRUCTURE UPLOADED  
L2 2 S L1  
L3 37 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:06:43 ON 19 JUL 2005

~~L4 3 S L3~~

FILE 'REGISTRY' ENTERED AT 09:08:56 ON 19 JUL 2005

L5 STRUCTURE UPLOADED  
L6 0 S L5  
~~L7 4 S L5 SSS FULL~~  
~~L8 STRUCTURE UPLOADED~~  
~~L9 24 S L8~~  
~~L10 483 S L8 SSS FULL~~

=> s 17

SAMPLE SEARCH INITIATED 09:11:10 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 119 TO 641  
PROJECTED ANSWERS: 0 TO 0

L11 0 SEA SSS SAM L5

=> ~~FIL CAPLUS~~

~~COST IN U.S. DOLLARS~~

SINCE FILE	TOTAL
ENTRY	SESSION
323.52	501.68

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-2.19

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 09:11:18 ON 19 JUL 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available

for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Jul 2005 VOL 143 ISS 4  
FILE LAST UPDATED: 18 Jul 2005 (20050718/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

L12 s 17 6 L7  
=> s l10  
L13 16 L10  
=> s l13 and thu  
142 THU  
2249064 THUS  
2249191 THU  
(THU OR THUS)  
L14 8 L13 AND THU  
=> d his

(FILE 'HOME' ENTERED AT 09:06:01 ON 19 JUL 2005)

FILE 'REGISTRY' ENTERED AT 09:06:15 ON 19 JUL 2005

L1 STRUCTURE UPLOADED  
L2 2 S L1  
L3 37 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:06:43 ON 19 JUL 2005

L4 3 S L3

FILE 'REGISTRY' ENTERED AT 09:08:56 ON 19 JUL 2005

L5 STRUCTURE UPLOADED  
L6 0 S L5  
L7 4 S L5 SSS FULL  
L8 STRUCTURE UPLOADED  
L9 24 S L8  
L10 483 S L8 SSS FULL  
L11 0 S L7

FILE 'CAPLUS' ENTERED AT 09:11:18 ON 19 JUL 2005

L12 6 S L7  
L13 16 S L10  
L14 8 S L13 AND THU

=> d l12 ibib abs hitstr tot

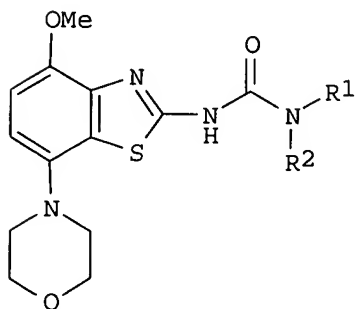
L12 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:1036709 CAPLUS



DOCUMENT NUMBER: 142:23294  
 TITLE: Preparation of 7-morpholinobenzothiazol-2-ylureas for treatment of diseases related to the adenosine A2A receptor.  
 INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus  
 PATENT ASSIGNEE(S): Switz.  
 SOURCE: U.S. Pat. Appl. Publ., 14 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242576	A1	20041202	US 2004-854059	20040526
WO 2004105755	A1	<del>20041209</del>	WO 2004-EP5474	20040521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-12118 A 20030530  
 OTHER SOURCE(S): MARPAT 142:23294  
 GI



AB Title compds. (I; R1 = cyclopentyl, trifluoromethylcyclopentyl, alkylcyclopentyl, cyclohexyl, alkylcyclohexyl, trifluoromethylcyclohexyl, alkoxyalkyl, bicycloheptyl, adamantyl, etc.; R2 = alkyl; R1R2N = oxaazabicyclooctyl), were prepared. Thus, reaction of 4-methoxy-7-morpholinobenzothiazol-2-ylamine with Ph chloroformate and then with (-)-(exo)-methyl-(7-oxabicyclo[2.2.1]hept-2-yl)amine gave (exo)-(+)-3-(4-methoxy-7-morpholinylbenzothiazol-2-yl)-1-methyl-1-(7-oxabicyclo[2.2.1]hept-2-yl)urea. The latter bound to adenosine A2A receptors with pKi = 8.5.

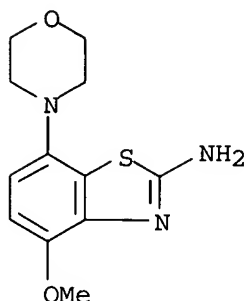
IT 383865-57-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of morpholinobenzothiazolylureas for treatment of diseases related to the adenosine A2A receptor)

RN 383865-57-4 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1019774 CAPLUS

DOCUMENT NUMBER: 142:6545

TITLE: Preparation of benzothiazoles as A2a receptor ligands for the treatment of Alzheimer's disease

INVENTOR(S): Flohr, Alexander; Jakob-roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): Switz.

SOURCE: U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

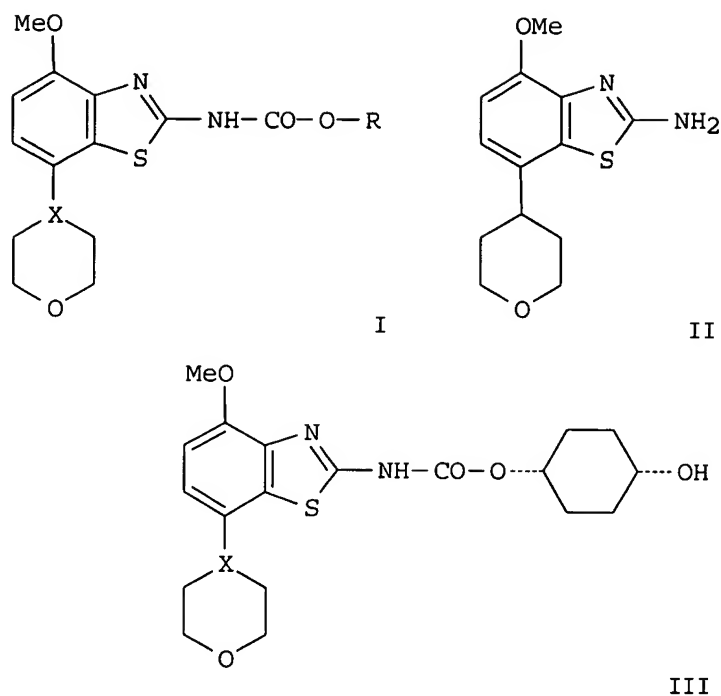
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004235842	A1	<del>20041125</del>	US 2004-848436	20040518
WO 2004103367	A1	<del>20041202</del>	WO 2004-EP5179	20040514
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:  
GI

EP 2003-11090

A 20030521



AB Title compds. I [R = cyclopentyl, cyclohexyl, Et, etc.; X = CH, N] and their pharmaceutically acceptable salts and formulations were prepared For example, sequential condensation of amine II, e.g., prepared from 4-bromo-2-nitroanisole in 6-steps, Ph chloroformate and (trans)-cyclohexane-1,4-diol afforded carbamic acid III in 7% yield. The pKi of 13-examples of compds. I ranged from 7.6-8.7, with the most preferred compds. having a pKi >8.0. Of note, compds. I possess a high affinity towards the A2a receptor (no data provided). Compds. I are claimed useful for the treatment of Alzheimer's disease, depression, Parkinson's disease and ADHD.

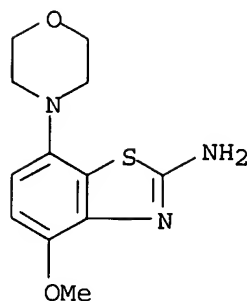
IT **383865-57-4**, 4-Methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzothiazoles as A2a receptor ligands for the treatment of Alzheimer's disease)

RN 383865-57-4 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:569886 CAPLUS

DOCUMENT NUMBER: 141:123657

TITLE: Cyclization process for substituted benzothiazole derivatives

INVENTOR(S): Spurr, Paul

PATENT ASSIGNEE(S): Switz.

SOURCE: U.S. Pat. Appl. Publ., 13 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138465	A1	<del>20040713</del>	US 2003-743613	20031222
WO 2004060879	A2	20040722	WO 2003-EP14928	20031229
WO 2004060879	A3	20041118		

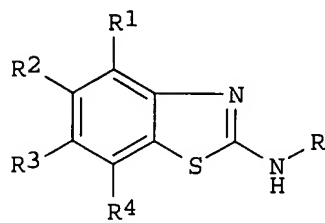
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

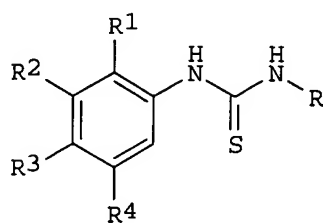
PRIORITY APPLN. INFO.: EP 2003-48 A 20030107

OTHER SOURCE(S): CASREACT 141:123657; MARPAT 141:123657

GI



I



II

AB The present invention relates to a process for preparation of amino substituted benzothiazole derivs. of formula (I) [wherein R1, R2, R3 = H, lower alkyl, lower alkoxy, halogen; R4 = H, lower alkyl, lower alkyloxy, halogen, five or six membered non aromatic heterocyclyl group unsubstituted or substituted by lower alkyl or an oxo-group, NR5R6 (wherein R5, R5 = H, lower alkyl, -C(O)-lower alkyl, -(CH2)nO-lower alkyl or benzyl, optionally substituted by lower alkyl, or NR5R6 is an five or six membered heteroaryl group); R1 and R2 or R2 and R3 may form together with the corresponding carbon atoms a ring containing -OCH2O- or -CH:CH-CH:CH-; R = H or -C(O)R' (wherein R' = a five or six membered non aromatic heterocyclyl group, five or six membered heteroaryl group or is aryl, which rings may be substituted by the groups selected from lower alkyl, halogen-lower alkyl, lower alkoxy, cyano, nitro, CHO, CO2H or by pyrrolidin-1-ylmethyl; n = 1-4)] or a

pharmaceutically acceptable salt thereof, wherein the cyclization is carried out by the treatment of a N-phenylthiourea or N-phenyl-N'-acylthiourea derivs. of formula (II; R-R4 = same as above) with sulfoxide/HBr/solvent to give the desired products of formula I [R = H, C(O)R']. Thus, to a suspension of 15.0 g (43.7 mmol) N-[3-(3-benzoylthioureido)-4-methoxyphenyl]acetamide in 200 mL glacial acetic acid was added 7.65 mL (43.6 mmol) a 5.7 M solution of HBr in acetic acid, and the mixture was heated at 90° for 1 h. DMSO (2.5 mL, 48.0 mmol) was then added and the mixture was heated at 90° for 1.5 h, cooled to room temperature, and poured onto 1000 mL distilled water, stirred for 15 min, and

then

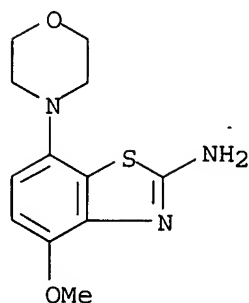
filtered, followed by washing the filter cake with water and then drying in vacuo at 50° to give 12.8 g (86%) N-(7-acetylamino-4-methoxybenzothiazol-2-yl)benzamide as a light brown solid.

IT **383865-57-4P**, [4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]amine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted benzothiazole derivs. by cyclization of N-phenylthiourea or N-phenyl-N'-acylthiourea derivs.)

RN 383865-57-4 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:472390 CAPLUS

DOCUMENT NUMBER: 139:53026

TITLE: Preparation of ureidobenzothiazoles as adenosine receptor ligands.

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

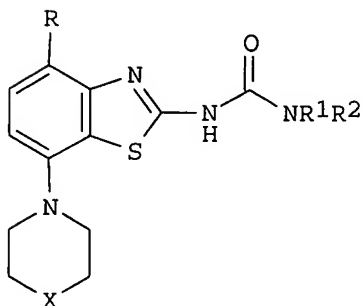
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049741	A1	<del>20030619</del>	WO 2002-EP13761	20021205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				

PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, UZ, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2003149036 A1 20030807 US 2002-308338 20021203  
 US 6727247 B2 20040427  
 CA 2469596 AA 20030619 CA 2002-2469596 20021205  
 BR 2002014825 A 20040914 BR 2002-14825 20021205  
 EP 1455792 A1 20040915 EP 2002-804578 20021205  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 JP 2005516006 T2 20050602 JP 2003-550790 20021205  
 US 2004229893 A1 20041118 US 2003-691770 20031023  
 PRIORITY APPLN. INFO.: EP 2001-129228 A 20011210  
 US 2002-308338 A3 20021203  
 WO 2002-EP13761 W 20021205  
 OTHER SOURCE(S): MARPAT 139:53026  
 GI



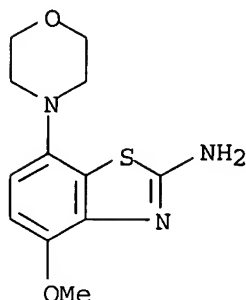
- AB Title compds. [I; R = alkoxy, halo; R1, R2 = H, alkyl, cycloalkyl, tetrahydropyran-4-yl; R1R2N = (substituted) 2-oxa-5-azabicyclo[2.2.1]heptyl, 3-endo-hydroxy-8-azabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.2]octyl, 1-oxo-2,8-diazaspiro[4.5]decyl, 3-azaspiro[5.5]undecyl, 8-azaspiro[4.5]decyl, 1-oxa-8-azaspiro[4.5]decyl, 1,8,8-trimethyl-3-azabicyclo[3.2.1]octyl, 1,4-oxazepanyl, 2-oxa-5-azabicyclo[2.2.2]octyl, 8-oxa-3-azabicyclo[3.2.1]octyl, 1,4-diazabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.1]heptyl, 3-azabicyclo[3.2.1]octyl, piperazinyl, piperidin-1-yl; X = O, CH2; n = 0-4], were prepared Thus, 4-methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine in CH<sub>2</sub>Cl<sub>2</sub> was treated with pyridine and Ph chloroformate and the resulting solution stirred for 45 min at ambient temperature; (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane was added and the mixture stirred at ambient temperature for 15 min and at 40° for 2.5 h. to give (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane-5-carboxylic acid (4-methoxy-7-morpholin-4-ylbenzothiazol-2-yl)amide. This bound to human A2a receptors with pK<sub>i</sub> = 8.5.
- IT 383865-57-4, 4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-ylamine  
 383869-46-3, 4-Methoxy-7-piperidin-1-ylbenzothiazol-2-ylamine  
 546093-47-4, 4-Chloro-7-(piperidin-1-yl)benzothiazol-2-ylamine

07/19/2005 10691770.trn

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of ureidobenzothiazoles as adenosine receptor ligands)

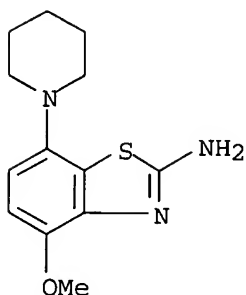
RN 383865-57-4 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



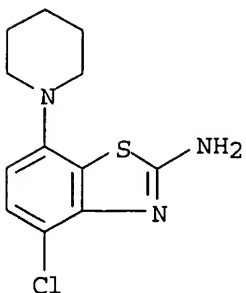
RN 383869-46-3 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 546093-47-4 CAPLUS

CN 2-Benzothiazolamine, 4-chloro-7-(1-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:417626 CAPLUS

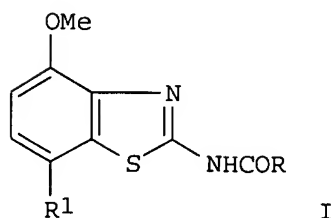
DOCUMENT NUMBER: 139:6865

TITLE: Nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands

07/19/2005 10691770.trn

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross,  
~~Roger David~~; Riemer, Claus  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
SOURCE: PCT Int. Appl., 77 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043636	A1	20030530	WO 2002-EP12562	20021111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003134854	A1	20030717	US 2002-288100	20021105
US 6620811	B2	20030916		
CA 2467552	AA	20030530	CA 2002-2467552	20021111
EP 1448198	A1	20040825	EP 2002-787632	20021111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014245	A	20041214	BR 2002-14245	20021111
PRIORITY APPLN. INFO.:			EP 2001-127312	A 20011119
			WO 2002-EP12562	W 20021111
OTHER SOURCE(S):	MARPAT 139:6865			
GI				



AB Title compds. I [R = 2-substituted 4-pyridyl, 4-substituted 3-pyridyl; R1 = Ph, piperidin-1-yl, morpholinyl] were prepared for use as adenosine A2A receptor ligands. Thus, 4-methoxy-7-morpholinobenzothiazole-2-amine was acylated with 2-chloroisonicotinoyl chloride and treated with HOCH2CH2OMe to give I [R = 2-(2-methoxyethoxy)pyridin-4-yl, R1 = morpholino] which had a pKi for the human A2A receptor of 8.50.

IT 383865-57-4 383869-46-3

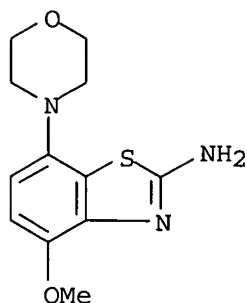
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)

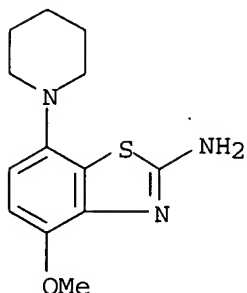


07/19/2005 10691770.trn

RN 383865-57-4 CAPLUS  
CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 383869-46-3 CAPLUS  
CN 2-Benzothiazolamine, 4-methoxy-7-(1-piperidiny)- (9CI) (CA INDEX NAME)



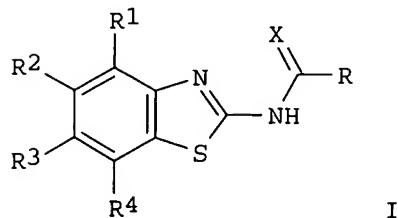
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2001:935384 CAPLUS  
DOCUMENT NUMBER: 136:69803  
TITLE: Preparation of N-benzothiazol-2-yl amides having affinity toward the A2A adenosine receptor  
INVENTOR(S): Alanine, Alexander; Flohr, Alexander; Miller, Aubry Kern; Norcross, Roger David; Riemer, Claus  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.G., Switz.  
SOURCE: PCT Int. Appl., 160 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097786	A2	20011227	WO 2001-EP6506	20010608
WO 2001097786	A3	20021212		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,  
 VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2413086 AA 20011227 CA 2001-2413086 20010608  
 EP 1303272 A2 20030423 EP 2001-960284 20010608  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 BR 2001012395 A 20030708 BR 2001-12395 20010608  
 JP 2003535887 T2 20031202 JP 2002-503263 20010608  
 RU 2251419 C2 20050510 RU 2003-100518 20010608  
 NZ 522928 A 20050527 NZ 2001-522928 20010608  
 US 2002045615 A1 20020418 US 2001-881252 20010614  
~~US 6521754~~ B2 20030218  
 ZA 2002009730 A 20040301 ZA 2002-9730 20021129  
 US 2003125318 A1 20030703 US 2002-310508 20021205  
~~US 6835732~~ B2 20041228  
 NO 2002005978 A 20021212 NO 2002-5978 20021212  
 US 2003176695 A1 20030918 US 2002-322272 20021218  
 US 2005026906 A1 20050203 US 2004-930361 20040830  
 PRIORITY APPLN. INFO.: EP 2000-113219 A 20000621  
 WO 2001-EP6506 W 20010608  
 US 2001-881252 A3 20010614  
 US 2002-322272 A3 20021218  
 OTHER SOURCE(S): MARPAT 136:69803  
 GI

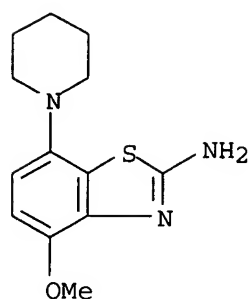


AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; R2, R3 = H, halo, alkyl, alkoxy; R4 = H, alkyl, alkenyl, etc.; R = (un)substituted Ph, (CH2)<sub>n</sub>(5-6 membered (non)aromatic heterocyclyl, (CH2)<sub>n+1</sub>Ph, etc.; n = 0-4; X = O, S, H2)], useful for the treatment of diseases related to the adenosine receptor, were prepared Thus, reacting 2-amino-4-methoxy-7-phenylbenzothiazole with benzoyl chloride in pyridine afforded 69% I [R1 = OMe; R2, R3 = H; R4 = Ph; R = Ph; X = O]. Biol. data for compds. I were given.

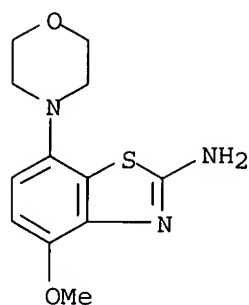
IT **383869-46-3**, 4-Methoxy-7-piperidin-1-yl-benzothiazol-2-ylamine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

RN **383869-46-3** CAPLUS

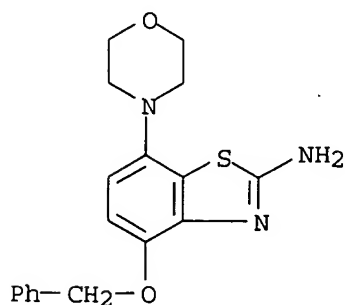
CN 2-Benzothiazolamine, 4-methoxy-7-(1-piperidinyl)- (9CI) (CA INDEX NAME)



IT 383865-57-4P, 2-Amino-4-methoxy-7-(morpholin-4-yl)benzothiazole  
 383868-20-0P, 4-Benzoyloxy-7-(morpholin-4-yl)benzothiazol-2-ylamine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of N-benzothiazolyl amides having affinity toward A2A adenosine  
 receptor)  
 RN 383865-57-4 CAPLUS  
 CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 383868-20-0 CAPLUS  
 CN 2-Benzothiazolamine, 7-(4-morpholinyl)-4-(phenylmethoxy)- (9CI) (CA INDEX  
 NAME)



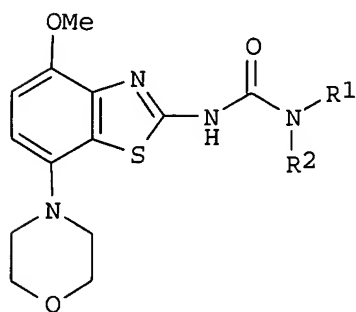
=> d l14 ibib abs hitstr tot

L14 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1036709 CAPLUS  
 DOCUMENT NUMBER: 142:23294  
 TITLE: Preparation of 7-morpholinobenzothiazol-2-ylureas for treatment of diseases related to the adenosine A2A receptor.  
 INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus  
 PATENT ASSIGNEE(S): Switz.  
 SOURCE: U.S. Pat. Appl. Publ., 14 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242576	A1	20041202	US 2004-854059	20040526
WO 2004105755	A1	20041209	WO 2004-EP5474	20040521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-12118 A 20030530  
 OTHER SOURCE(S): MARPAT 142:23294  
 GI



I

AB Title compds. (I; R1 = cyclopentyl, trifluoromethylcyclopentyl, alkylcyclopentyl, cyclohexyl, alkylcyclohexyl, trifluoromethylcyclohexyl, alkoxyalkyl, bicycloheptyl, adamantyl, etc.; R2 = alkyl; R1R2N = oxazabicyclooctyl), were prepared **Thus**, reaction of 4-methoxy-7-morpholinobenzothiazol-2-ylamine with Ph chloroformate and then with (-)-(exo)-methyl-(7-oxabicyclo[2.2.1]hept-2-yl)amine gave (exo)-(+)-3-(4-methoxy-7-morpholinylbenzothiazol-2-yl)-1-methyl-1-(7-oxabicyclo[2.2.1]hept-2-yl)urea. The latter bound to adenosine A2A

receptors with  $pK_i = 8.5$ .

IT 800386-24-7P 800386-25-8P 800386-26-9P  
800386-27-0P 800386-28-1P 800386-29-2P  
800386-30-5P 800386-31-6P 800386-32-7P  
800386-33-8P 800386-34-9P 800386-35-0P  
800386-36-1P

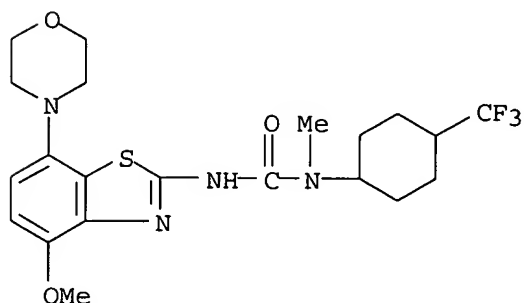
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of morpholinobenzothiazolylureas for treatment

of diseases related to the adenosine A2A receptor)

RN 800386-24-7 CAPLUS

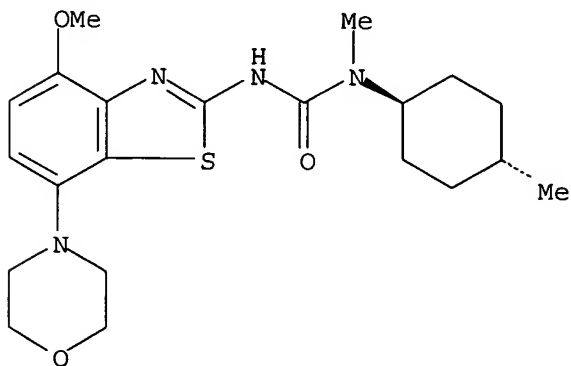
CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-[4-(trifluoromethyl)cyclohexyl]- (9CI) (CA INDEX NAME)



RN 800386-25-8 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(trans-4-methylcyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



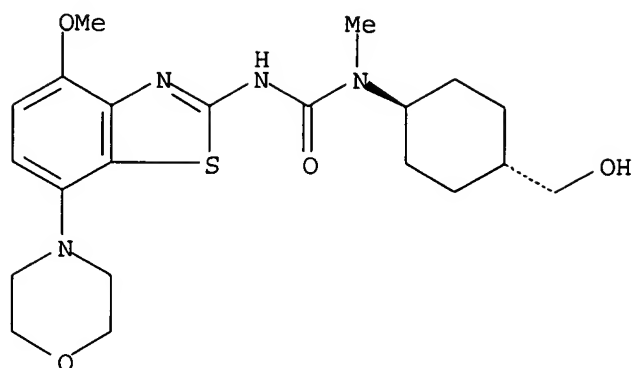
RN 800386-26-9 CAPLUS

CN Urea, N-[trans-4-(hydroxymethyl)cyclohexyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

07/19/2005

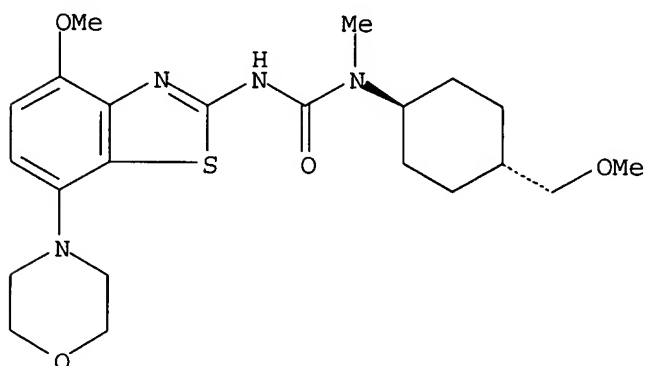
10691770.trn



RN 800386-27-0 CAPLUS

CN Urea, N-[trans-4-(methoxymethyl)cyclohexyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

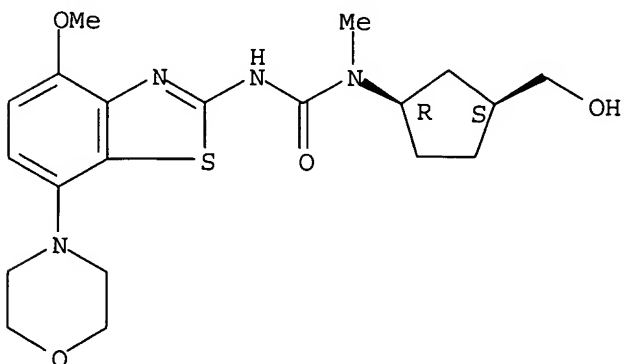
Relative stereochemistry.



RN 800386-28-1 CAPLUS

CN Urea, N-[(1R,3S)-3-(hydroxymethyl)cyclopentyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 800386-29-2 CAPLUS

10691770.trn

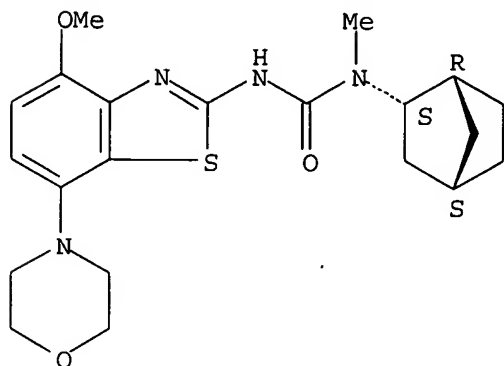
Page 42

09:12

07/19/2005 10691770.trn

CN Urea, N-(1R,2S,4S)-bicyclo[2.2.1]hept-2-yl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

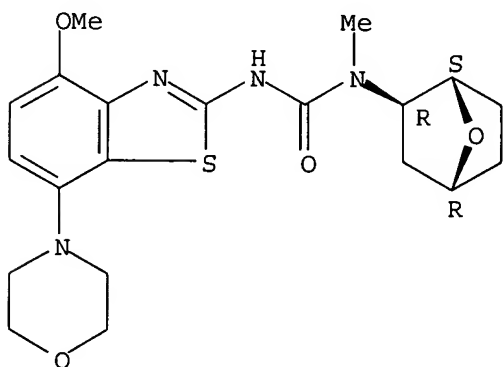
Relative stereochemistry.



RN 800386-30-5 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(1R,2S,4S)-7-oxabicyclo[2.2.1]hept-2-yl-, rel-(+)- (9CI) (CA INDEX NAME)

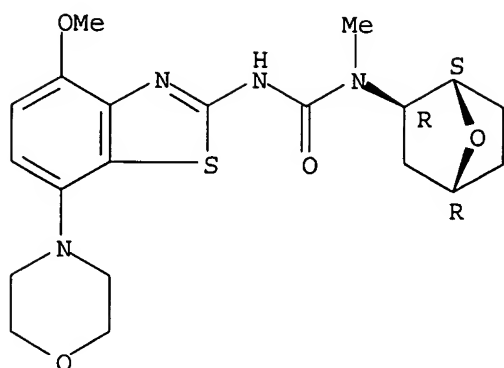
Rotation (+). Absolute stereochemistry unknown.



RN 800386-31-6 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(1R,2S,4S)-7-oxabicyclo[2.2.1]hept-2-yl-, rel-(-)- (9CI) (CA INDEX NAME)

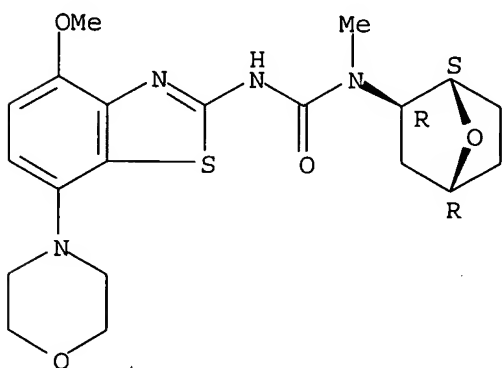
Rotation (-). Absolute stereochemistry unknown.



RN 800386-32-7 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(1R,2S,4S)-7-oxabicyclo[2.2.1]hept-2-yl-, rel- (9CI) (CA INDEX NAME)

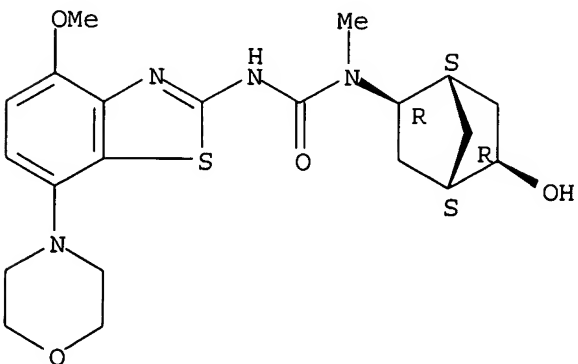
Relative stereochemistry.



RN 800386-33-8 CAPLUS

CN, Urea, N-[(1R,2S,4R,5S)-5-hydroxybicyclo[2.2.1]hept-2-yl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



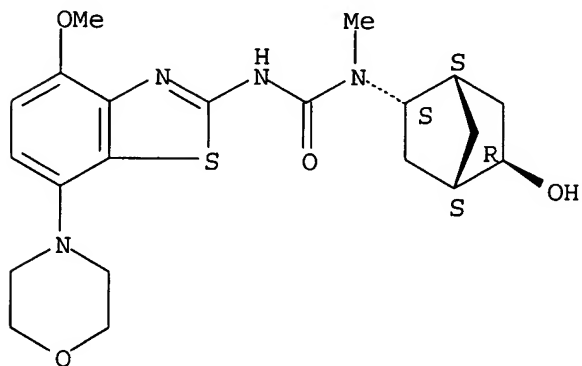
RN 800386-34-9 CAPLUS



07/19/2005 10691770.trn

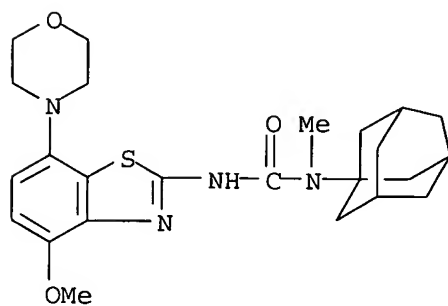
CN Urea, N-[(1R,2R,4R,5S)-5-hydroxybicyclo[2.2.1]hept-2-yl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 800386-35-0 CAPLUS

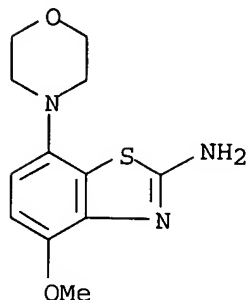
CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-yl- (9CI) (CA INDEX NAME)



related to the adenosine A2A receptor)

RN 383865-57-4 CAPLUS

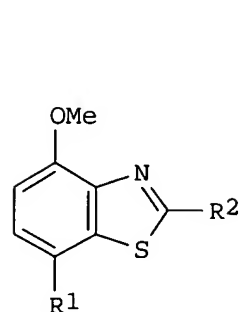
CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



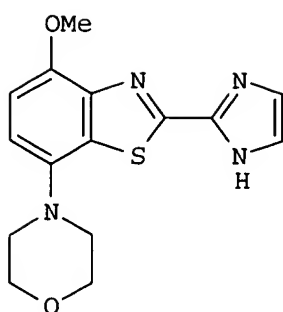
L14 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:995771 CAPLUS  
 DOCUMENT NUMBER: 141:424179  
 TITLE: Imidazolyl benzothiazoles as adenosine receptor  
 ligands, processes for their preparations,  
 pharmaceutical formulations and uses thereof  
 INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross,  
 Roger David; Riemer, Claus  
 PATENT ASSIGNEE(S): Switz.  
 SOURCE: U.S. Pat. Appl. Publ., 37 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004229862	A1	20041118	US 2004-843241	20040511
WO 2004101558	A1	20041125	WO 2004-EP4843	20040506
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-9842 A 20030513  
 OTHER SOURCE(S): MARPAT 141:424179  
 GI



I



II

AB Title compds. I [wherein R<sup>1</sup> = Ph or N/O-heterocycle; R<sup>2</sup> = (un)annulated imidazole, or pharmaceutically acceptable salts thereof] were prepared as adenosine receptor ligands. Also disclosed are the processes for the preps. of I, pharmaceutical formulations comprising I, and use of I for the treatment of Alzheimer's disease, depression, Parkinson's disease and ADHD. Thus, coupling of imidazole-2-carboxylic acid with 2-methoxy-5-(morpholin-4-yl)phenylamine (9%), followed by treatment with Lawesson reagent (59%), and subsequent cyclization in the presence of potassium hexacyanoferrate (47%) gave compound II. I were measured to have a good affinity to human adenosine A<sub>2A</sub> receptor and human adenosine A<sub>1</sub> receptor with pK<sub>i</sub> of 7.0-9.3 and 5.1-57, resp.

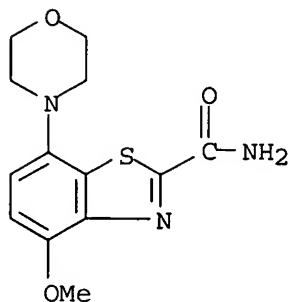
IT **538327-31-0P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxamide **538327-38-7P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid **538327-75-2P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid (2-oxo-2-phenylethyl)amide **538328-14-2P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid [2-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-2-oxoethyl]amide **538328-15-3P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid [2-(3-methylbenzo[b]thiophen-2-yl)-2-oxoethyl]amide **538328-19-7P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid [2-oxo-2-(thiophen-2-yl)ethyl]amide **538328-20-0P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid [2-oxo-2-(thiophen-3-yl)ethyl]amide **796061-94-4P**, 1-[3-Methoxymethyl-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3H-imidazo[4,5-b]pyridin-5-yl]piperidin-4-ol **796061-96-6P**, [3-Methoxymethyl-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3H-imidazo[4,5-b]pyridin-5-yl]methyl[(tetrahydropyran-4-yl)methyl]amine **796062-00-5P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid [2-(benzo[b]thiophen-3-yl)-2-oxoethyl]amide **796062-08-3P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid [2-oxo-3-(thiophen-2-yl)propyl]amide **796062-10-7P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carboxylic acid (2-oxocyclohexyl)amide **796062-12-9P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carbonitrile **796062-13-0P**, 4-Methoxy-7-(morpholin-4-yl)benzothiazole-2-carbothioic acid amide **796062-18-5P**, 4-Hydroxy-5-[[[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]carbonyl]amino]azepane-1-carboxylic acid tert-butyl ester **796062-19-6P**, 4-[[[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]carbonyl]amino]-5-oxoazepane-1-carboxylic acid tert-butyl ester **796062-32-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

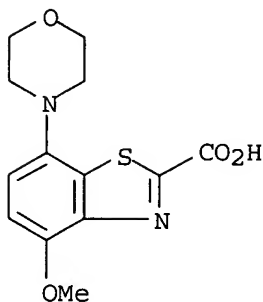
(intermediate; preparation of imidazolyl benzothiazoles as adenosine receptor ligands)

07/19/2005 10691770.trn

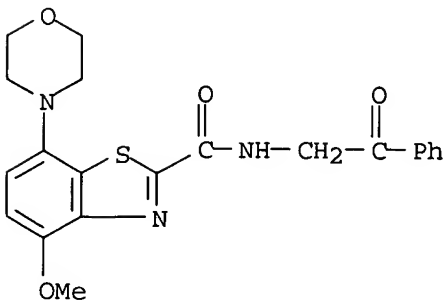
RN 538327-31-0 CAPLUS  
CN 2-Benzothiazolecarboxamide, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 538327-38-7 CAPLUS  
CN 2-Benzothiazolecarboxylic acid, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



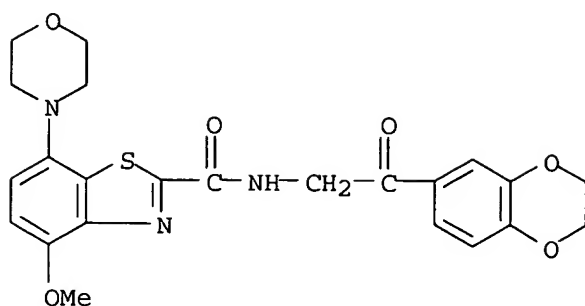
RN 538327-75-2 CAPLUS  
CN 2-Benzothiazolecarboxamide, 4-methoxy-7-(4-morpholinyl)-N-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 538328-14-2 CAPLUS  
CN 2-Benzothiazolecarboxamide, N-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-oxoethyl]-4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)

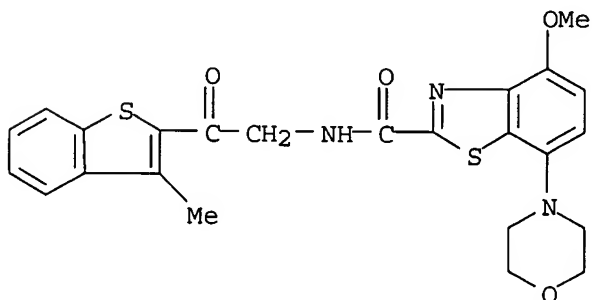
07/19/2005

10691770.trn



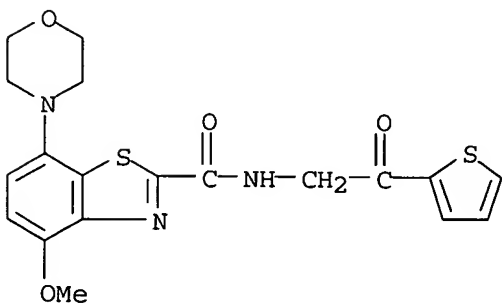
RN 538328-15-3 CAPLUS

CN 2-Benzothiazolecarboxamide, 4-methoxy-N-[2-(3-methylbenzo[b]thien-2-yl)-2-oxoethyl]-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



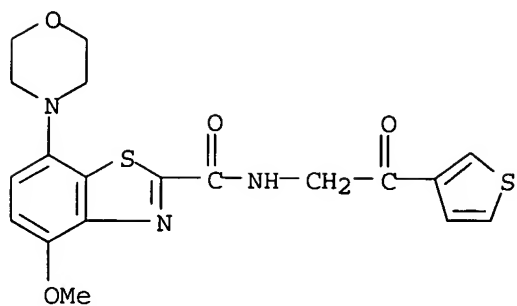
RN 538328-19-7 CAPLUS

CN 2-Benzothiazolecarboxamide, 4-methoxy-7-(4-morpholinyl)-N-[2-oxo-2-(3-thienyl)ethyl]- (9CI) (CA INDEX NAME)



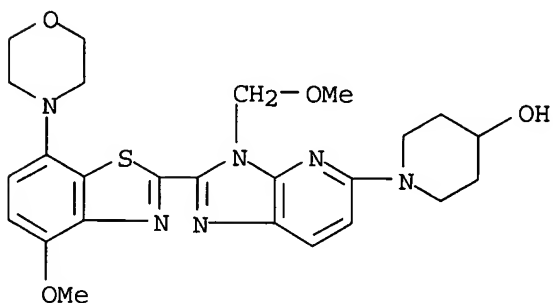
RN 538328-20-0 CAPLUS

CN 2-Benzothiazolecarboxamide, 4-methoxy-7-(4-morpholinyl)-N-[2-oxo-2-(3-thienyl)ethyl]- (9CI) (CA INDEX NAME)



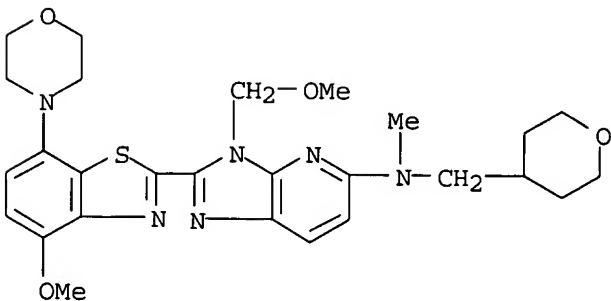
RN 796061-94-4 CAPLUS

CN 4-Piperidinol, 1-[3-(methoxymethyl)-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-3H-imidazo[4,5-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)



RN 796061-96-6 CAPLUS

CN 3H-Imidazo[4,5-b]pyridin-5-amine, 3-(methoxymethyl)-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-[(tetrahydro-2H-pyran-4-yl)methyl]- (9CI) (CA INDEX NAME)

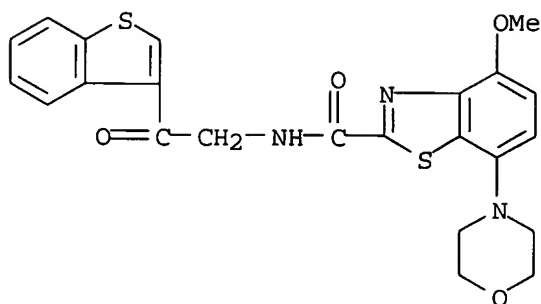


RN 796062-00-5 CAPLUS

CN 2-Benzothiazolecarboxamide, N-(2-benzo[b]thien-3-yl-2-oxoethyl)-4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)

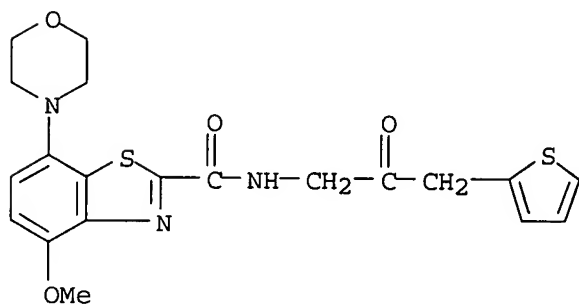
07/19/2005

10691770.trn



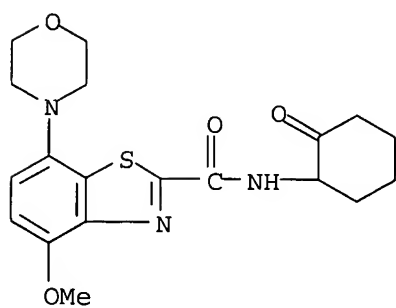
RN 796062-08-3 CAPLUS

CN 2-Benzothiazolecarboxamide, 4-methoxy-7-(4-morpholinyl)-N-[2-oxo-3-(2-thienyl)propyl]- (9CI) (CA INDEX NAME)



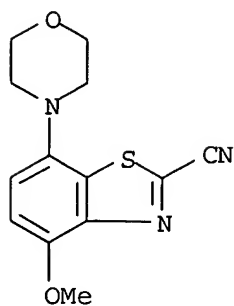
RN 796062-10-7 CAPLUS

CN 2-Benzothiazolecarboxamide, 4-methoxy-7-(4-morpholinyl)-N-(2-oxocyclohexyl)- (9CI) (CA INDEX NAME)



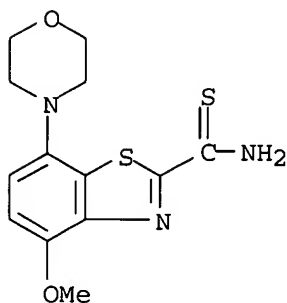
RN 796062-12-9 CAPLUS

CN 2-Benzothiazolecarbonitrile, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



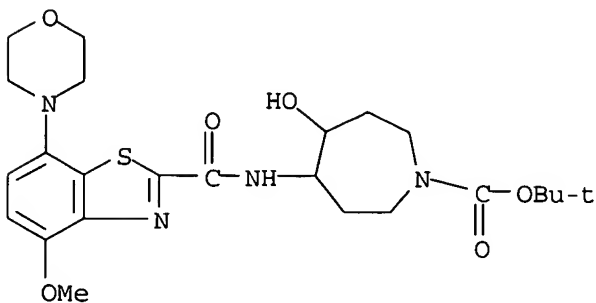
RN 796062-13-0 CAPLUS

CN 2-Benzothiazolecarbothioamide, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 796062-18-5 CAPLUS

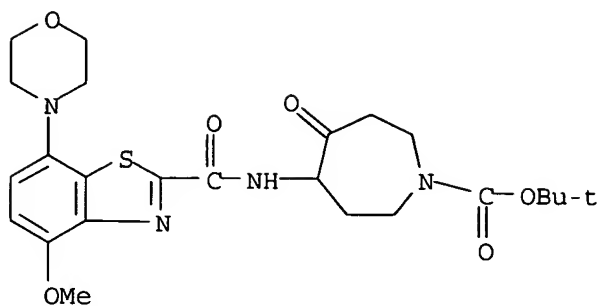
CN 1H-Azepine-1-carboxylic acid, hexahydro-4-hydroxy-5-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]carbonyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 796062-19-6 CAPLUS

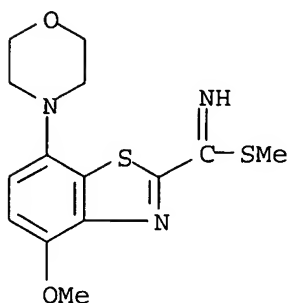
CN 1H-Azepine-1-carboxylic acid, hexahydro-4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





RN 796062-32-3 CAPLUS

CN 2-Benzothiazolecarboximidothioic acid, 4-methoxy-7-(4-morpholinyl)-, methyl ester, monohydriodide (9CI) (CA INDEX NAME)



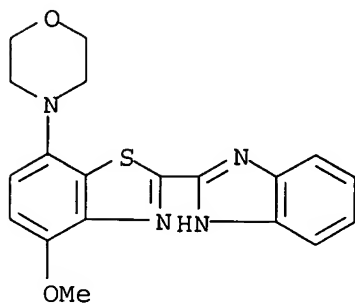
● HI

IT **796061-73-9P**, 2-(1H-Benzimidazol-2-yl)-4-methoxy-7-(morpholin-4-yl)benzothiazole **796061-84-2P**, 5-Chloro-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3H-imidazo[4,5-b]pyridine **796061-87-5P**, 5-Chloro-3-(methoxymethyl)-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3H-imidazo[4,5-b]pyridine **796061-88-6P**, 3-Methoxymethyl-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-5-(morpholin-4-yl)-3H-imidazo[4,5-b]pyridine **796062-14-1P**, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepine-6-carboxylic acid tert-butyl ester **796062-20-9P**, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-1,4,5,6,7,8-hexahydroimidazo[4,5-d]azepine hydrochloride **796062-27-6P**, 2-Methoxy-1-[2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl]ethanone **796062-31-2P**, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3,4,6,7-tetrahydroimidazo[4,5-c]pyridine-5-carboxylic acid tert-butyl ester **796062-34-5P**, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine hydrochloride **796062-38-9P**, (2-Chloromethylpyridin-4-yl)[2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl]methanone  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(ligand; preparation of imidazolyl benzothiazoles as adenosine receptor ligands)

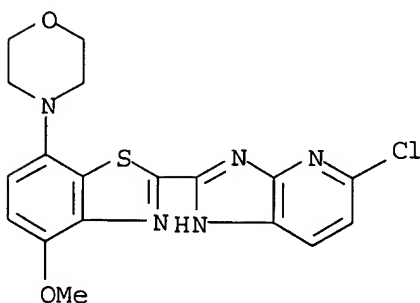
RN 796061-73-9 CAPLUS

CN Benzothiazole, 2-(1H-benzimidazol-2-yl)-4-methoxy-7-(4-morpholinyl)- (9CI)  
(CA INDEX NAME)



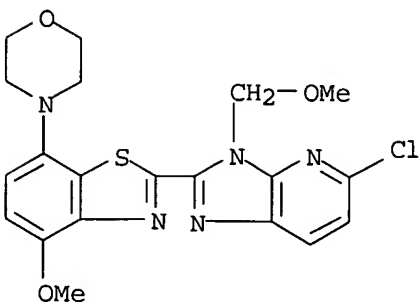
RN 796061-84-2 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 5-chloro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 796061-87-5 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 5-chloro-3-(methoxymethyl)-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

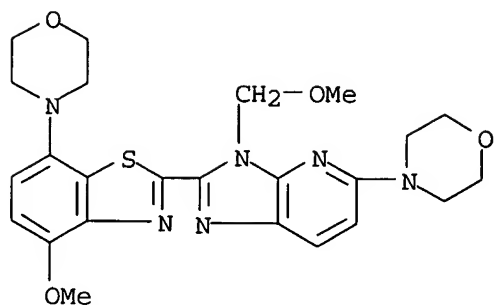


RN 796061-88-6 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-(methoxymethyl)-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)

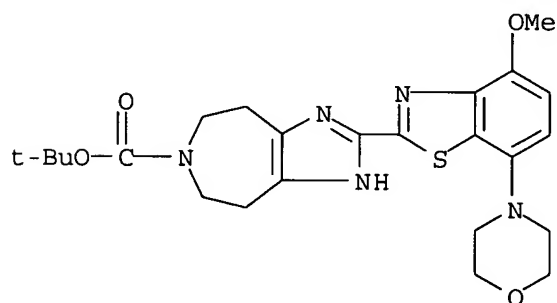
07/19/2005

10691770.trn



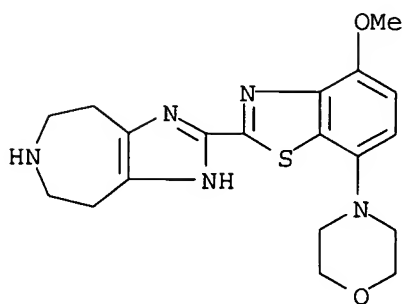
RN 796062-14-1 CAPLUS

CN Imidazo[4,5-d]azepine-6(1H)-carboxylic acid, 4,5,7,8-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 796062-20-9 CAPLUS

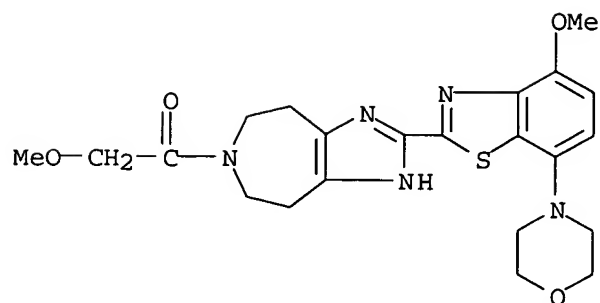
CN Imidazo[4,5-d]azepine, 1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

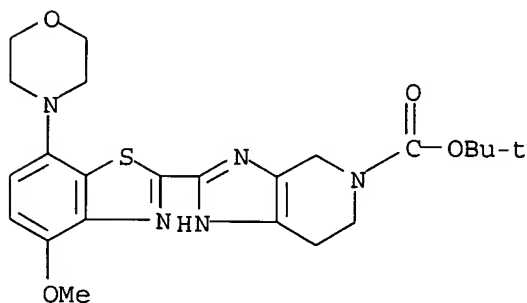
RN 796062-27-6 CAPLUS

CN Imidazo[4,5-d]azepine, 1,4,5,6,7,8-hexahydro-6-(methoxyacetyl)-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



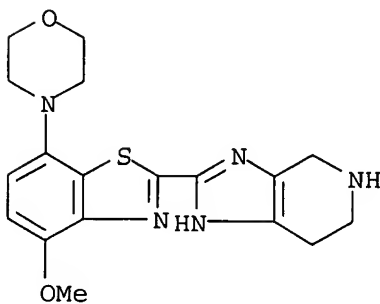
RN 796062-31-2 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 796062-34-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

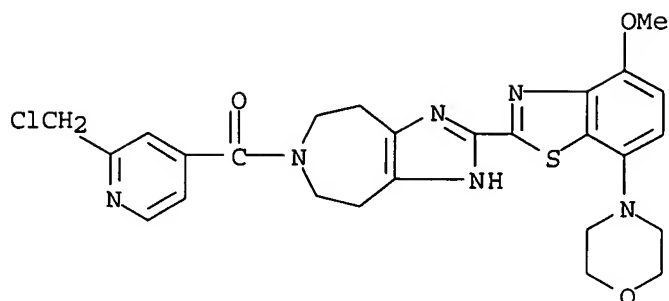


● HCl

RN 796062-38-9 CAPLUS

CN Imidazo[4,5-d]azepine, 6-[[2-(chloromethyl)-4-pyridinyl]carbonyl]-1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-

(9CI) (CA INDEX NAME)



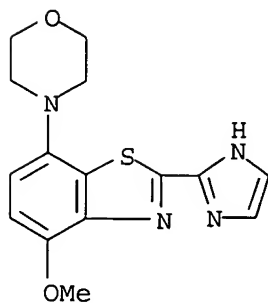
IT 796061-70-6P, 2-(1H-Imidazol-2-yl)-4-methoxy-7-(morpholin-4-yl)benzothiazole 796061-76-2P, 4-Methoxy-2-(1-methyl-1H-benzimidazol-2-yl)-7-(morpholin-4-yl)benzothiazole 796061-81-9P, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-5-methyl-3H-imidazo[4,5-b]pyridine 796061-89-7P, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-5-(morpholin-4-yl)-3H-imidazo[4,5-b]pyridine 796061-90-0P, 3-Methoxymethyl-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-5-(pyrrolidin-1-yl)-3H-imidazo[4,5-b]pyridine 796061-91-1P, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-5-(pyrrolidin-1-yl)-3H-imidazo[4,5-b]pyridine 796061-92-2P, [2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3H-imidazo[4,5-b]pyridin-5-yl]dimethylamine 796061-93-3P, 1-[2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3H-imidazo[4,5-b]pyridin-5-yl]piperidin-4-ol 796061-95-5P, [2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3H-imidazo[4,5-b]pyridin-5-yl](methyl)[(tetrahydropyran-4-yl)methyl]amine 796061-97-7P, 4-Methoxy-7-(morpholin-4-yl)-2-(4-phenyl-1H-imidazol-2-yl)benzothiazole 796061-98-8P, 2-[4-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)-1H-imidazol-2-yl]-4-methoxy-7-(morpholin-4-yl)benzothiazole 796061-99-9P, 2-[5-(Benzo[b]thiophen-3-yl)-1H-imidazol-2-yl]-4-methoxy-7-(morpholin-4-yl)benzothiazole 796062-01-6P, 4-Methoxy-7-(morpholin-4-yl)-2-[4-(thiophen-2-yl)-1H-imidazol-2-yl]benzothiazole 796062-02-7P, 4-Methoxy-7-(morpholin-4-yl)-2-[4-(thiophen-3-yl)-1H-imidazol-2-yl]benzothiazole 796062-03-8P, 4-Methoxy-2-[5-(3-methylbenzo[b]thiophen-2-yl)-1H-imidazol-2-yl]-7-(morpholin-4-yl)benzothiazole 796062-05-0P, 4-Methoxy-7-(morpholin-4-yl)-2-[4-[(thiophen-2-yl)methyl]-1H-imidazol-2-yl]benzothiazole 796062-09-4P, 4-Methoxy-7-(morpholin-4-yl)-2-(4,5,6,7-tetrahydro-1H-benzimidazol-2-yl)benzothiazole 796062-11-8P, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-3,4,6,7-tetrahydropyrano[3,4-d]imidazole 796062-21-0P, (4-Fluorophenyl)[2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl]methanone 796062-22-1P, 1-[2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl]ethanone 796062-23-2P, [2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl][2-methylphenyl]methanone 796062-24-3P, 1-[2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl]-2,2-dimethylpropan-1-one 796062-25-4P, Cyclopropyl[2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl]methanone 796062-26-5P, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepine-6-

carboxylic acid dimethylamide **796062-28-7P**, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepine-6-carboxylic acid ethyl ester **796062-29-8P**, 6-Methylsulfonyl-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-1,4,5,6,7,8-hexahydroimidazo[4,5-d]azepine **796062-30-1P**, 6-(2-Methoxyethyl)-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-1,4,5,6,7,8-hexahydroimidazo[4,5-d]azepine **796062-35-6P**, [2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl] (2-methylphenyl)methanone **796062-36-7P**, 1-[2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-1,4,6,7-tetrahydroimidazo[4,5-c]pyridin-5-yl]ethanone **796062-37-8P**, 2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-1,4,6,7-tetrahydroimidazo[4,5-c]pyridine-5-carboxylic acid ethyl ester **796062-40-3P**, [2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl] [2-[(pyrrolidin-1-yl)methyl]pyridin-4-yl]methanone **796062-41-4P**, [2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl]-(2-methylpyridin-4-yl)methanone **796062-42-5P**, 5-Benzyl-2-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,6,7-tetrahydro-3H-imidazo[4,5-c]pyridine **796062-46-9P**, 2-[2-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-4,5,7,8-tetrahydro-1H-imidazo[4,5-d]azepin-6-yl]acetamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(ligand; preparation of imidazolyl benzothiazoles as adenosine receptor ligands)

RN 796061-70-6 CAPLUS

CN Benzothiazole, 2-(1H-imidazol-2-yl)-4-methoxy-7-(4-morpholinyl)- (9CI)  
 (CA INDEX NAME)

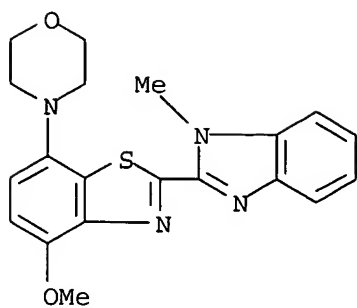


RN 796061-76-2 CAPLUS

CN Benzothiazole, 4-methoxy-2-(1-methyl-1H-benzimidazol-2-yl)-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)

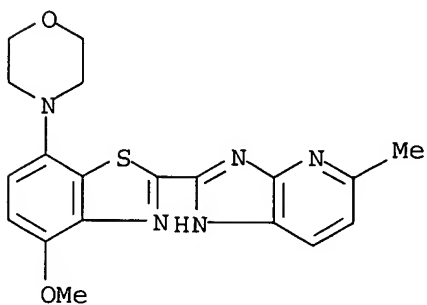
07/19/2005

10691770.trn



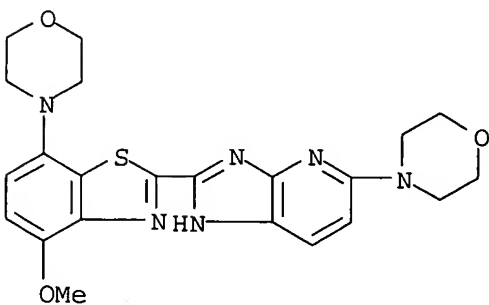
RN 796061-81-9 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-5-methyl- (9CI) (CA INDEX NAME)



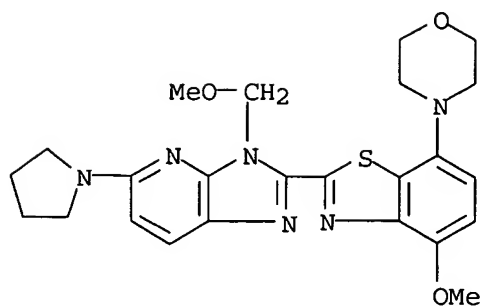
RN 796061-89-7 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)



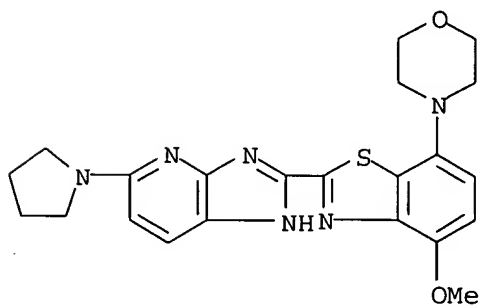
RN 796061-90-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-(methoxymethyl)-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-5-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



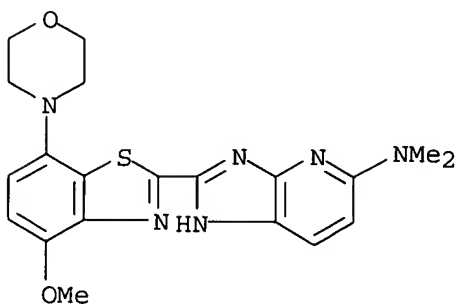
RN 796061-91-1 CAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-5-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 796061-92-2 CAPLUS

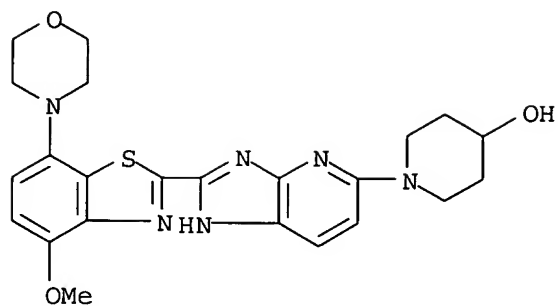
CN 1H-Imidazo[4,5-b]pyridin-5-amine, 2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 796061-93-3 CAPLUS

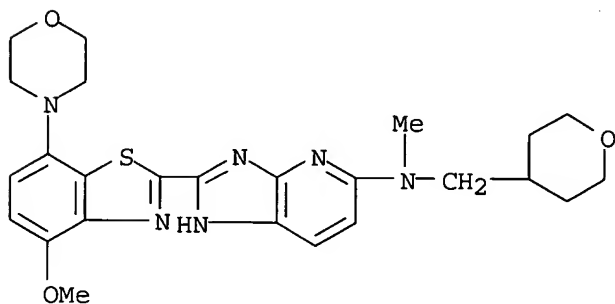
CN 4-Piperidinol, 1-[2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-1H-imidazo[4,5-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)





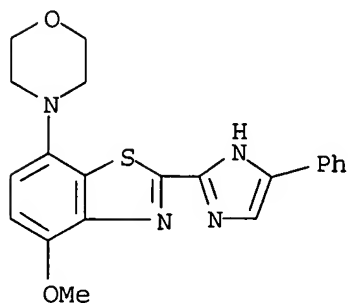
RN 796061-95-5 CAPLUS

CN 1H-Imidazo[4,5-b]pyridin-5-amine, 2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-[(tetrahydro-2H-pyran-4-yl)methyl]- (9CI) (CA INDEX NAME)



RN 796061-97-7 CAPLUS

CN Benzothiazole, 4-methoxy-7-(4-morpholinyl)-2-(4-phenyl-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

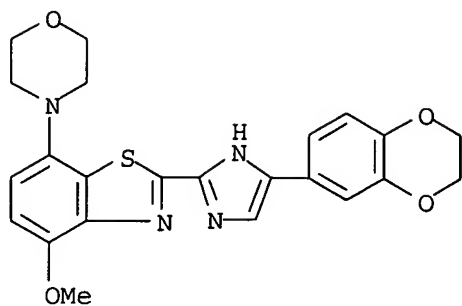


RN 796061-98-8 CAPLUS

CN Benzothiazole, 2-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1H-imidazol-2-yl]-4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)

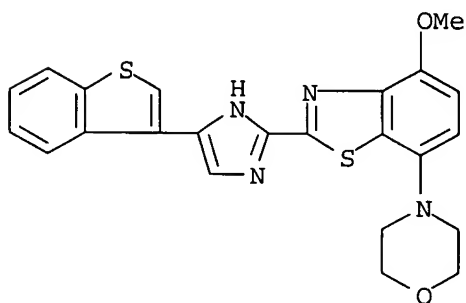
07/19/2005

10691770.trn



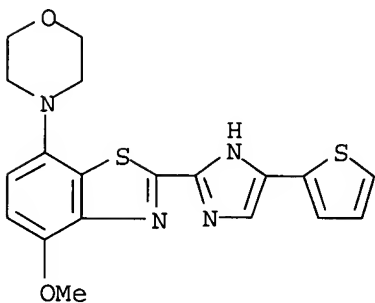
RN 796061-99-9 CAPLUS

CN Benzothiazole, 2-(4-benzo[b]thien-3-yl)-1H-imidazol-2-yl)-4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



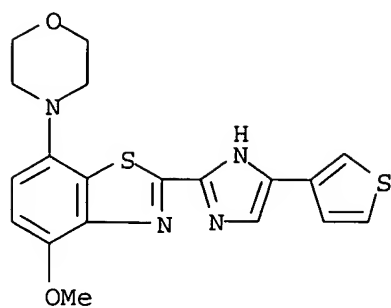
RN 796062-01-6 CAPLUS

CN Benzothiazole, 4-methoxy-7-(4-morpholinyl)-2-[4-(2-thienyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



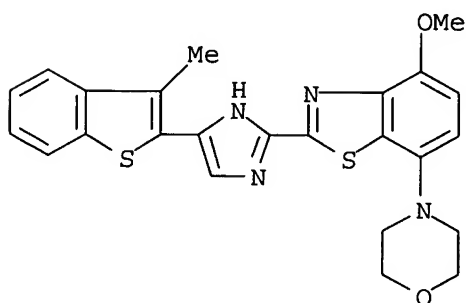
RN 796062-02-7 CAPLUS

CN Benzothiazole, 4-methoxy-7-(4-morpholinyl)-2-[4-(3-thienyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



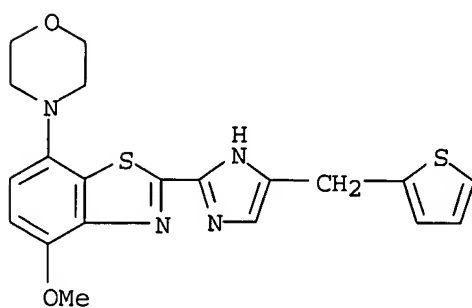
RN 796062-03-8 CAPLUS

CN Benzothiazole, 4-methoxy-2-[4-(3-methylbenzo[b]thien-2-yl)-1H-imidazol-2-yl]-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 796062-05-0 CAPLUS

CN Benzothiazole, 4-methoxy-7-(4-morpholinyl)-2-[4-(2-thienylmethyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

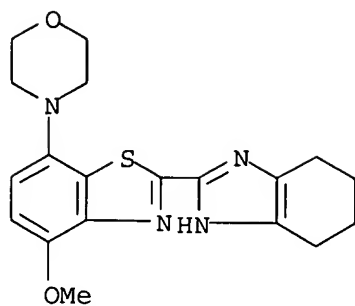


RN 796062-09-4 CAPLUS

CN Benzothiazole, 4-methoxy-7-(4-morpholinyl)-2-(4,5,6,7-tetrahydro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

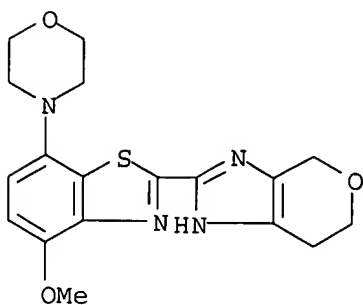
07/19/2005

10691770.trn



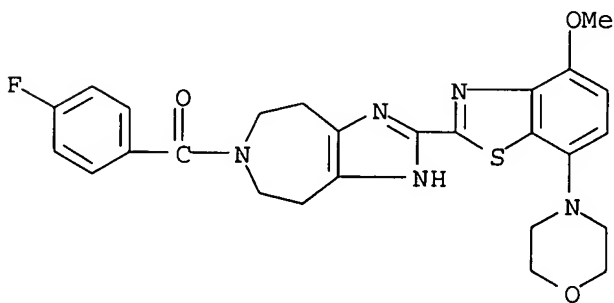
RN 796062-11-8 CAPLUS

CN Pyrano[3,4-d]imidazole, 1,4,6,7-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



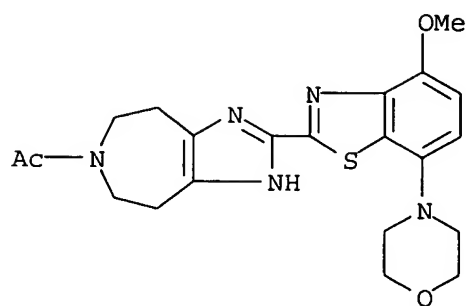
RN 796062-21-0 CAPLUS

CN Imidazo[4,5-d]azepine, 6-(4-fluorobenzoyl)-1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



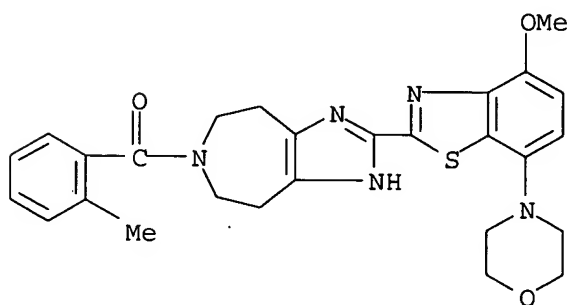
RN 796062-22-1 CAPLUS

CN Imidazo[4,5-d]azepine, 6-acetyl-1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



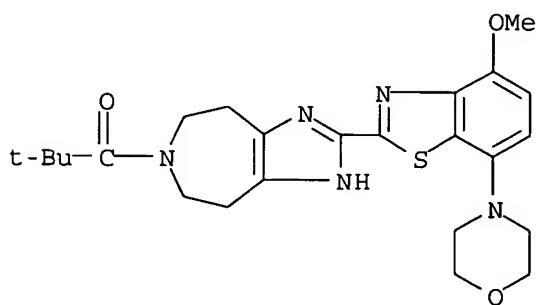
RN 796062-23-2 CAPLUS

CN Imidazo[4,5-d]azepine, 1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-(2-methylbenzoyl)- (9CI) (CA INDEX NAME)



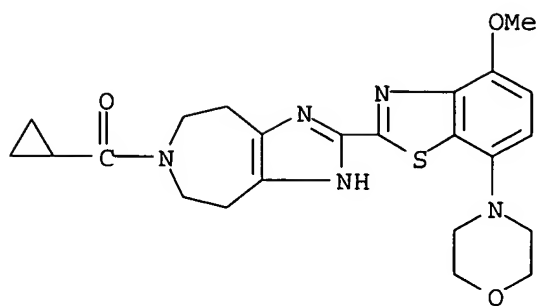
RN 796062-24-3 CAPLUS

CN Imidazo[4,5-d]azepine, 6-(2,2-dimethyl-1-oxopropyl)-1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



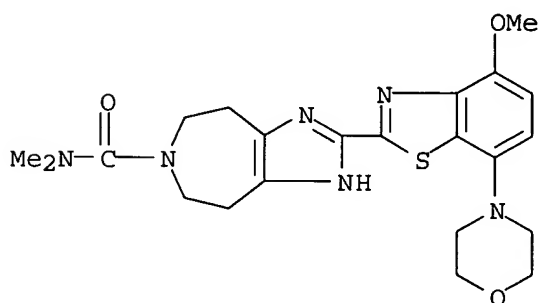
RN 796062-25-4 CAPLUS

CN Imidazo[4,5-d]azepine, 6-(cyclopropylcarbonyl)-1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



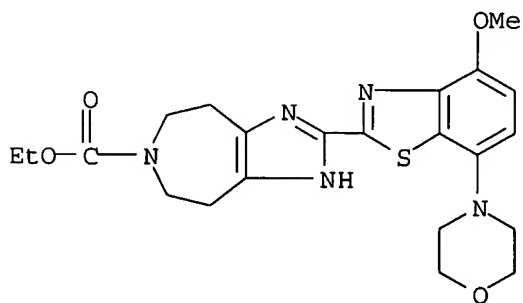
RN 796062-26-5 CAPLUS

CN Imidazo[4,5-d]azepine-6(1H)-carboxamide, 4,5,7,8-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



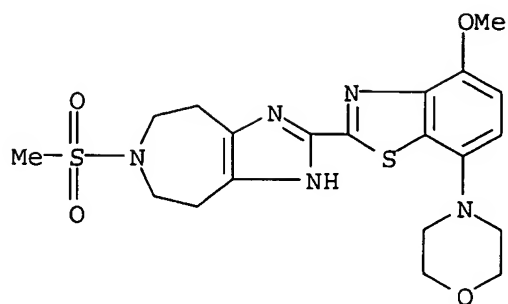
RN 796062-28-7 CAPLUS

CN Imidazo[4,5-d]azepine-6(1H)-carboxylic acid, 4,5,7,8-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



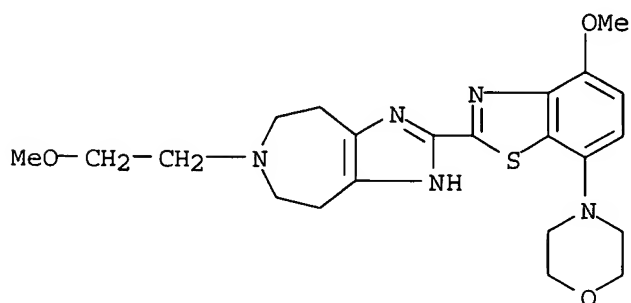
RN 796062-29-8 CAPLUS

CN Imidazo[4,5-d]azepine, 1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-(methylsulfonyl)- (9CI) (CA INDEX NAME)



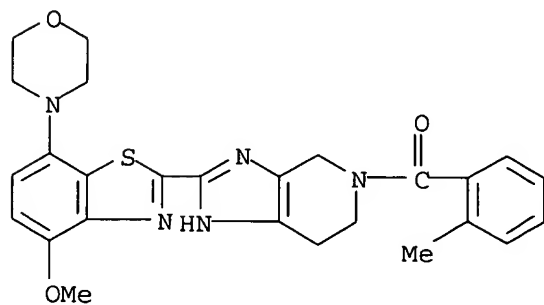
RN 796062-30-1 CAPLUS

CN Imidazo[4,5-d]azepine, 1,4,5,6,7,8-hexahydro-6-(2-methoxyethyl)-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



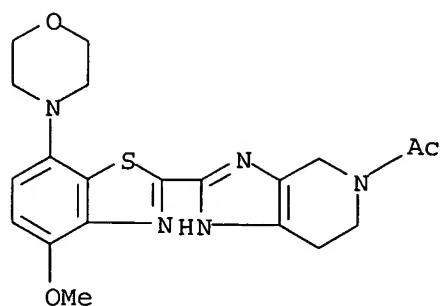
RN 796062-35-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-5-(2-methylbenzoyl)- (9CI) (CA INDEX NAME)



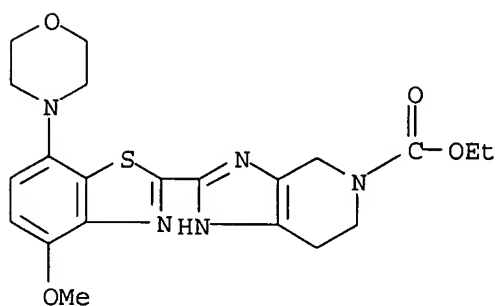
RN 796062-36-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 5-acetyl-4,5,6,7-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



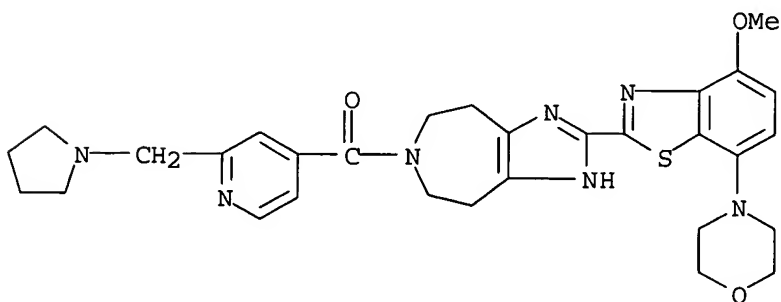
RN 796062-37-8 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 796062-40-3 CAPLUS

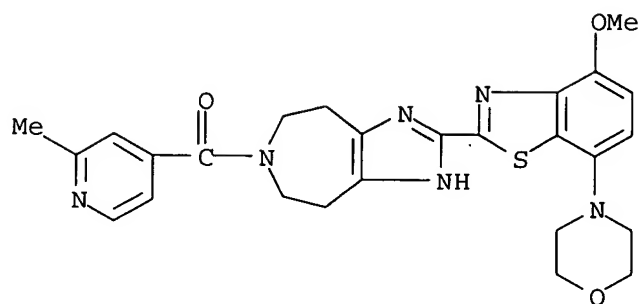
CN Imidazo[4,5-d]azepine, 1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-[[2-(1-pyrrolidinylmethyl)-4-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 796062-41-4 CAPLUS

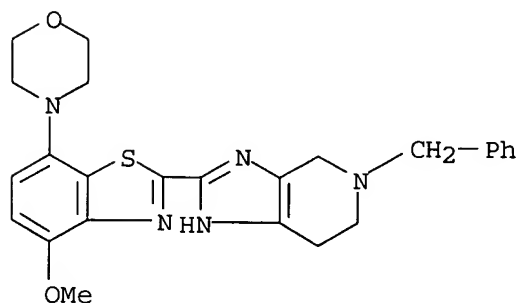
CN Imidazo[4,5-d]azepine, 1,4,5,6,7,8-hexahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-[[2-methyl-4-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)





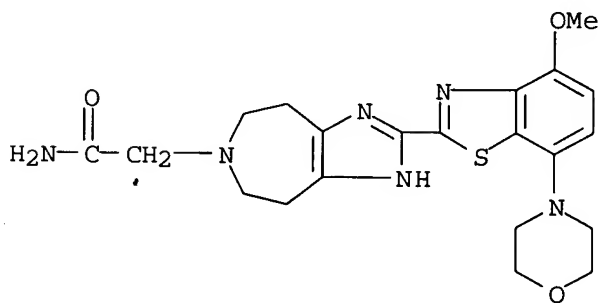
RN 796062-42-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-5-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 796062-46-9 CAPLUS

CN Imidazo[4,5-d]azepine-6(1H)-acetamide, 4,5,7,8-tetrahydro-2-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



L14 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:569886 CAPLUS

DOCUMENT NUMBER: 141:123657

TITLE: Cyclization process for substituted benzothiazole derivatives

INVENTOR(S): Spurr, Paul

PATENT ASSIGNEE(S): Switz.

SOURCE: U.S. Pat. Appl. Publ., 13 pp.

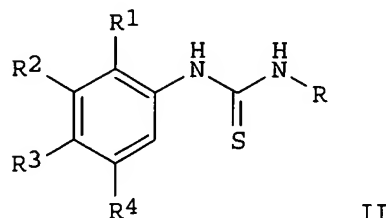
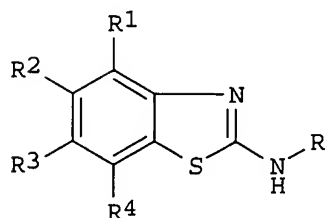
CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138465	A1	<del>20040715</del>	US 2003-743613	20031222
WO 2004060879	A2	20040722	WO 2003-EP14928	20031229
WO 2004060879	A3	20041118		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-48 A 20030107  
 OTHER SOURCE(S): CASREACT 141:123657; MARPAT 141:123657  
 GI



AB The present invention relates to a process for preparation of amino substituted benzothiazole derivs. of formula (I) [wherein R1, R2, R3 = H, lower alkyl, lower alkoxy, halogen; R4 = H, lower alkyl, lower alkyloxy, halogen, five or six membered non aromatic heterocyclyl group unsubstituted or substituted by lower alkyl or an oxo-group, NR5R6 (wherein R5, R5 = H, lower alkyl, -C(O)-lower alkyl, -(CH2)nO-lower alkyl or benzyl, optionally substituted by lower alkyl, or NR5R6 is a five or six membered heteroaryl group); R1 and R2 or R2 and R3 may form together with the corresponding carbon atoms a ring containing -OCH2O- or -CH:CH-CH:CH-; R = H or -C(O)R' (wherein R' = a five or six membered non aromatic heterocyclyl group, five or six membered heteroaryl group or is aryl, which rings may be substituted by the groups selected from lower alkyl, halogen-lower alkyl, lower alkoxy, cyano, nitro, CHO, CO2H or by pyrrolidin-1-ylmethyl; n = 1-4)] or a pharmaceutically acceptable salt thereof, wherein the cyclization is carried out by the treatment of a N-phenylthiourea or N-phenyl-N'-acylthiourea derivs. of formula (II; R-R4 = same as above) with sulfoxide/HBr/solvent to give the desired products of formula I [R = H, C(O)R']. **Thus**, to a suspension of 15.0 g (43.7 mmol) N-[3-(3-benzoylthioureido)-4-methoxyphenyl]acetamide in 200 mL glacial acetic acid was added 7.65 mL (43.6 mmol) a 5.7 M solution of HBr in acetic acid, and the mixture was heated at 90° for 1 h. DMSO (2.5 mL, 48.0 mmol) was then added and the mixture was heated at 90° for 1.5 h,

cooled to room temperature, and poured onto 1000 mL distilled water, stirred for 15

min, and then filtered, followed by washing the filter cake with water and then drying in vacuo at 50° to give 12.8 g (86%)

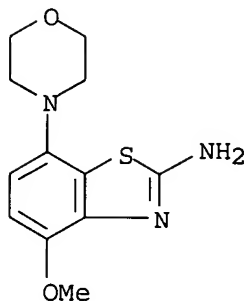
N-(7-acetylamino-4-methoxybenzothiazol-2-yl)benzamide as a light brown solid.

IT **383865-57-4P**, [4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]amine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted benzothiazole derivs. by cyclization of N-phenylthiourea or N-phenyl-N'-acylthiourea derivs.)

RN 383865-57-4 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



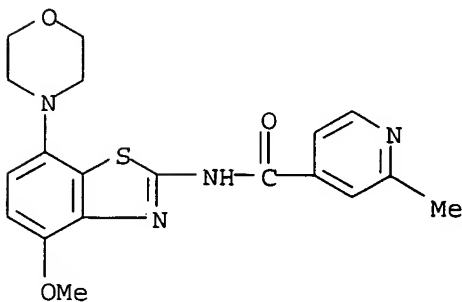
IT **383868-11-9P**, N-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]-2-methylisonicotinamide **383868-12-0P**, N-[4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]benzamide

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of substituted benzothiazole derivs. by cyclization of N-phenylthiourea or N-phenyl-N'-acylthiourea derivs.)

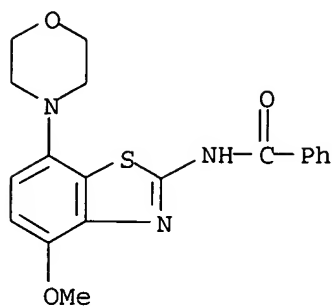
RN 383868-11-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 383868-12-0 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



L14 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:472390 CAPLUS

DOCUMENT NUMBER: 139:53026

TITLE: Preparation of ureidobenzothiazoles as adenosine receptor ligands.

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

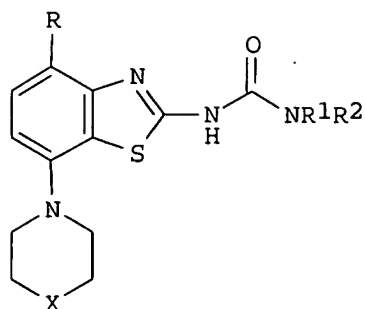
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049741	A1	20030619	WO 2002-EP13761	20021205
W: AE, AG, AL, AM, <del>AT</del> , AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003149036	A1	20030807	US 2002-308338	20021203
US 6727247	B2	20040427		
CA 2469596	AA	20030619	CA 2002-2469596	20021205
BR 2002014825	A	20040914	BR 2002-14825	20021205
EP 1455792	A1	20040915	EP 2002-804578	20021205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516006	T2	20050602	JP 2003-550790	20021205
US 2004229893	A1	20041118	US 2003-691770	20031023
PRIORITY APPLN. INFO.:				
			EP 2001-129228	A 20011210
			US 2002-308338	A3 20021203
			WO 2002-EP13761	W 20021205

OTHER SOURCE(S): MARPAT 139:53026

GI



I

AB Title compds. [I; R = alkoxy, halo; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, cycloalkyl, tetrahydropyran-4-yl; R<sub>1</sub>R<sub>2</sub>N = (substituted) 2-oxa-5-azabicyclo[2.2.1]heptyl, 3-endo-hydroxy-8-azabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.2]octyl, 1-oxo-2,8-diazaspiro[4.5]decyl, 3-azaspiro[5.5]undecyl, 8-azaspiro[4.5]decyl, 1-oxa-8-azaspiro[4.5]decyl, 1,8,8-trimethyl-3-azabicyclo[3.2.1]octyl, 1,4-oxazepanyl, 2-oxa-5-azabicyclo[2.2.2]octyl, 8-oxa-3-azabicyclo[3.2.1]octyl, 1,4-diazabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.1]heptyl, 3-azabicyclo[3.2.1]octyl, piperazinyl, piperidin-1-yl; X = O, CH<sub>2</sub>; n = 0-4], were prepared **Thus**, 4-methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine in CH<sub>2</sub>Cl<sub>2</sub> was treated with pyridine and Ph chloroformate and the resulting solution stirred for 45 min at ambient temperature; (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane was added and the mixture stirred at ambient temperature for 15 min and at 40° for 2.5 h. to give (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane-5-carboxylic acid (4-methoxy-7-morpholin-4-ylbenzothiazol-2-yl)amide. This bound to human A<sub>2a</sub> receptors with pK<sub>i</sub> = 8.5.

IT 546093-14-5P 546093-15-6P 546093-16-7P  
 546093-17-8P 546093-18-9P 546093-19-0P  
 546093-20-3P 546093-21-4P 546093-22-5P  
 546093-23-6P 546093-24-7P 546093-25-8P  
 546093-26-9P 546093-27-0P 546093-28-1P  
 546093-29-2P 546093-30-5P 546093-31-6P  
 546093-32-7P 546093-33-8P 546093-34-9P  
 546093-35-0P 546093-36-1P 546093-37-2P  
 546093-38-3P 546093-39-4P 546093-40-7P  
 546093-41-8P 546093-42-9P 546093-49-6P  
 546093-50-9P 546093-51-0P 546093-52-1P  
 546093-53-2P 546093-54-3P 546093-55-4P  
 546093-56-5P

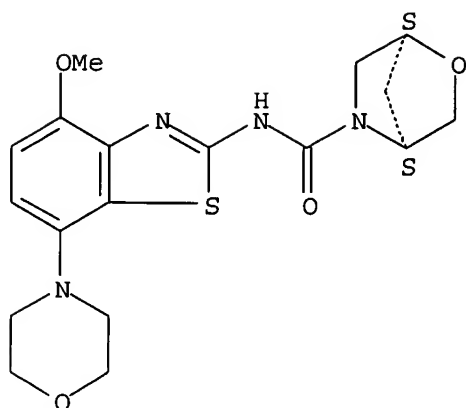
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ureidobenzothiazoles as adenosine receptor ligands)

RN 546093-14-5 CAPLUS

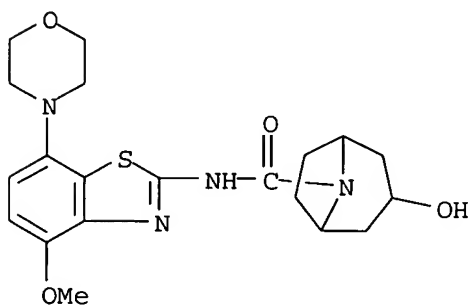
CN 2-Oxa-5-azabicyclo[2.2.1]heptane-5-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



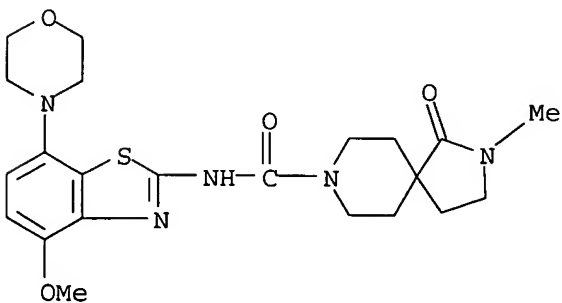
RN 546093-15-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxamide, 3-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, (3-endo)-rel- (9CI) (CA INDEX NAME)



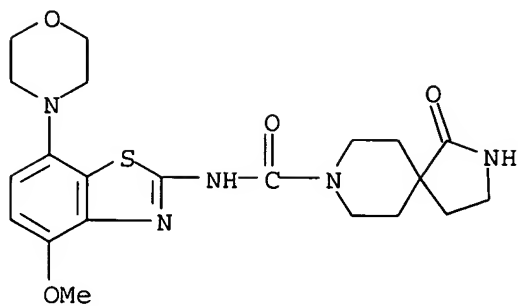
RN 546093-16-7 CAPLUS

CN 2,8-Diazaspiro[4.5]decane-8-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-methyl-1-oxo- (9CI) (CA INDEX NAME)



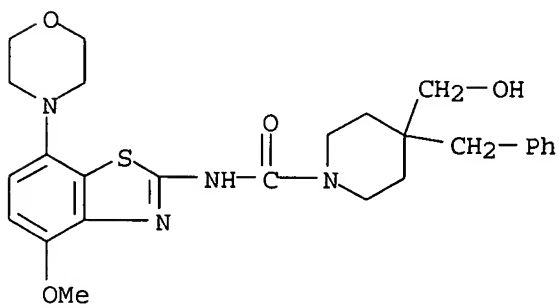
RN 546093-17-8 CAPLUS

CN 2,8-Diazaspiro[4.5]decane-8-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-1-oxo- (9CI) (CA INDEX NAME)



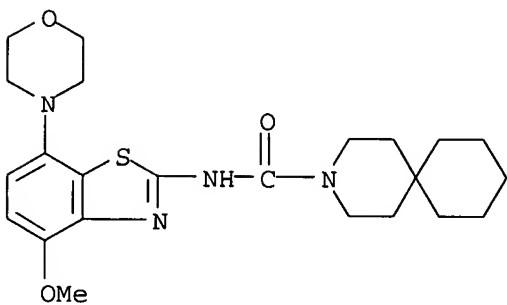
RN 546093-18-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(hydroxymethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 546093-19-0 CAPLUS

CN 3-Azaspiro[5.5]undecane-3-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

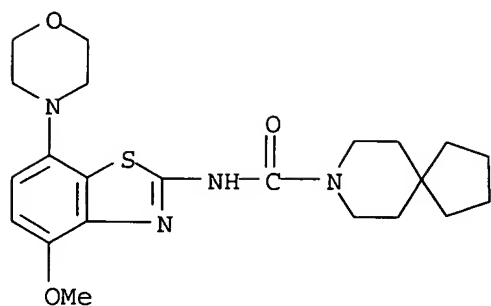


RN 546093-20-3 CAPLUS

CN 8-Azaspiro[4.5]decane-8-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

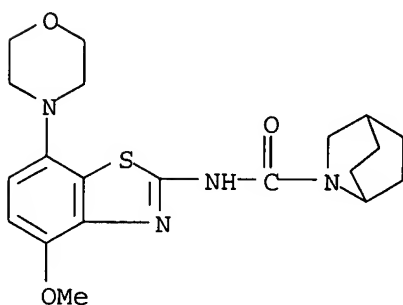
07/19/2005

10691770.trn



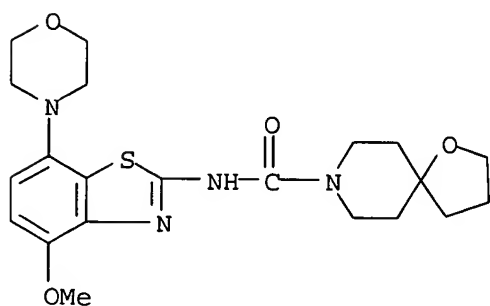
RN 546093-21-4 CAPLUS

CN 2-Azabicyclo[2.2.2]octane-2-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 546093-22-5 CAPLUS

CN 1-Oxa-8-azaspiro[4.5]decane-8-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 546093-23-6 CAPLUS

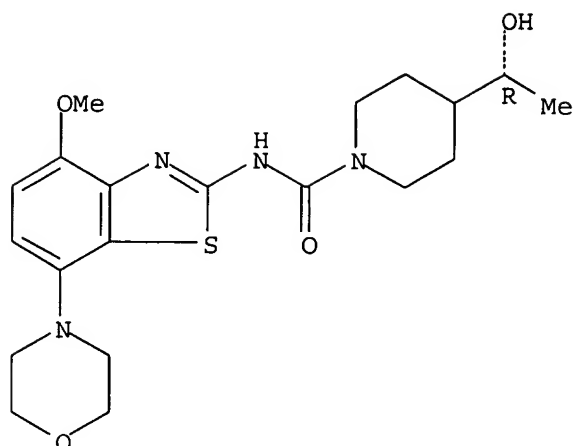
CN 1-Piperidinecarboxamide, 4-[(1R)-1-hydroxyethyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



07/19/2005

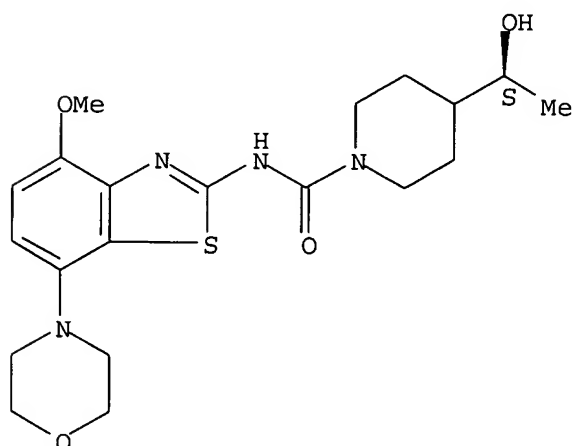
10691770.trn



RN 546093-24-7 CAPLUS

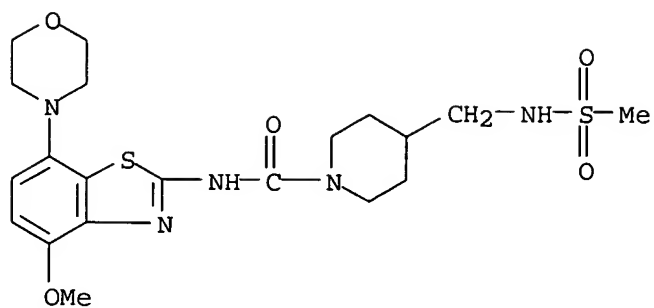
CN 1-Piperidinecarboxamide, 4-[(1S)-1-hydroxyethyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



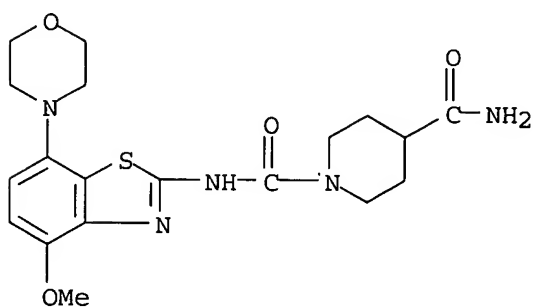
RN 546093-25-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[(methylsulfonyl)amino]methyl]- (9CI) (CA INDEX NAME)



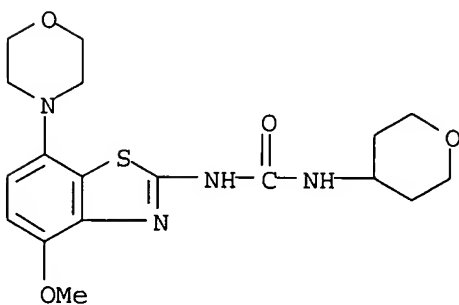
RN 546093-26-9 CAPLUS

CN 1,4-Piperidinedicarboxamide, N1-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



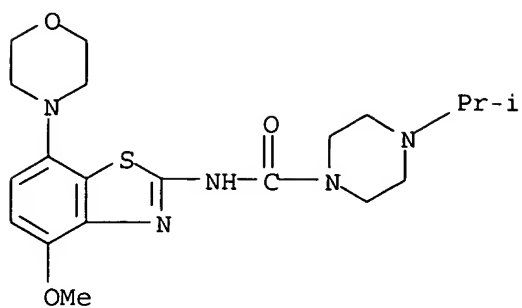
RN 546093-27-0 CAPLUS

CN Urea, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



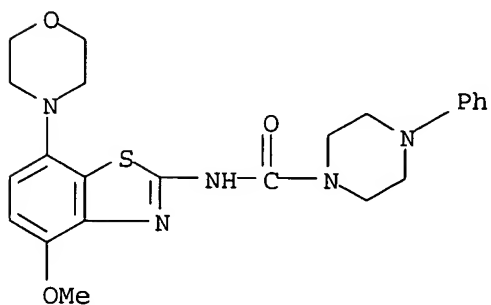
RN 546093-28-1 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



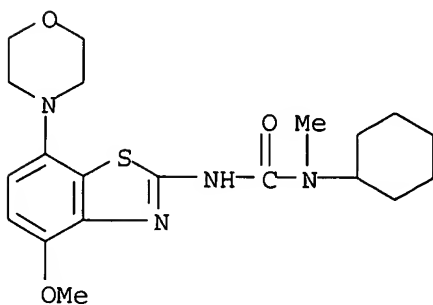
RN 546093-29-2 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 546093-30-5 CAPLUS

CN Urea, N-cyclohexyl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



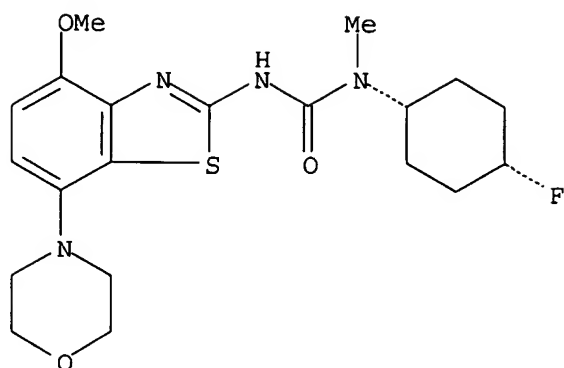
RN 546093-31-6 CAPLUS

CN Urea, N-(cis-4-fluorocyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

07/19/2005

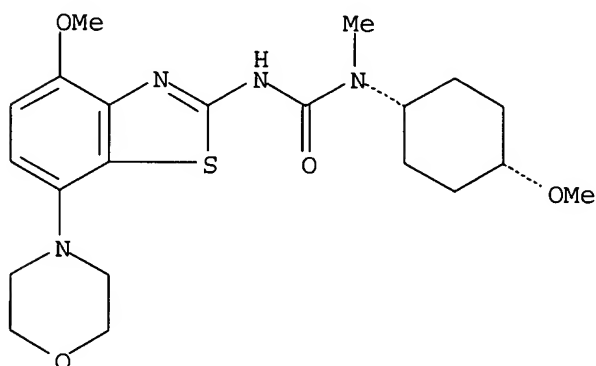
10691770.trn



RN 546093-32-7 CAPLUS

CN Urea, N-(cis-4-methoxycyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

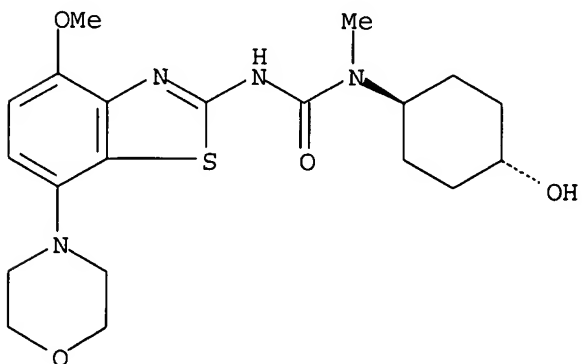
Relative stereochemistry.



RN 546093-33-8 CAPLUS

CN Urea, N-(trans-4-hydroxycyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

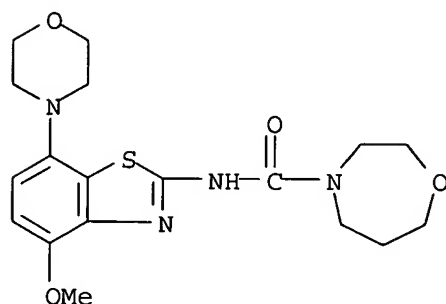
Relative stereochemistry.



RN 546093-34-9 CAPLUS

07/19/2005 10691770.trn

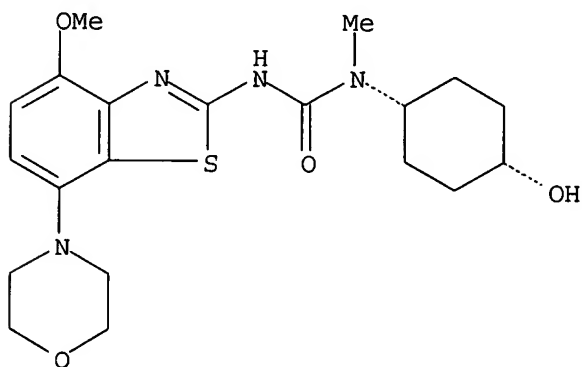
CN 1,4-Oxazepine-4(5H)-carboxamide, tetrahydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 546093-35-0 CAPLUS

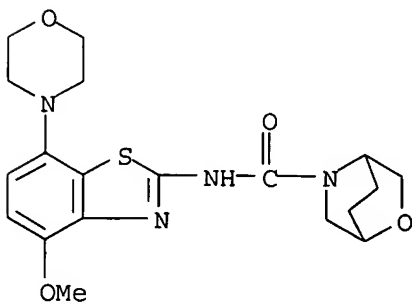
CN Urea, N-(cis-4-hydroxycyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 546093-36-1 CAPLUS

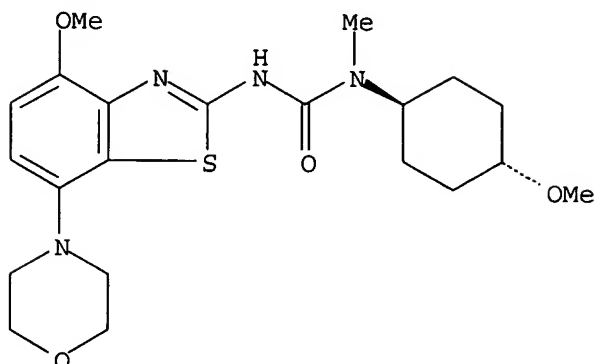
CN 2-Oxa-5-azabicyclo[2.2.2]octane-5-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 546093-37-2 CAPLUS

CN Urea, N-(trans-4-methoxycyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)

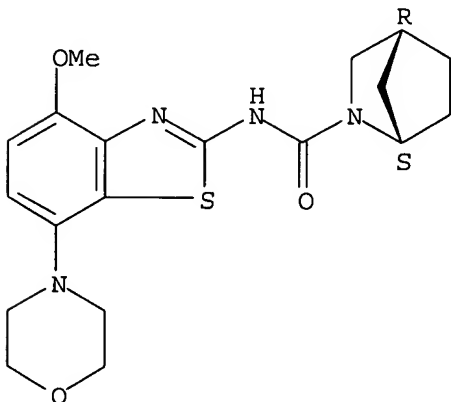
Relative stereochemistry.



RN 546093-38-3 CAPLUS

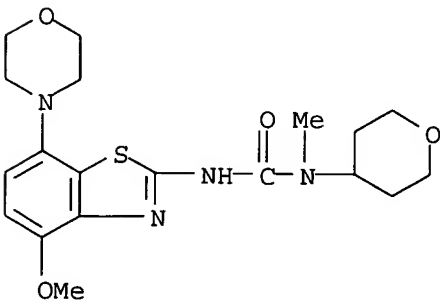
CN 2-Azabicyclo[2.2.1]heptane-2-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 546093-39-4 CAPLUS

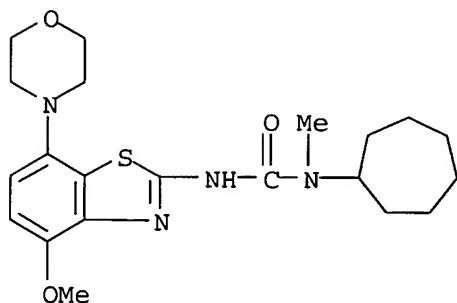
CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 546093-40-7 CAPLUS

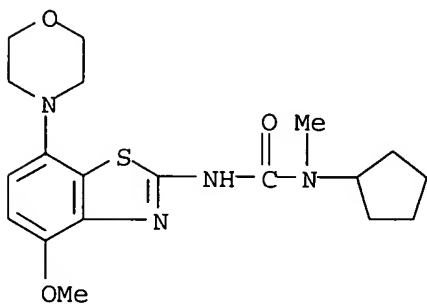
07/19/2005 10691770.trn

CN Urea, N-cycloheptyl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



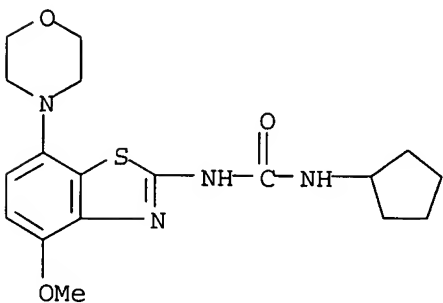
RN 546093-41-8 CAPLUS

CN Urea, N-cyclopentyl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



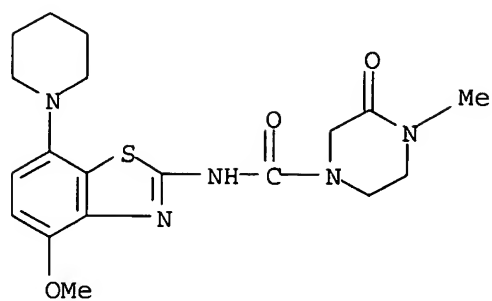
RN 546093-42-9 CAPLUS

CN Urea, N-cyclopentyl-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



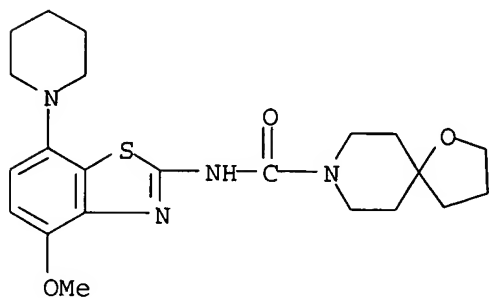
RN 546093-49-6 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-methoxy-7-(1-piperidinyl)-2-benzothiazolyl]-4-methyl-3-oxo- (9CI) (CA INDEX NAME)



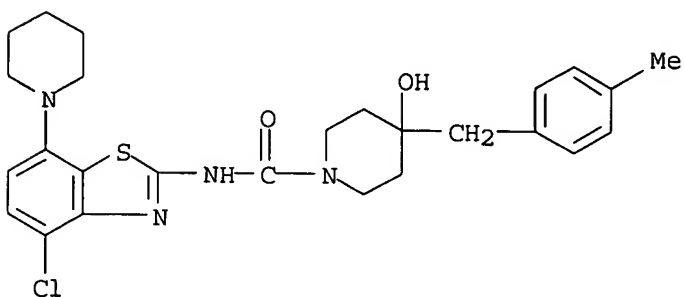
RN 546093-50-9 CAPLUS

CN 1-Oxa-8-azaspiro[4.5]decane-8-carboxamide, N-[4-methoxy-7-(1-piperidinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 546093-51-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-chloro-7-(1-piperidinyl)-2-benzothiazolyl]-4-hydroxy-4-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



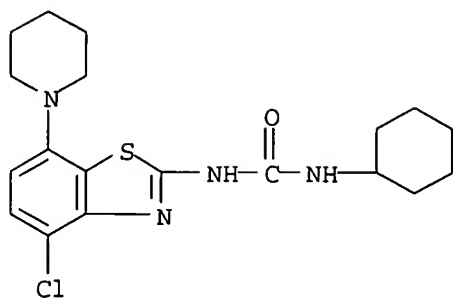
RN 546093-52-1 CAPLUS

CN Urea, N-[4-chloro-7-(1-piperidinyl)-2-benzothiazolyl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)



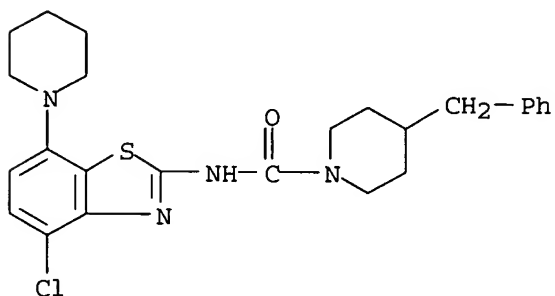
07/19/2005

10691770.trn



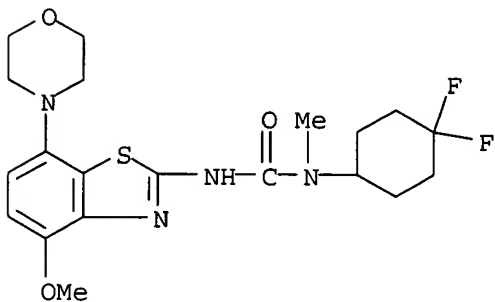
RN 546093-53-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-chloro-7-(1-piperidinyl)-2-benzothiazolyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 546093-54-3 CAPLUS

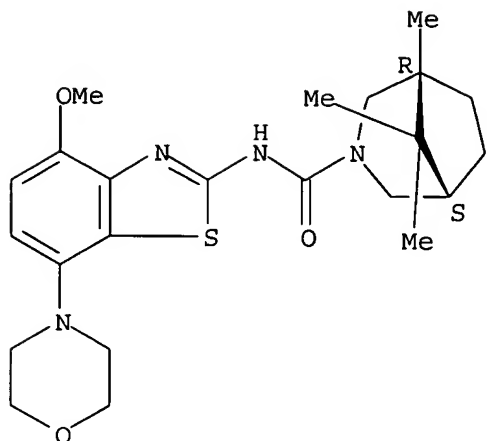
CN Urea, N-(4,4-difluorocyclohexyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 546093-55-4 CAPLUS

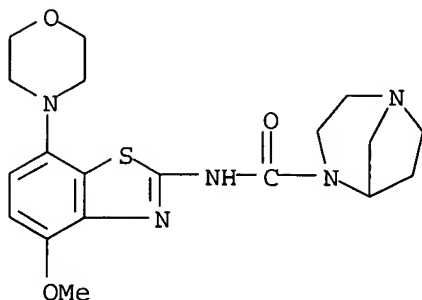
CN 3-Azabicyclo[3.2.1]octane-3-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-1,8,8-trimethyl-, (1R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 546093-56-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane-4-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



IT 383865-57-4, 4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-ylamine

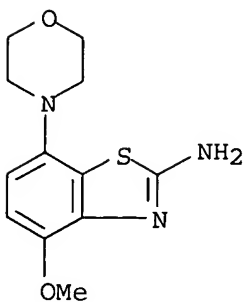
383868-82-4 383869-46-3, 4-Methoxy-7-piperidin-1-ylbenzothiazol-2-ylamine 546093-47-4, 4-Chloro-7-(piperidin-1-yl)benzothiazol-2-ylamine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of ureidobenzothiazoles as adenosine receptor ligands)

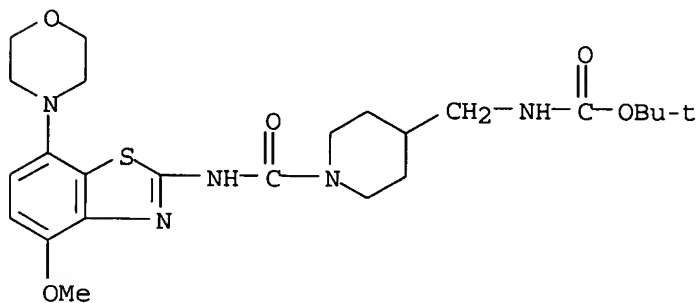
RN 383865-57-4 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)

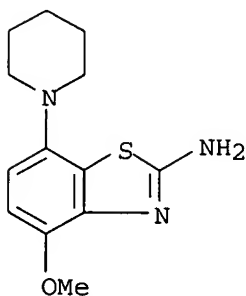


07/19/2005 10691770.trn

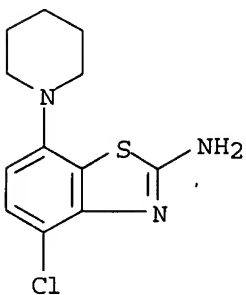
RN 383868-82-4 CAPLUS  
CN Carbamic acid, [[1-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-4-piperidiny]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 383869-46-3 CAPLUS  
CN 2-Benzothiazolamine, 4-methoxy-7-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 546093-47-4 CAPLUS  
CN 2-Benzothiazolamine, 4-chloro-7-(1-piperidinyl)- (9CI) (CA INDEX NAME)

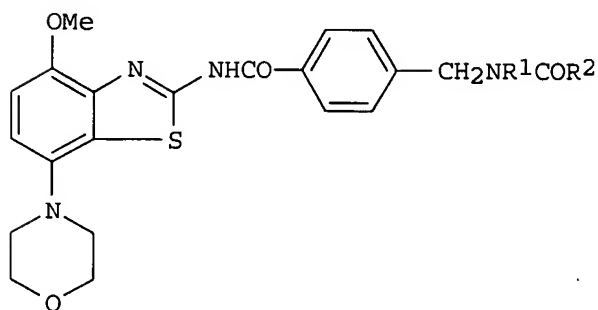


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2003:434364 CAPLUS  
DOCUMENT NUMBER: 139:22206  
TITLE: Preparation of aroylaminobenzothiazoles as adenosine

receptor antagonists  
 INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045386	A1	20030605	WO 2002-EP13046	20021121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003134855	A1	20030717	US 2002-295500	20021115
US 6624163	B2	20030923		
CA 2468311	AA	20030605	CA 2002-2468311	20021121
EP 1450797	A1	20040901	EP 2002-790418	20021121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014488	A	20041019	BR 2002-14488	20021121
JP 2005518363	T2	20050623	JP 2003-546888	20021121
PRIORITY APPLN. INFO.:			EP 2001-128338	A 20011129
			WO 2002-EP13046	W 20021121
OTHER SOURCE(S):		MARPAT 139:22206		
GI				



I

AB Benzothiazoles I [R1 = H, alkyl; R2 = H, alkyl, alkoxyalkyl, cycloalkyl, aminoalkyl; n = 1-3] were prepared for use as A2A receptor antagonists. Thus, I [R1 = H, R2 = MeOCH2] was prepared by acylating the amine and had a pKi for human A2A receptor binding of 9.1.

IT 537707-12-3P 537707-15-6P 537707-20-3P

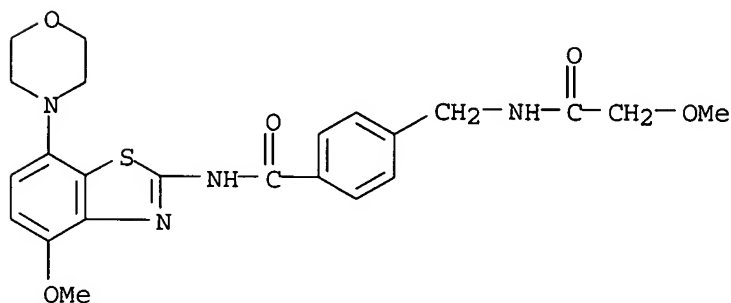
537707-23-6P 537707-26-9P 537707-29-2P  
 537707-35-0P 537707-38-3P 537707-41-8P  
 537707-44-1P 537707-50-9P 537707-53-2P  
 537707-63-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of aroylaminobenzothiazoles as adenosine receptor antagonists)

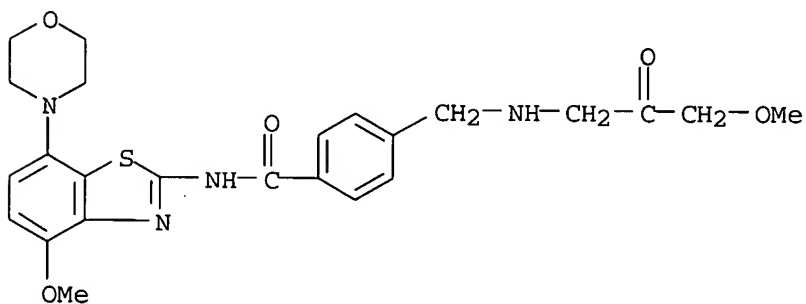
RN 537707-12-3 CAPLUS

CN Benzamide, 4-[[[(methoxyacetyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-  
 2-benzothiazolyl]- (9CI) (CA INDEX NAME)



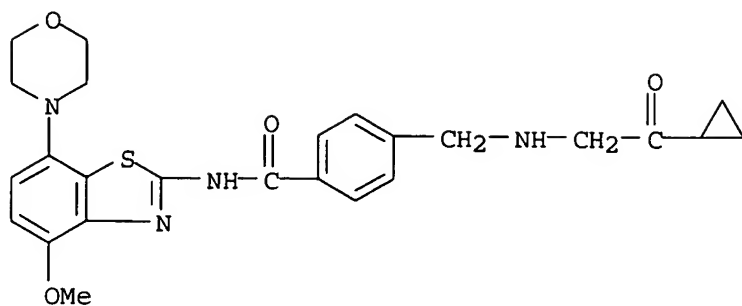
RN 537707-15-6 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[[(3-methoxy-  
 2-oxopropyl)amino]methyl]- (9CI) (CA INDEX NAME)



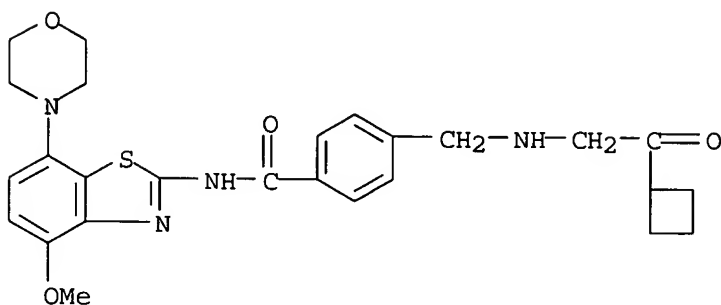
RN 537707-20-3 CAPLUS

CN Benzamide, 4-[[[(2-cyclopropyl-2-oxoethyl)amino]methyl]-N-[4-methoxy-7-(4-  
 morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



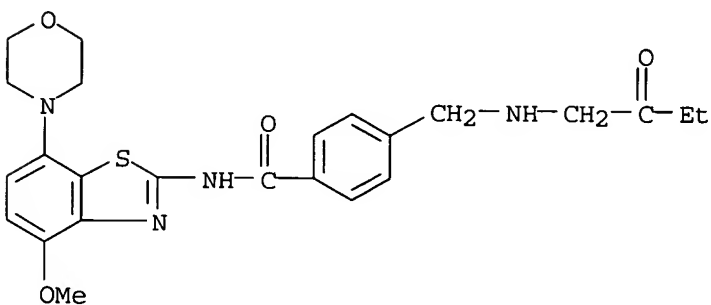
RN 537707-23-6 CAPLUS

CN Benzamide, 4-[[[(2-cyclobutyl-2-oxoethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



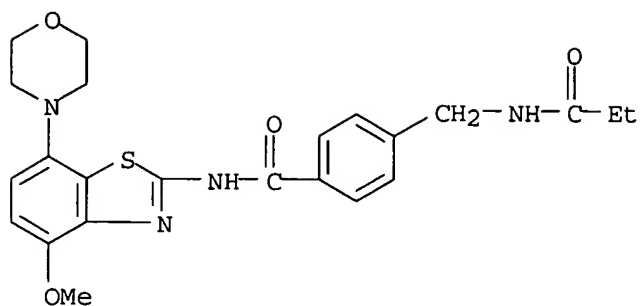
RN 537707-26-9 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[[(2-oxobutyl)amino]methyl]- (9CI) (CA INDEX NAME)



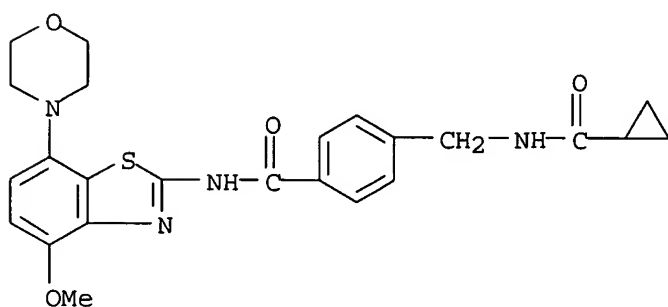
RN 537707-29-2 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[[(1-oxopropyl)amino]methyl]- (9CI) (CA INDEX NAME)



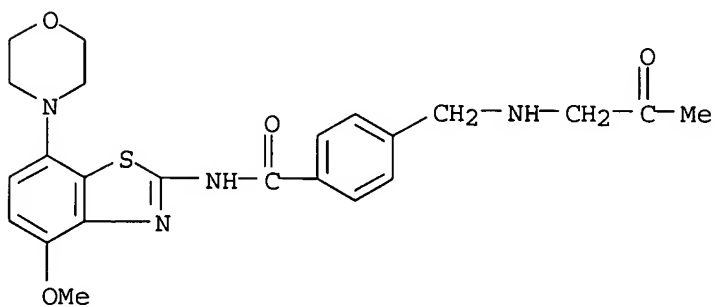
RN 537707-35-0 CAPLUS

CN Benzamide, 4-[[[(cyclopropylcarbonyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



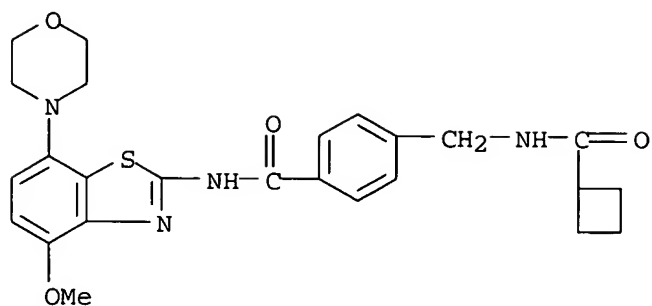
RN 537707-38-3 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[[(2-oxopropyl)amino]methyl]- (9CI) (CA INDEX NAME)



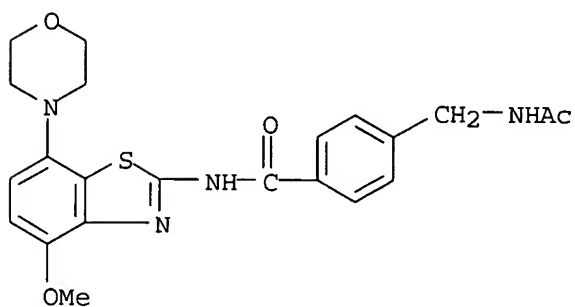
RN 537707-41-8 CAPLUS

CN Benzamide, 4-[[[(cyclobutylcarbonyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



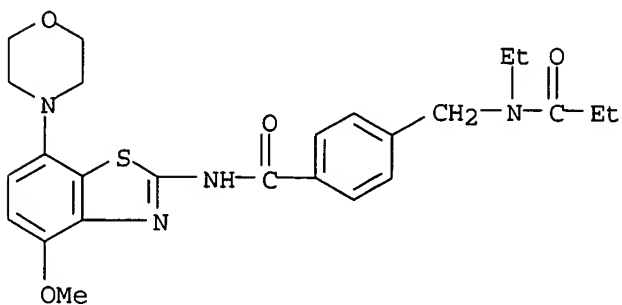
RN 537707-44-1 CAPLUS

CN Benzamide, 4-[(acetylamino)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 537707-50-9 CAPLUS

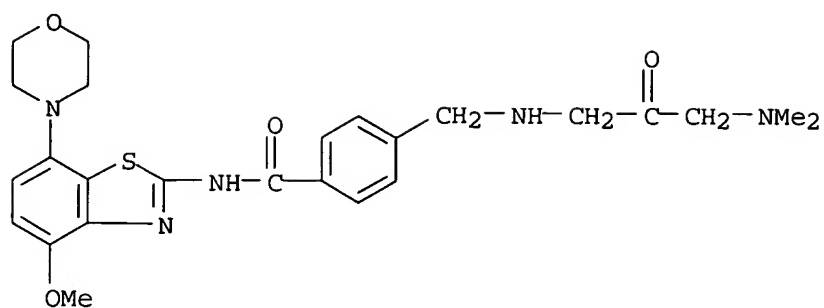
CN Benzamide, 4-[[ethyl(1-oxopropyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 537707-53-2 CAPLUS

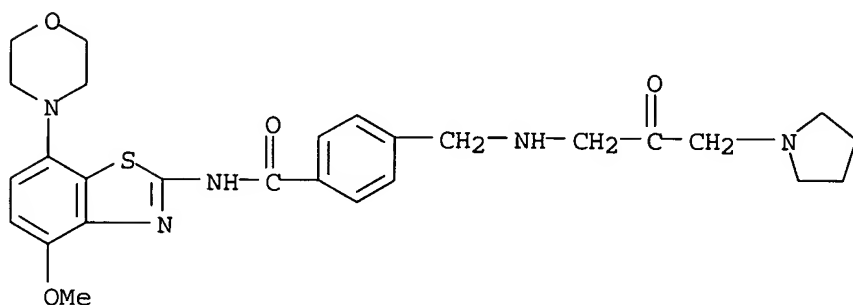
CN Benzamide, 4-[[[3-(dimethylamino)-2-oxopropyl]amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)





RN 537707-63-4 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[[2-oxo-3-(1-pyrrolidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



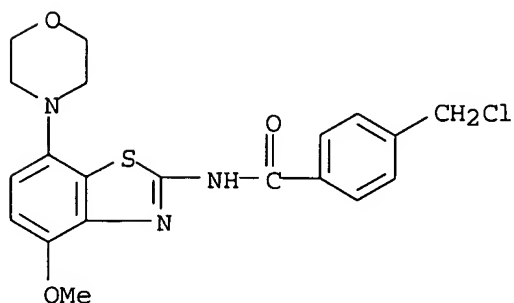
IT 383866-22-6 383868-28-8 537707-66-7  
537707-71-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aroylaminobenzothiazoles as adenosine receptor antagonists)

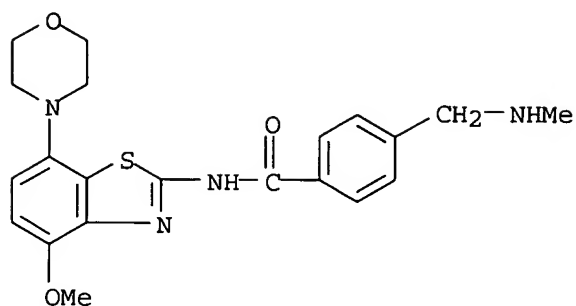
RN 383866-22-6 CAPLUS

CN Benzamide, 4-(chloromethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



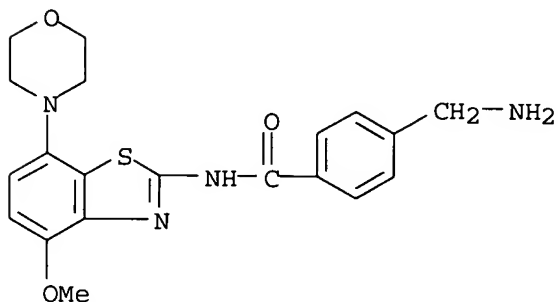
RN 383868-28-8 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)



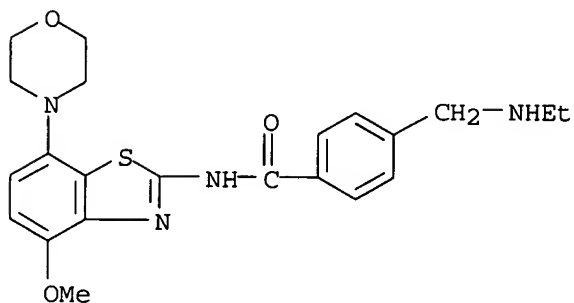
RN 537707-66-7 CAPLUS

CN Benzamide, 4-(aminomethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 537707-71-4 CAPLUS

CN Benzamide, 4-[(ethylamino)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



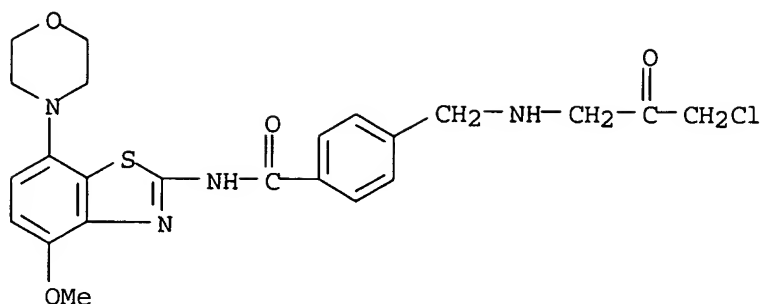
IT 537707-56-5P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
USES (Uses)

(preparation of aroylaminobenzothiazoles as adenosine receptor antagonists)

RN 537707-56-5 CAPLUS

CN Benzamide, 4-[[[(3-chloro-2-oxopropyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



IT 537707-17-8P 537707-32-7P 537707-47-4P

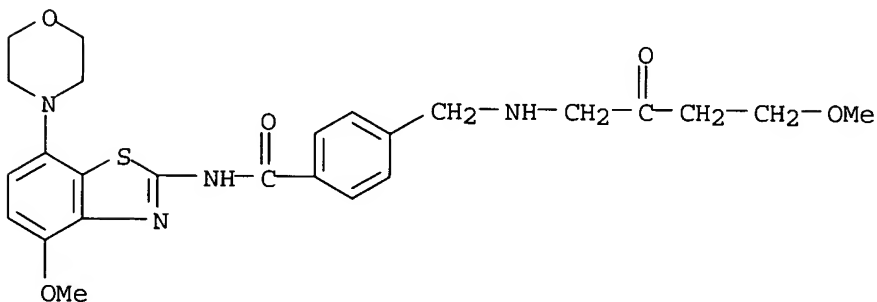
537707-59-8P 537707-60-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aroylaminobenzothiazoles as adenosine receptor antagonists)

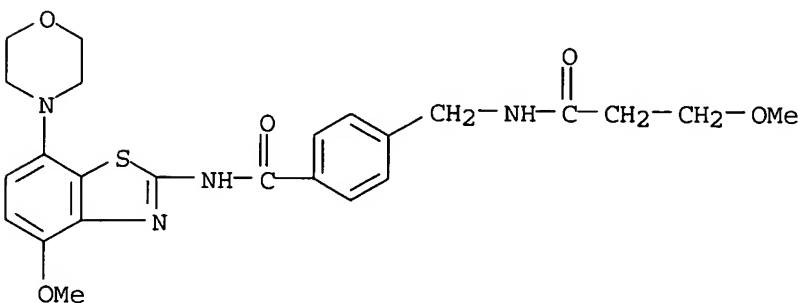
RN 537707-17-8 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[4-methoxy-2-oxobutyl]amino]methyl]- (9CI) (CA INDEX NAME)



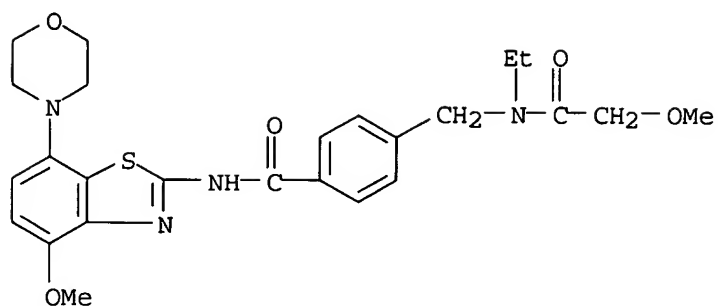
RN 537707-32-7 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[3-methoxy-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)



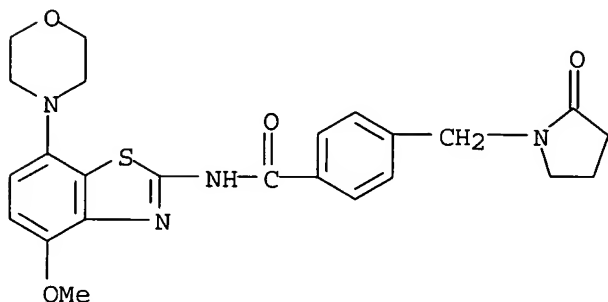
RN 537707-47-4 CAPLUS

CN Benzamide, 4-[[ethyl(methoxyacetyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



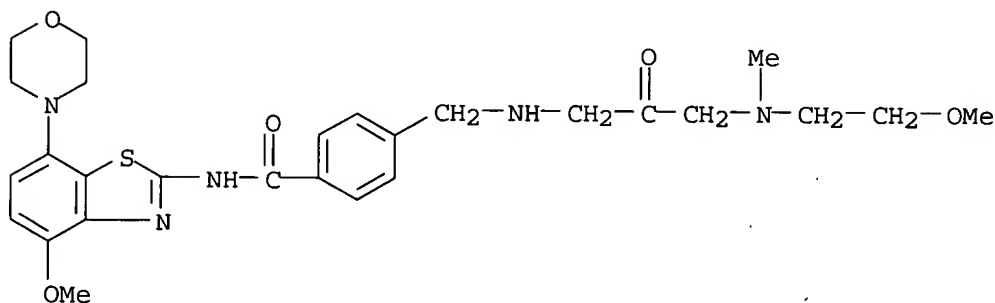
RN 537707-59-8 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[(2-oxo-1-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 537707-60-1 CAPLUS

CN Benzamide, 4-[[[3-[(2-methoxyethyl)methylamino]-2-oxopropyl]amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:417626 CAPLUS

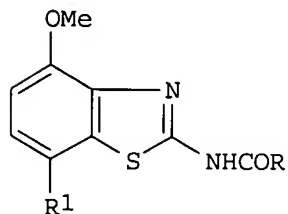
DOCUMENT NUMBER: 139:6865

TITLE: Nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 77 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043636	A1	20030530	WO 2002-EP12562	20021111
W: AE, AG, AL, AM, AT, <del>AU</del> , AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003134854	A1	20030717	US 2002-288100	20021105
US 6620811	B2	20030916		
CA 2467552	AA	20030530	CA 2002-2467552	20021111
EP 1448198	A1	20040825	EP 2002-787632	20021111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014245	A	20041214	BR 2002-14245	20021111
PRIORITY APPLN. INFO.:			EP 2001-127312	A 20011119
			WO 2002-EP12562	W 20021111
OTHER SOURCE(S):		MARPAT 139:6865		
GI				



I

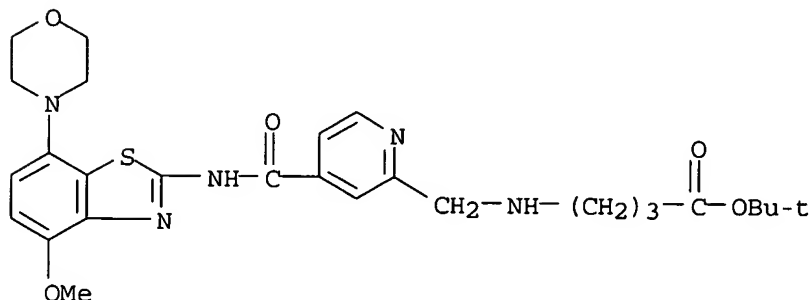
AB Title compds. I [R = 2-substituted 4-pyridyl, 4-substituted 3-pyridyl; R1 = Ph, piperidin-1-yl, morpholinyl] were prepared for use as adenosine A2A receptor ligands. Thus, 4-methoxy-7-morpholinobenzothiazole-2-amine was acylated with 2-chloroisonicotinoyl chloride and treated with HOCH2CH2OMe to give I [R = 2-(2-methoxyethoxy)pyridin-4-yl, R1 = morpholino] which had a pKi for the human A2A receptor of 8.50.

IT 535924-18-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)

RN 535924-18-6 CAPLUS

CN Butanoic acid, 4-[[[4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-2-pyridinyl]methyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



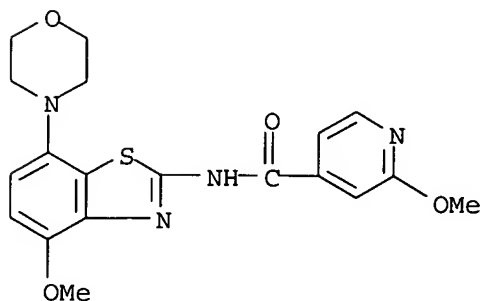
IT 533932-09-1P 535923-58-1P 535923-60-5P  
 535923-61-6P 535923-62-7P 535923-64-9P  
 535923-66-1P 535923-69-4P 535923-71-8P  
 535923-73-0P 535923-74-1P 535923-80-9P  
 535923-82-1P 535923-87-6P 535923-91-2P  
 535923-96-7P 535924-00-6P 535924-03-9P  
 535924-07-3P 535924-10-8P 535924-12-0P  
 535924-14-2P 535924-21-1P 535924-26-6P  
 535924-27-7P 535924-29-9P 535924-30-2P  
 535924-31-3P 535924-32-4P 535924-33-5P  
 535924-37-9P 535924-38-0P 535924-40-4P  
 535924-42-6P 535924-43-7P 535924-44-8P  
 535924-45-9P 535924-46-0P 535924-47-1P  
 535924-49-3P 535924-50-6P 535924-51-7P  
 535924-52-8P 535924-53-9P 535924-54-0P  
 535924-56-2P 535924-57-3P 535924-59-5P  
 535924-60-8P 535924-61-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)

RN 533932-09-1 CAPLUS

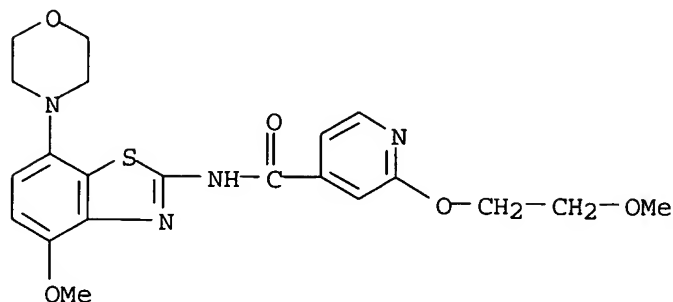
CN 4-Pyridinecarboxamide, 2-methoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



07/19/2005 10691770.trn

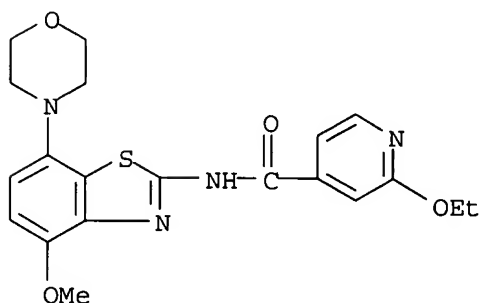
RN 535923-58-1 CAPLUS

CN 4-Pyridinecarboxamide, 2-(2-methoxyethoxy)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



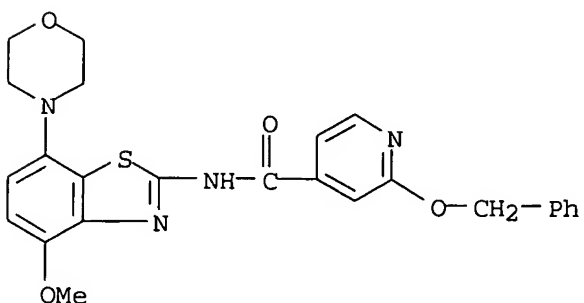
RN 535923-60-5 CAPLUS

CN 4-Pyridinecarboxamide, 2-ethoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



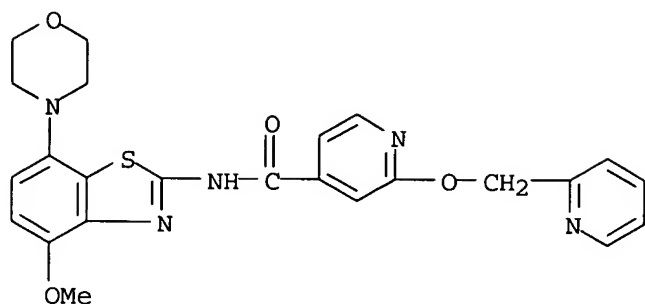
RN 535923-61-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

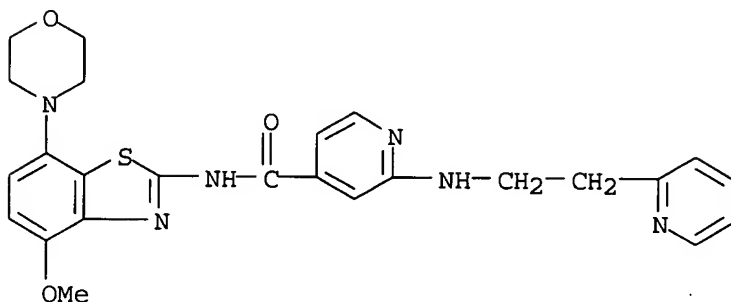


RN 535923-62-7 CAPLUS

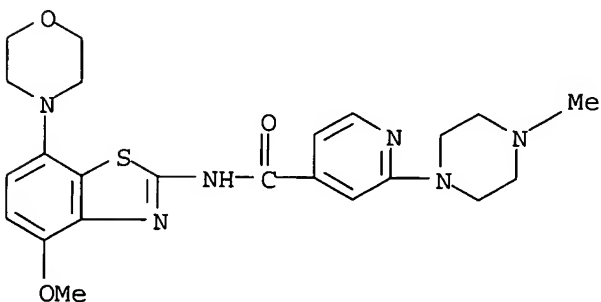
CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 535923-64-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-  
[[2-(2-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

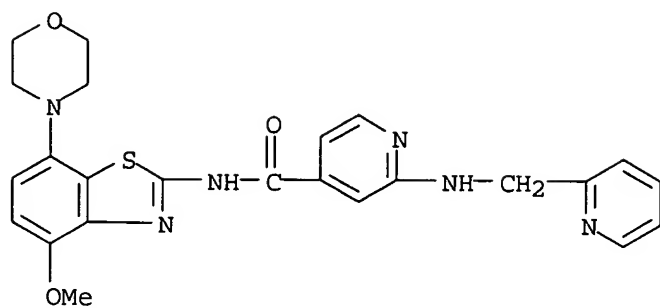
RN 535923-66-1 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-  
(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 535923-69-4 CAPLUS

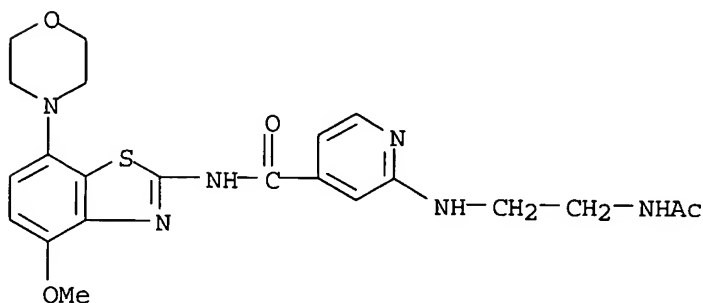
CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-  
[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)





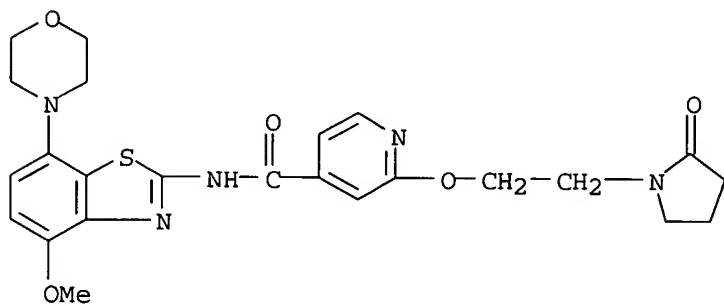
RN 535923-71-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[2-(acetylamino)ethyl]amino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



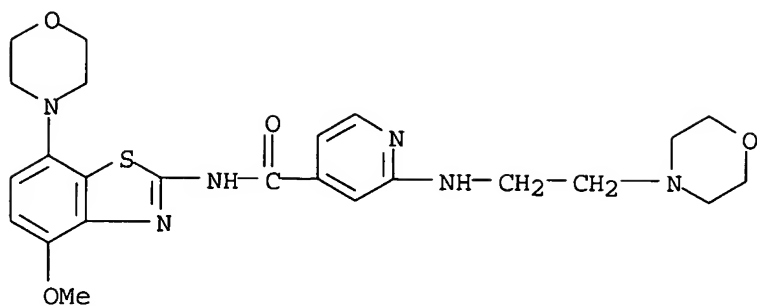
RN 535923-73-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[2-(2-oxo-1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



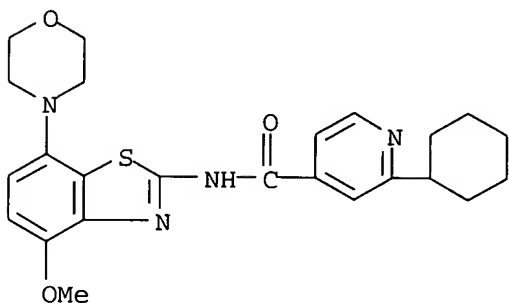
RN 535923-74-1 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



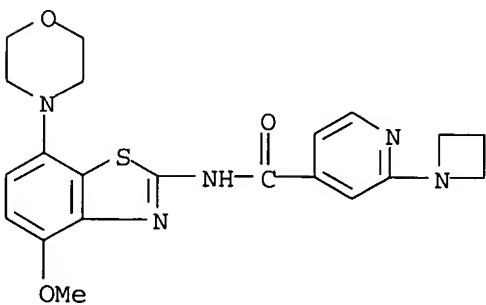
RN 535923-80-9 CAPLUS

CN 4-Pyridinecarboxamide, 2-cyclohexyl-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535923-82-1 CAPLUS

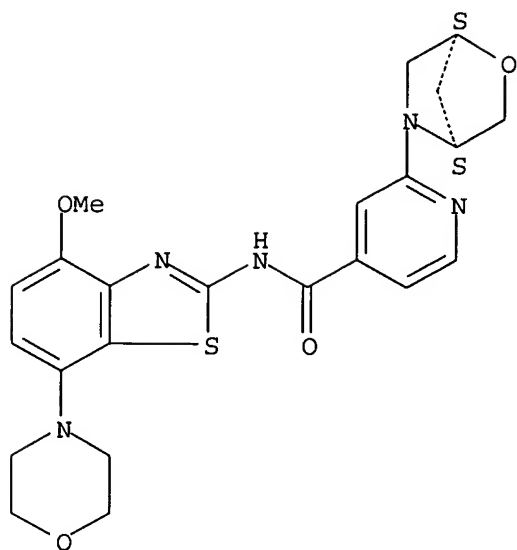
CN 4-Pyridinecarboxamide, 2-(1-azetidiny)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535923-87-6 CAPLUS

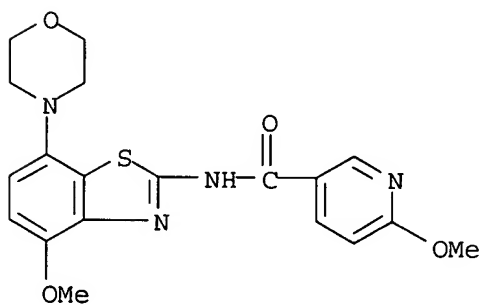
CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



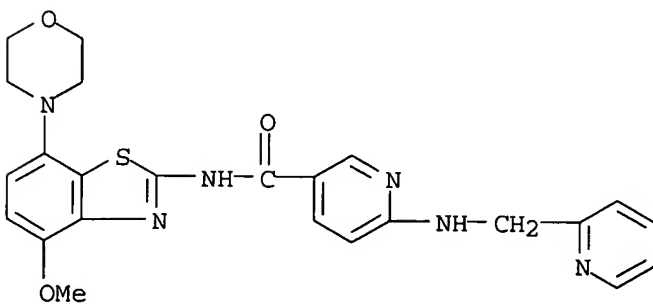
RN 535923-91-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535923-96-7 CAPLUS

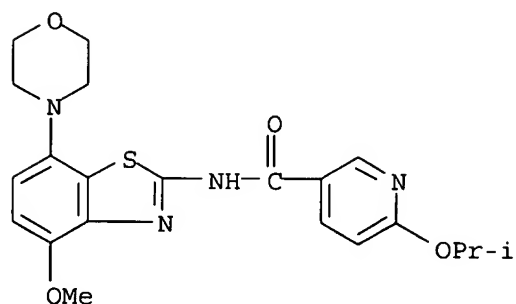
CN 3-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 535924-00-6 CAPLUS

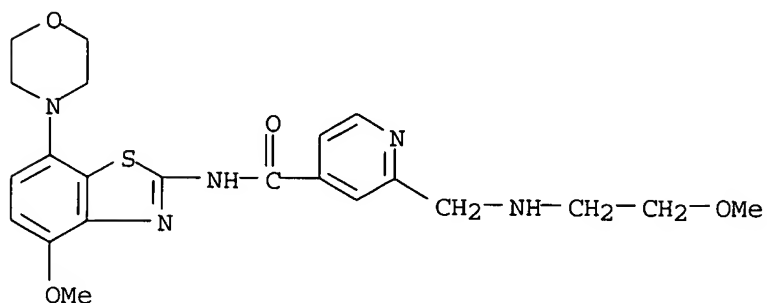
07/19/2005 10691770.trn

CN 3-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-(1-methylethoxy)- (9CI) (CA INDEX NAME)



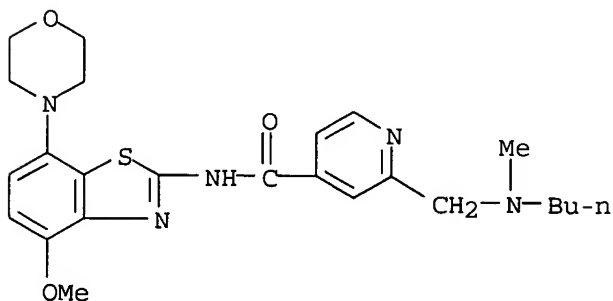
RN 535924-03-9 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[[(2-methoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



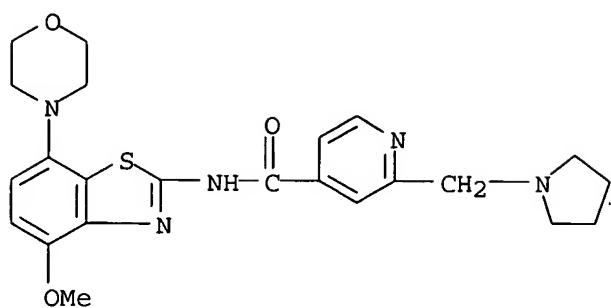
RN 535924-07-3 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(butylmethylamino)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



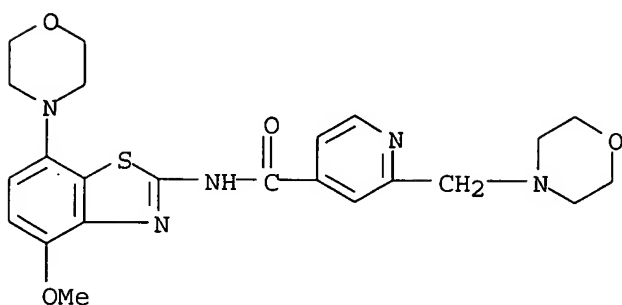
RN 535924-10-8 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



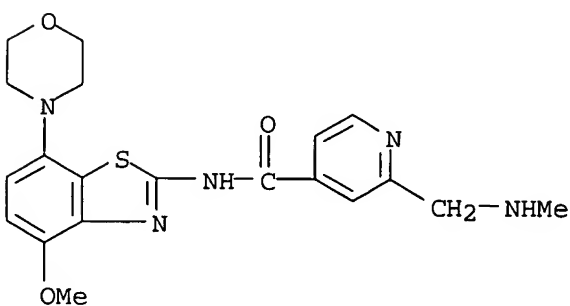
RN 535924-12-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



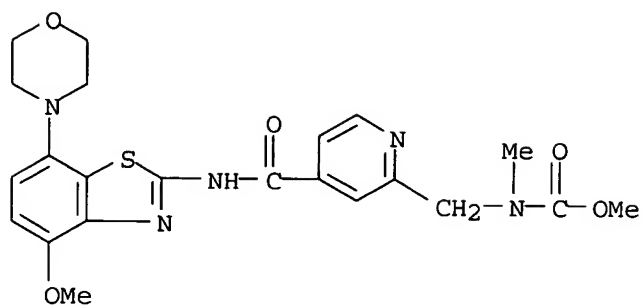
RN 535924-14-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[(methylamino)methyl]- (9CI) (CA INDEX NAME)



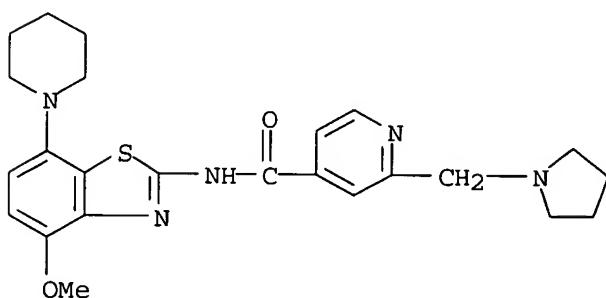
RN 535924-21-1 CAPLUS

CN Carbamic acid, [[4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-2-pyridinyl]methyl]methyl-, methyl ester (9CI) (CA INDEX NAME)



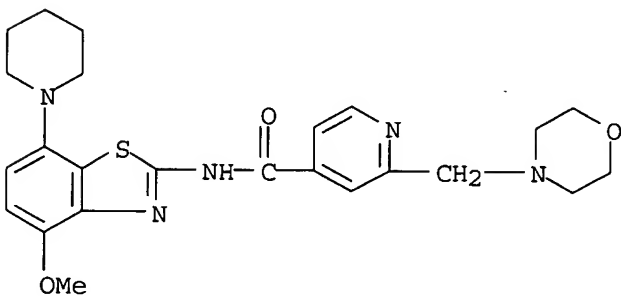
RN 535924-26-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(1-piperidinyl)-2-benzothiazolyl]-2-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



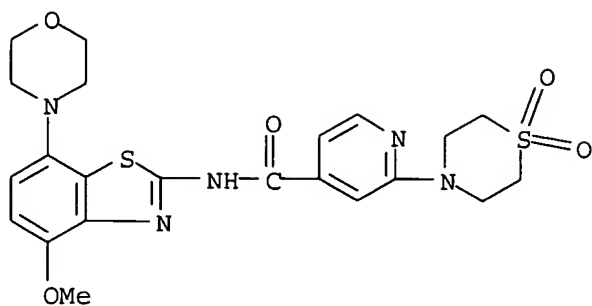
RN 535924-27-7 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(1-piperidinyl)-2-benzothiazolyl]-2-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



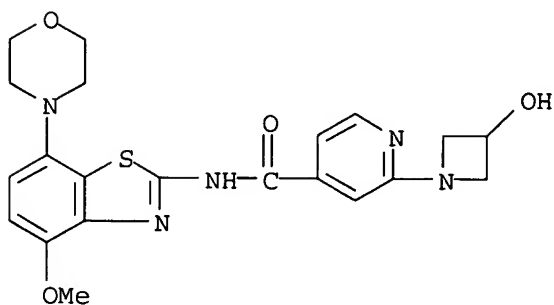
RN 535924-29-9 CAPLUS

CN 4-Pyridinecarboxamide, 2-(1,1-dioxido-4-thiomorpholinyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



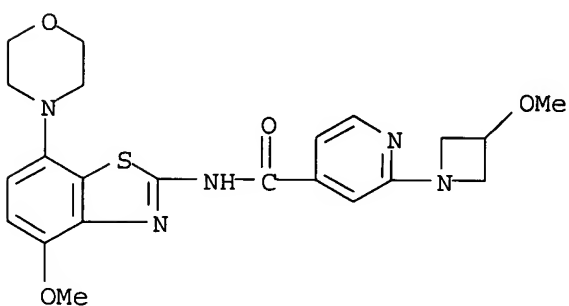
RN 535924-30-2 CAPLUS

CN 4-Pyridinecarboxamide, 2-(3-hydroxy-1-azetidiny)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535924-31-3 CAPLUS

CN 4-Pyridinecarboxamide, 2-(3-methoxy-1-azetidiny)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

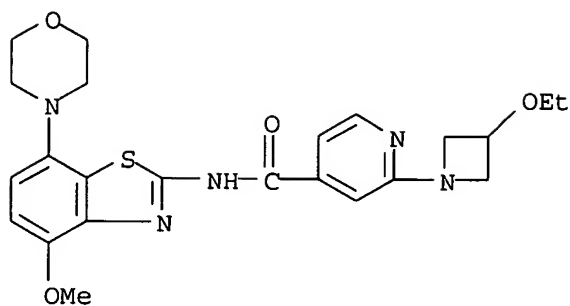


RN 535924-32-4 CAPLUS

CN 4-Pyridinecarboxamide, 2-(3-ethoxy-1-azetidiny)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

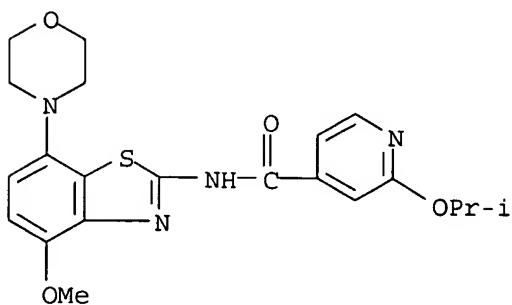
07/19/2005

10691770.trn



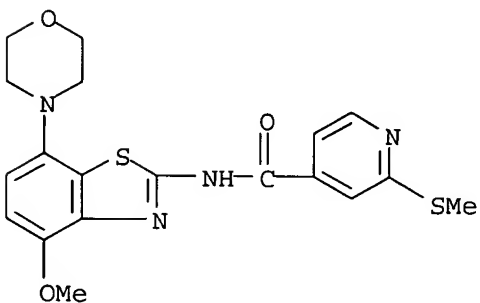
RN 535924-33-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(1-methylethoxy)- (9CI) (CA INDEX NAME)



RN 535924-37-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(methylthio)- (9CI) (CA INDEX NAME)



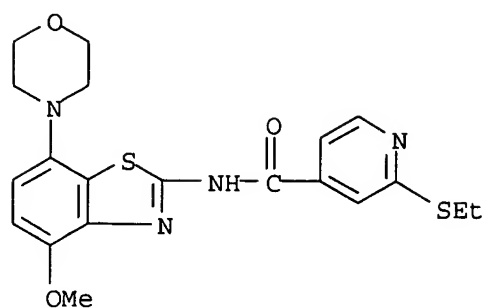
RN 535924-38-0 CAPLUS

CN 4-Pyridinecarboxamide, 2-(ethylthio)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



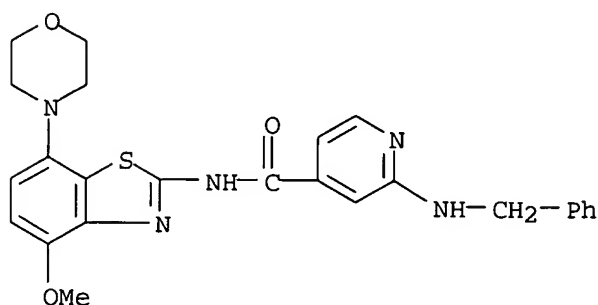
07/19/2005

10691770.trn



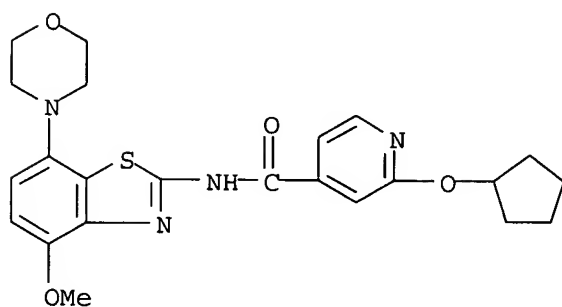
RN 535924-40-4 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



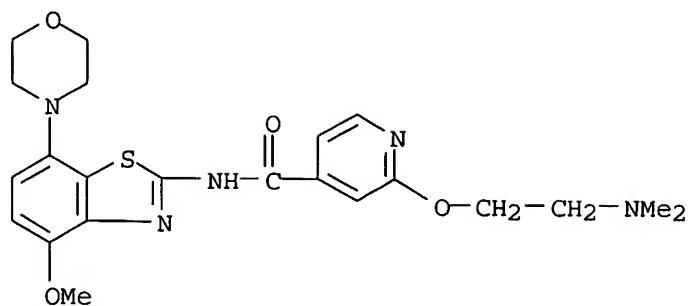
RN 535924-42-6 CAPLUS

CN 4-Pyridinecarboxamide, 2-(cyclopentyloxy)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



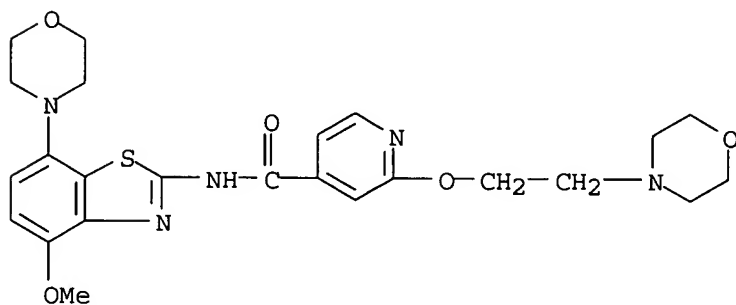
RN 535924-43-7 CAPLUS

CN 4-Pyridinecarboxamide, 2-[2-(dimethylamino)ethoxy]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



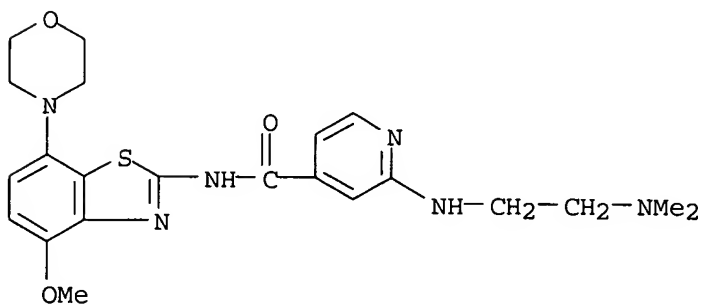
RN 535924-44-8 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



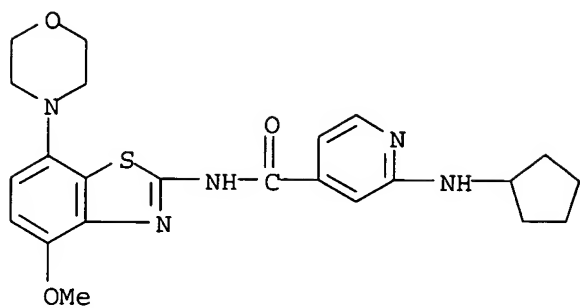
RN 535924-45-9 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[2-(dimethylamino)ethyl]amino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



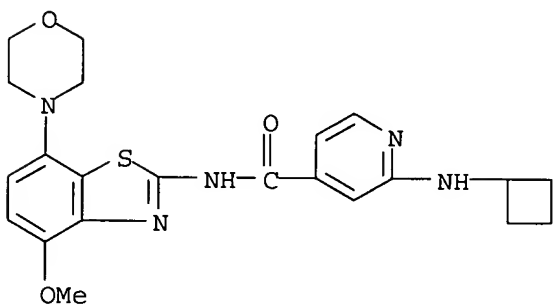
RN 535924-46-0 CAPLUS

CN 4-Pyridinecarboxamide, 2-(cyclopentylamino)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



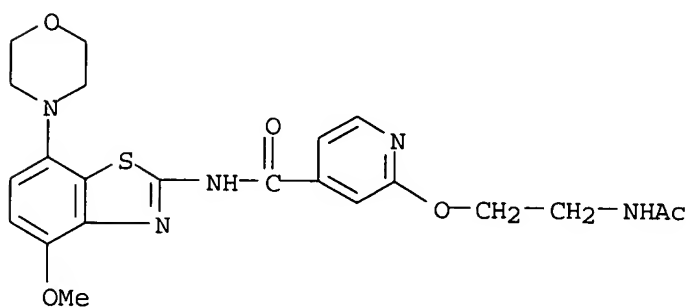
RN 535924-47-1 CAPLUS

CN 4-Pyridinecarboxamide, 2-(cyclobutylamino)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535924-49-3 CAPLUS

CN 4-Pyridinecarboxamide, 2-[2-(acetylamino)ethoxy]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

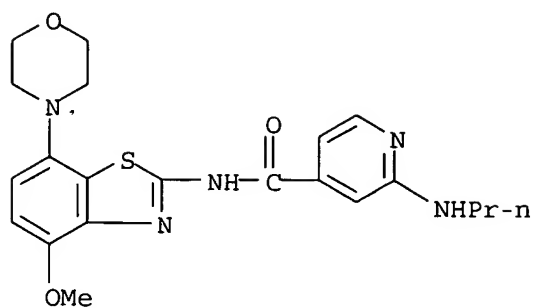


RN 535924-50-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(propylamino)- (9CI) (CA INDEX NAME)

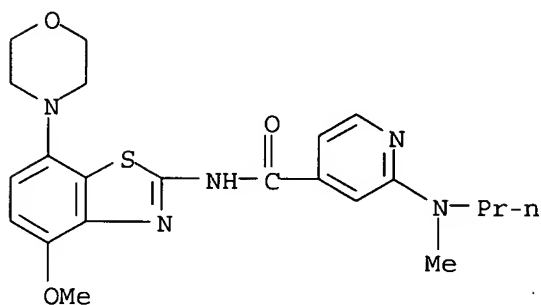
07/19/2005

10691770.trn



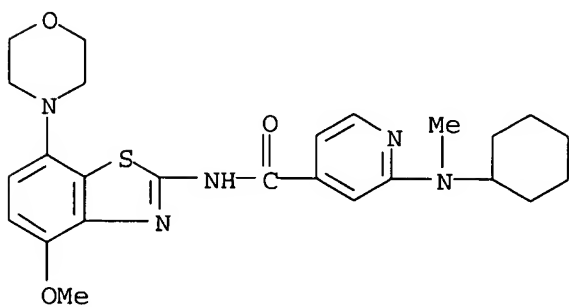
RN 535924-51-7 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(methylpropylamino)- (9CI) (CA INDEX NAME)



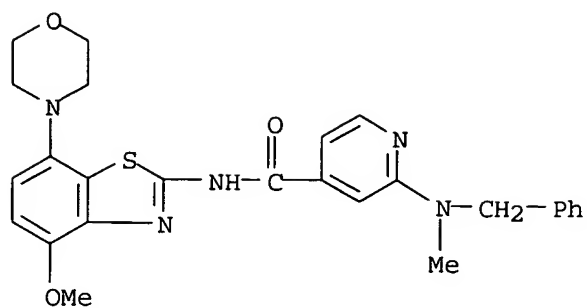
RN 535924-52-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-(cyclohexylmethylamino)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



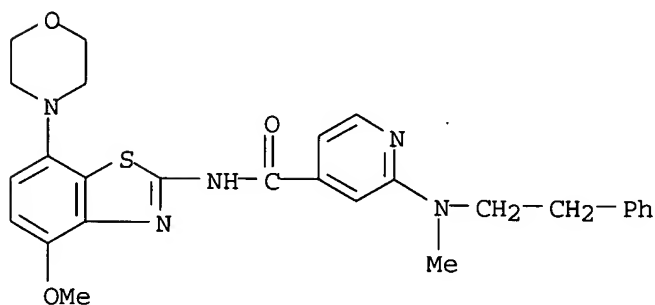
RN 535924-53-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[methyl(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



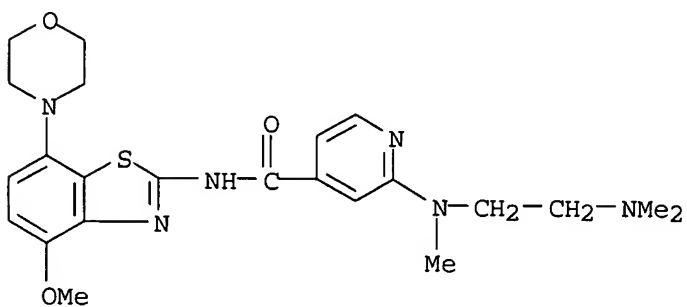
RN 535924-54-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[methyl(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



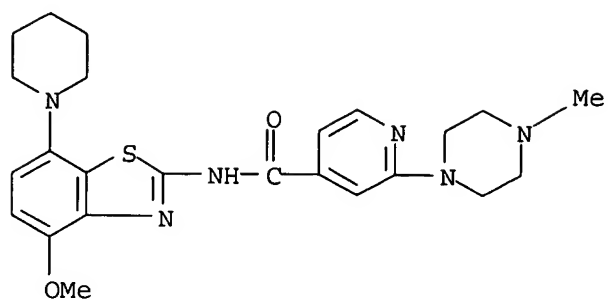
RN 535924-56-2 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[2-(dimethylamino)ethyl]methylamino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



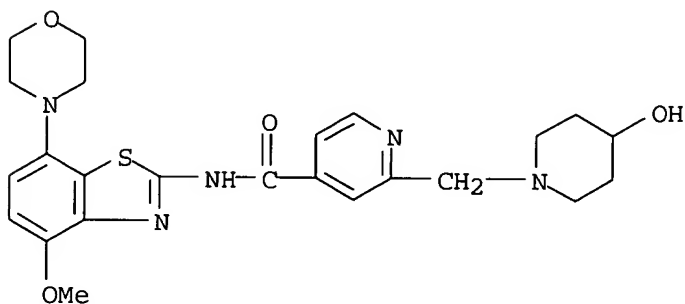
RN 535924-57-3 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(1-piperidiny)-2-benzothiazolyl]-2-[[4-methyl-1-piperazinyl]-4-methyl-1-piperazinyl]- (9CI) (CA INDEX NAME)



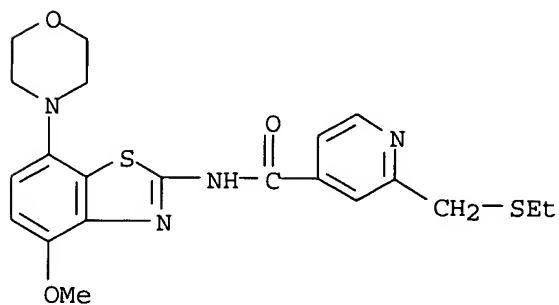
RN 535924-59-5 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(4-hydroxy-1-piperidiny)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



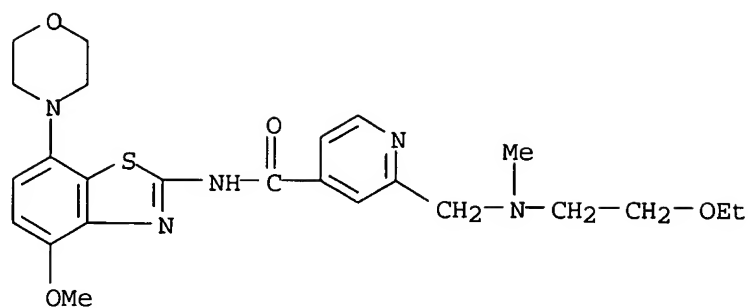
RN 535924-60-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(ethylthio)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535924-61-9 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[[2-ethoxyethyl)methylamino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



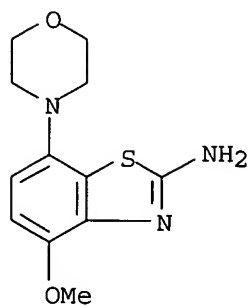
IT 383865-57-4 383869-46-3 535924-71-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)

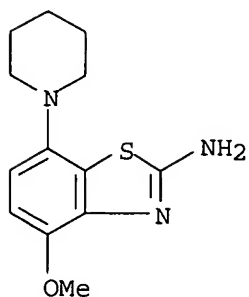
RN 383865-57-4 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



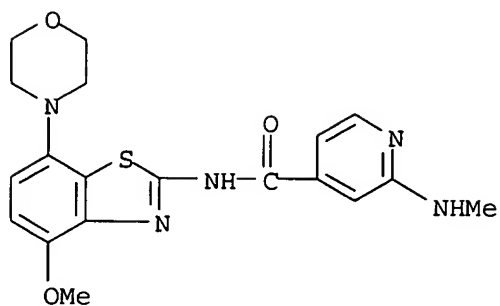
RN 383869-46-3 CAPLUS

CN 2-Benzothiazolamine, 4-methoxy-7-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 535924-71-1 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

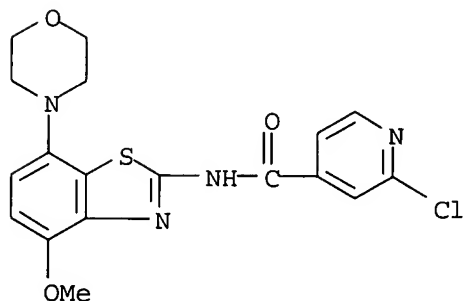


IT 383869-82-7P 535924-24-4P 535924-28-8P  
 535924-67-5P 535924-68-6P 535924-70-0P  
 535924-72-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A  
 receptor ligands)

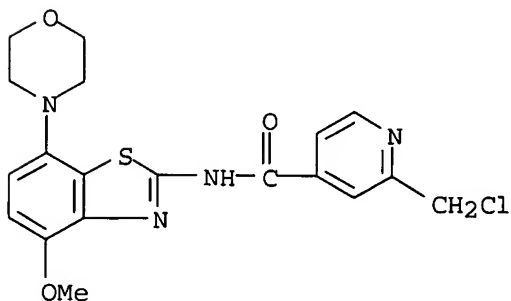
RN 383869-82-7 CAPLUS

CN 4-Pyridinecarboxamide, 2-chloro-N-[4-methoxy-7-(4-morpholinyl)-2-  
 benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535924-24-4 CAPLUS

CN 4-Pyridinecarboxamide, 2-(chloromethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-  
 benzothiazolyl]- (9CI) (CA INDEX NAME)

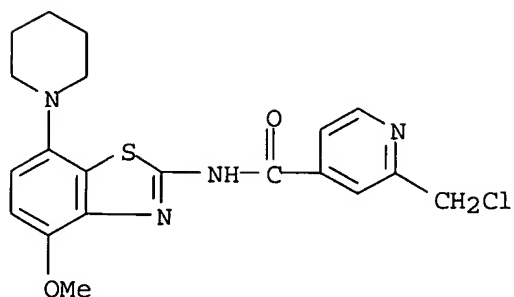


RN 535924-28-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-(chloromethyl)-N-[4-methoxy-7-(1-piperidinyl)-2-

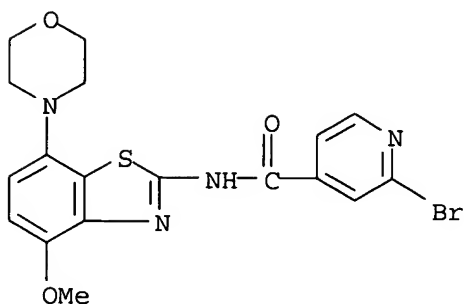


benzothiazolyl]- (9CI) (CA INDEX NAME)



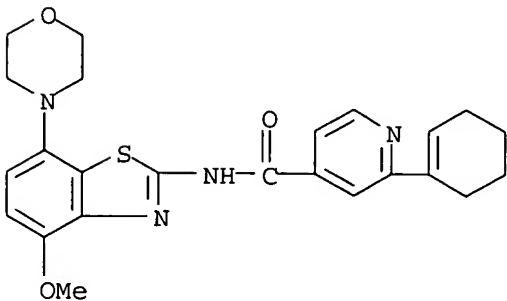
RN 535924-67-5 CAPLUS

CN 4-Pyridinecarboxamide, 2-bromo-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



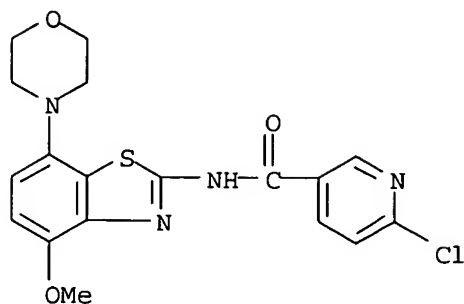
RN 535924-68-6 CAPLUS

CN 4-Pyridinecarboxamide, 2-(1-cyclohexen-1-yl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



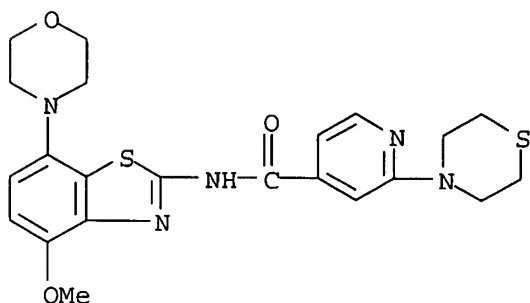
RN 535924-70-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535924-72-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

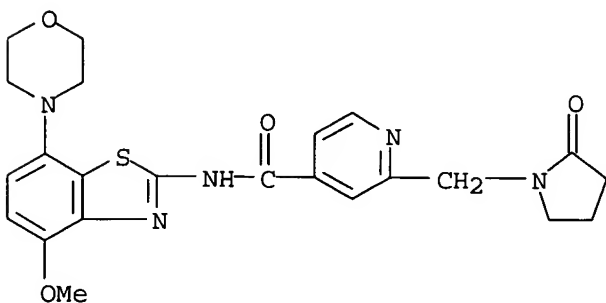


IT 535924-20-0P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
USES (Uses)  
(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A  
receptor ligands)

RN 535924-20-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[(2-oxo-1-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)



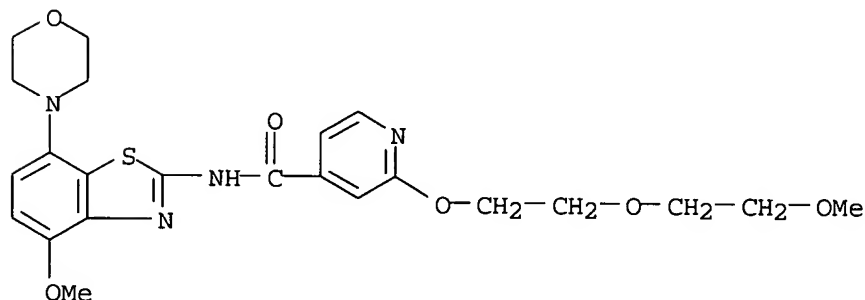
IT 535923-59-2P 535923-63-8P 535923-65-0P  
535923-67-2P 535923-68-3P 535923-70-7P  
535923-72-9P 535923-75-2P 535923-76-3P

535923-77-4P 535923-78-5P 535923-79-6P  
535923-81-0P 535923-83-2P 535923-84-3P  
535923-85-4P 535923-86-5P 535923-88-7P  
535923-89-8P 535923-90-1P 535923-92-3P  
535923-93-4P 535923-94-5P 535923-95-6P  
535923-97-8P 535923-98-9P 535923-99-0P  
535924-01-7P 535924-02-8P 535924-04-0P  
535924-05-1P 535924-06-2P 535924-08-4P  
535924-09-5P 535924-11-9P 535924-13-1P  
535924-15-3P 535924-16-4P 535924-17-5P  
535924-19-7P 535924-22-2P 535924-23-3P  
535924-34-6P 535924-36-8P 535924-39-1P  
535924-41-5P 535924-48-2P 535924-55-1P  
535924-62-0P 535924-63-1P 535924-64-2P  
535924-65-3P 535924-66-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)

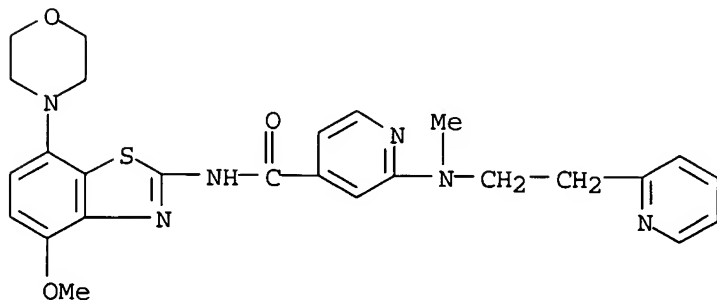
RN 535923-59-2 CAPLUS

CN 4-Pyridinecarboxamide, 2-[2-(2-methoxyethoxy)ethoxy]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



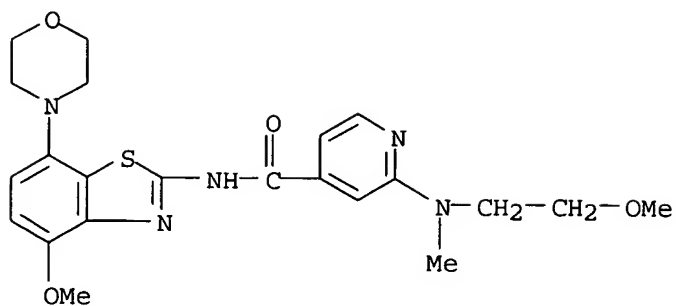
RN 535923-63-8 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[methyl[2-(2-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



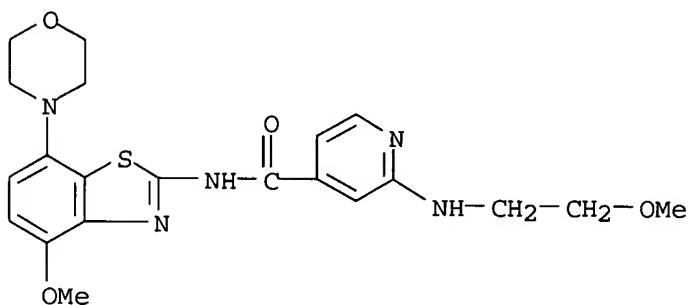
RN 535923-65-0 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(2-methoxyethyl)methylamino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



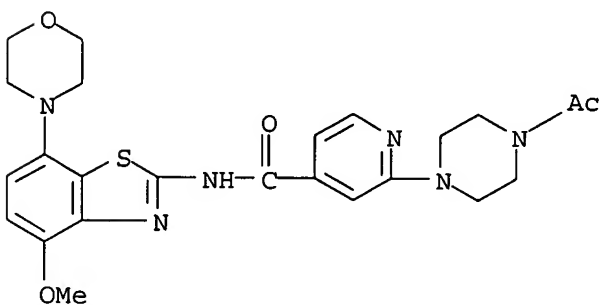
RN 535923-67-2 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(2-methoxyethyl)amino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



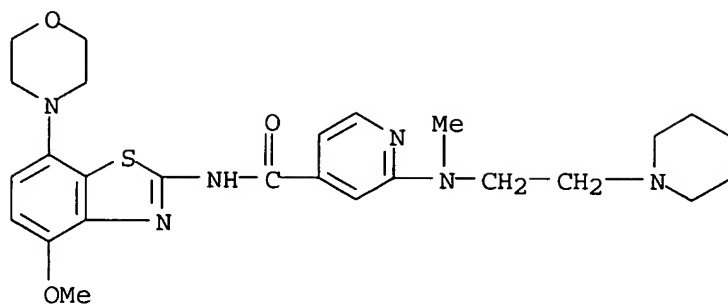
RN 535923-68-3 CAPLUS

CN 4-Pyridinecarboxamide, 2-(4-acetyl-1-piperazinyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



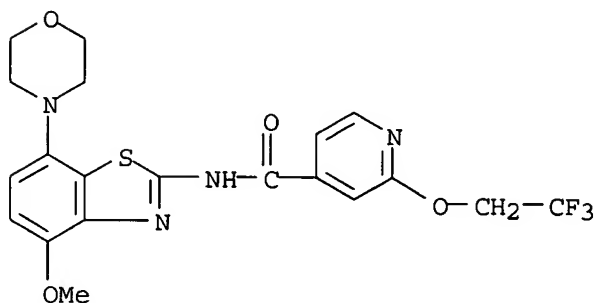
RN 535923-70-7 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[methyl[2-(1-piperidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



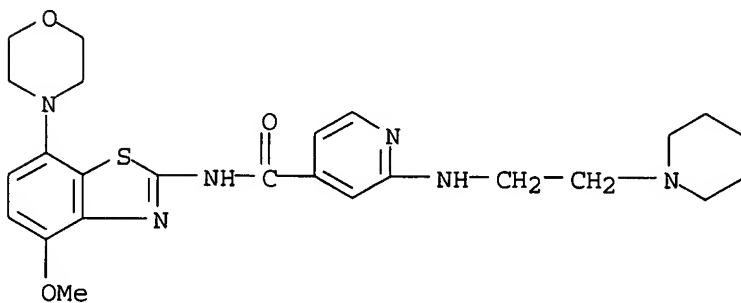
RN 535923-72-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(2,2,2-trifluoroethoxy)- (9CI) (CA INDEX NAME)



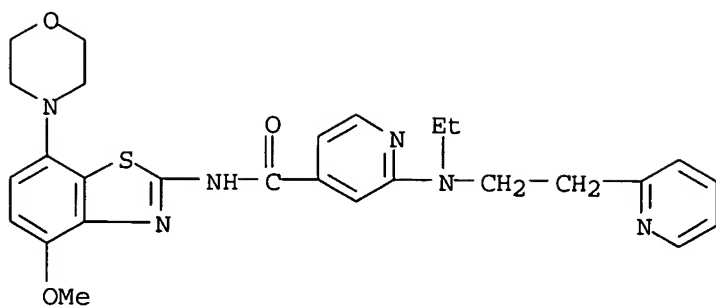
RN 535923-75-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[[2-(1-piperidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



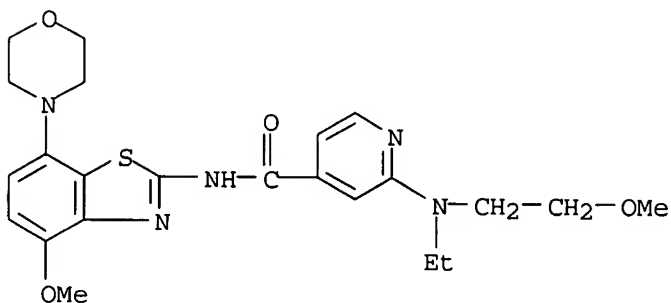
RN 535923-76-3 CAPLUS

CN 4-Pyridinecarboxamide, 2-[ethyl[2-(2-pyridinyl)ethyl]amino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



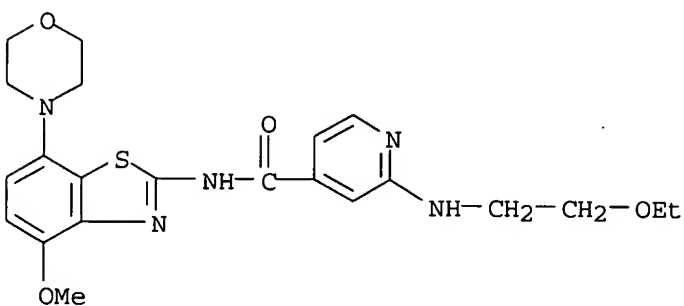
RN 535923-77-4 CAPLUS

CN 4-Pyridinecarboxamide, 2-[ethyl(2-methoxyethyl)amino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535923-78-5 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(2-ethoxyethyl)amino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



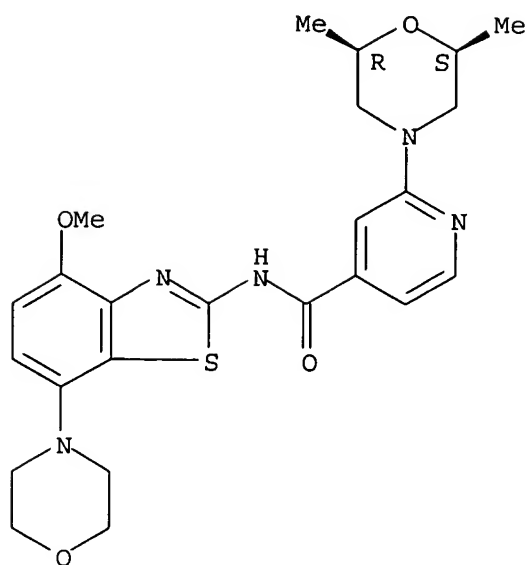
RN 535923-79-6 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(2R,6S)-2,6-dimethyl-4-morpholinyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

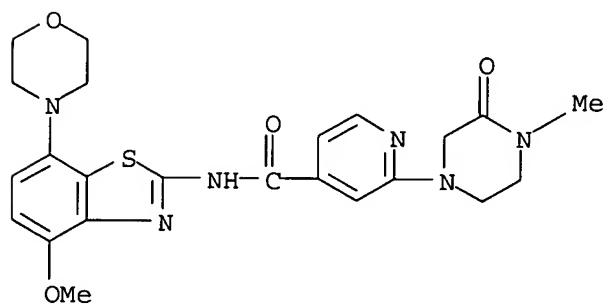
07/19/2005

10691770.trn



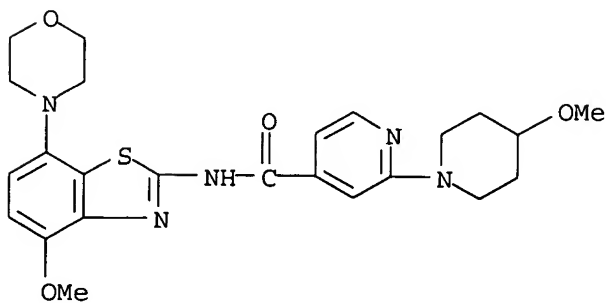
RN 535923-81-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(4-methyl-3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 535923-83-2 CAPLUS

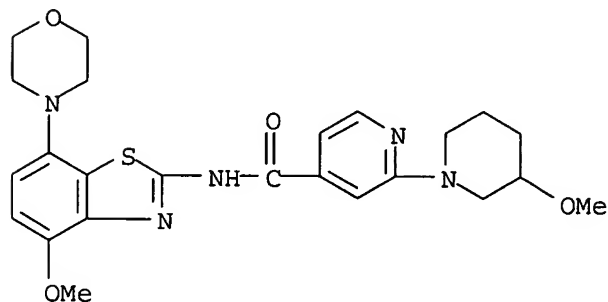
CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(4-methoxy-1-piperidinyl)- (9CI) (CA INDEX NAME)



07/19/2005 10691770.trn

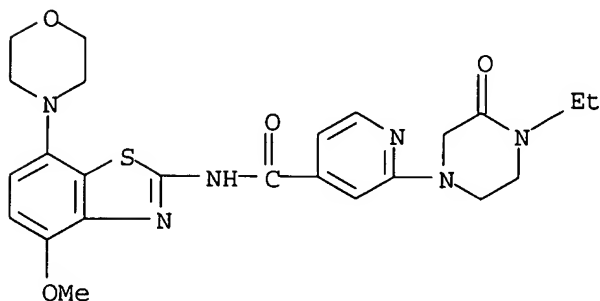
RN 535923-84-3 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(3-methoxy-1-piperidinyl)- (9CI) (CA INDEX NAME)



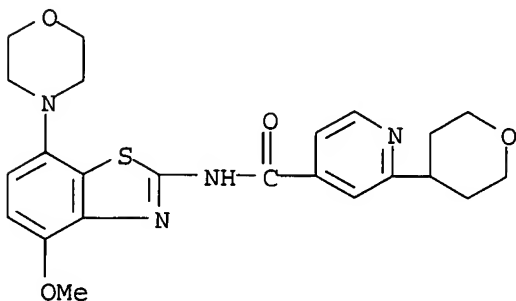
RN 535923-85-4 CAPLUS

CN 4-Pyridinecarboxamide, 2-(4-ethyl-3-oxo-1-piperazinyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535923-86-5 CAPLUS

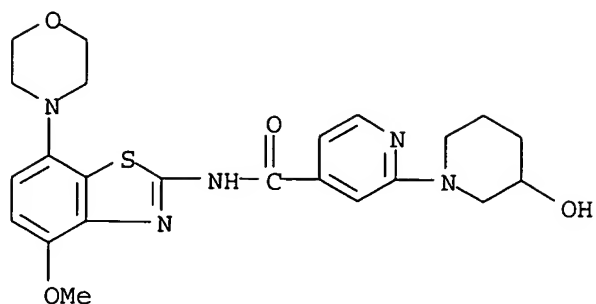
CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 535923-88-7 CAPLUS

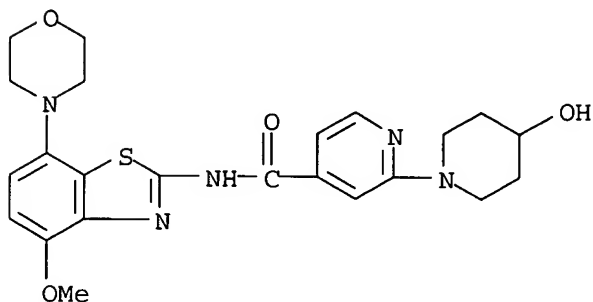
CN 4-Pyridinecarboxamide, 2-(3-hydroxy-1-piperidinyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)





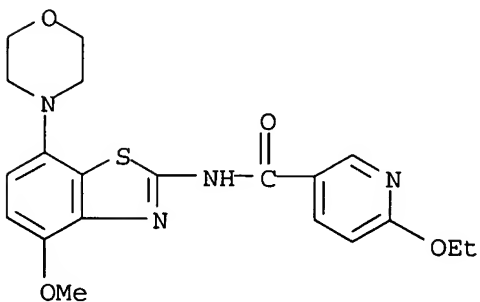
RN 535923-89-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-(4-hydroxy-1-piperidinyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-(9CI) (CA INDEX NAME)



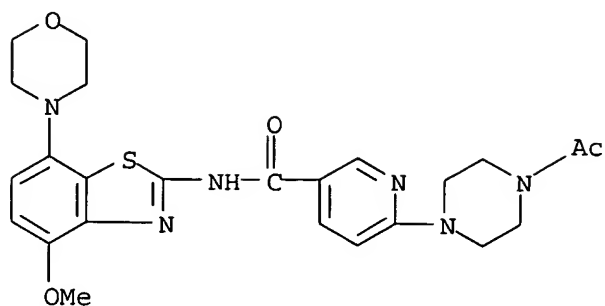
RN 535923-90-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-ethoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-(9CI) (CA INDEX NAME)



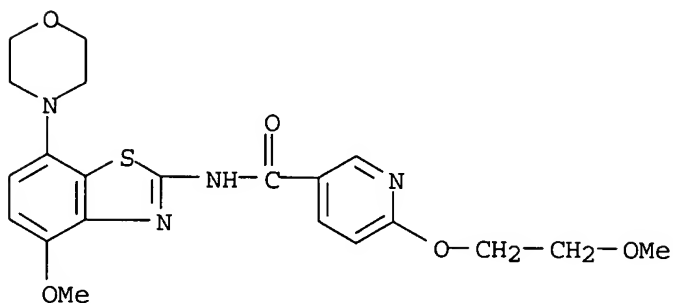
RN 535923-92-3 CAPLUS

CN 3-Pyridinecarboxamide, 6-(4-acetyl-1-piperazinyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-(9CI) (CA INDEX NAME)



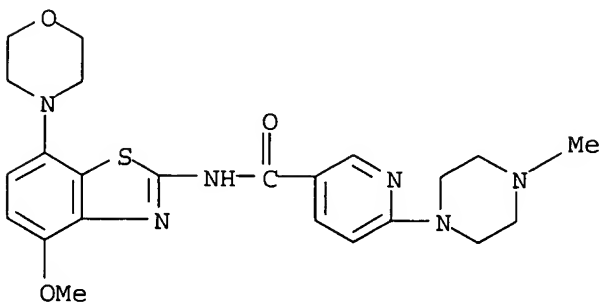
RN 535923-93-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-(2-methoxyethoxy)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535923-94-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



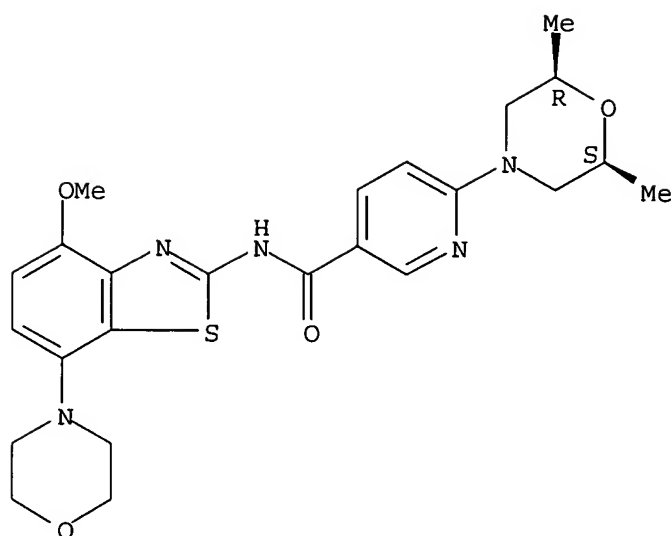
RN 535923-95-6 CAPLUS

CN 3-Pyridinecarboxamide, 6-[(2R,6S)-2,6-dimethyl-4-morpholinyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

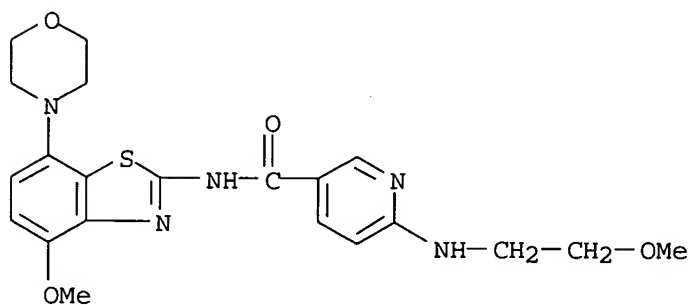
07/19/2005

10691770.trn



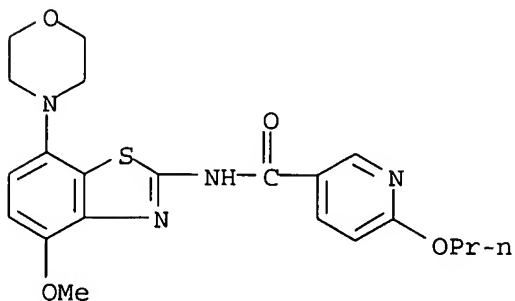
RN 535923-97-8 CAPLUS

CN 3-Pyridinecarboxamide, 6-[(2-methoxyethyl)amino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-(9CI) (CA INDEX NAME)



RN 535923-98-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-propoxy-(9CI) (CA INDEX NAME)



RN 535923-99-0 CAPLUS

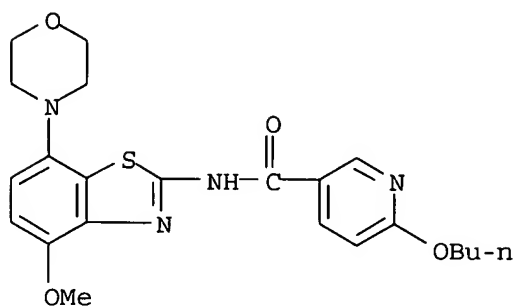
10691770.trn

Page 127

09:12

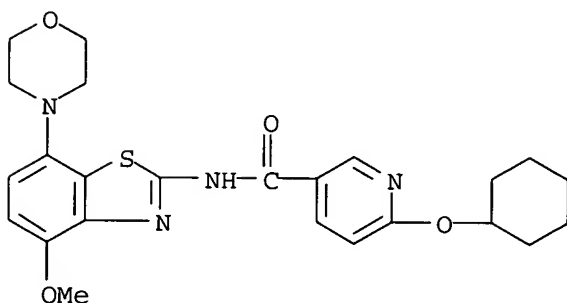
07/19/2005 10691770.trn

CN 3-Pyridinecarboxamide, 6-butoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



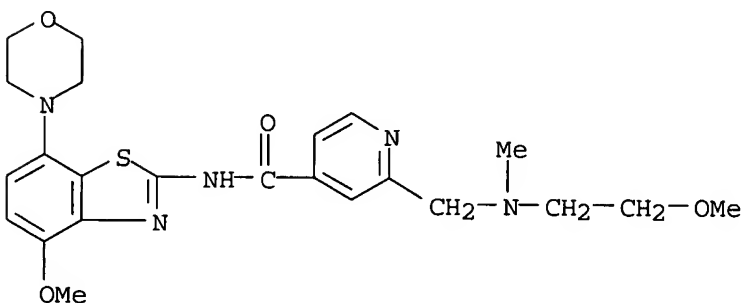
RN 535924-01-7 CAPLUS

CN 3-Pyridinecarboxamide, 6-(cyclohexyloxy)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



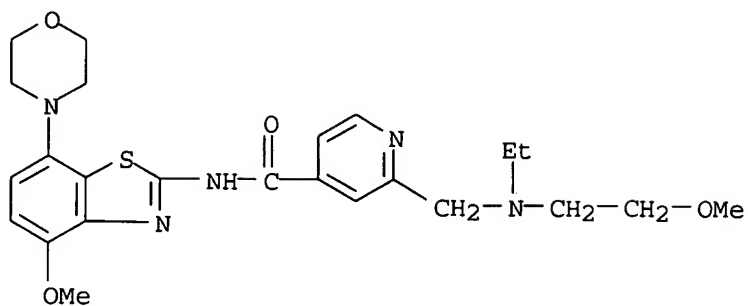
RN 535924-02-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[ (2-methoxyethyl)methylamino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



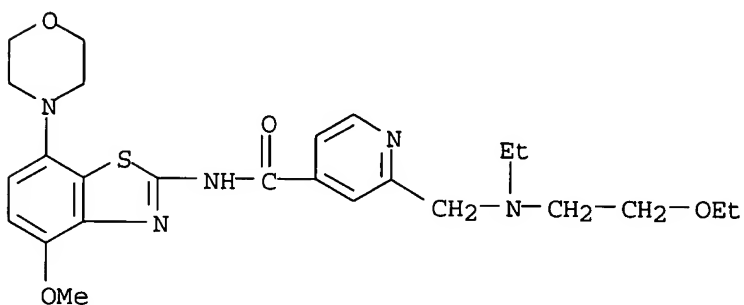
RN 535924-04-0 CAPLUS

CN 4-Pyridinecarboxamide, 2-[ethyl (2-methoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



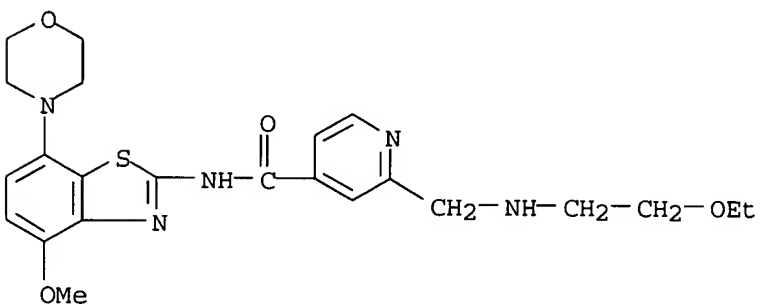
RN 535924-05-1 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[[2-(ethoxyethyl)ethylamino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



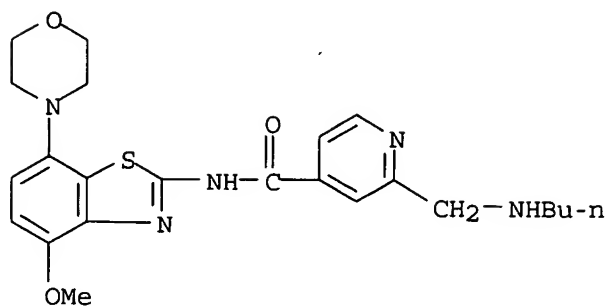
RN 535924-06-2' CAPLUS

CN 4-Pyridinecarboxamide, 2-[[[2-(ethoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



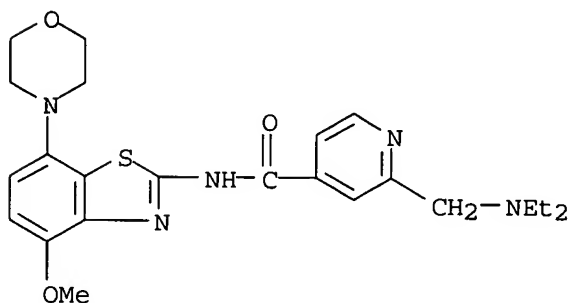
RN 535924-08-4 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(butylamino)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



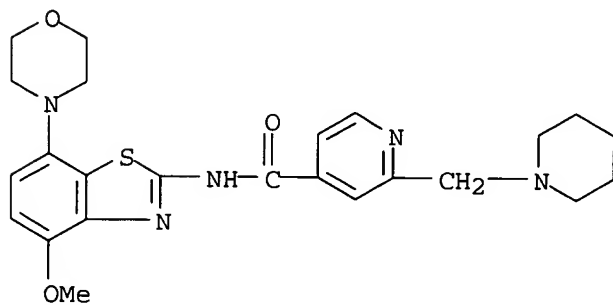
RN 535924-09-5 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(diethylamino)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



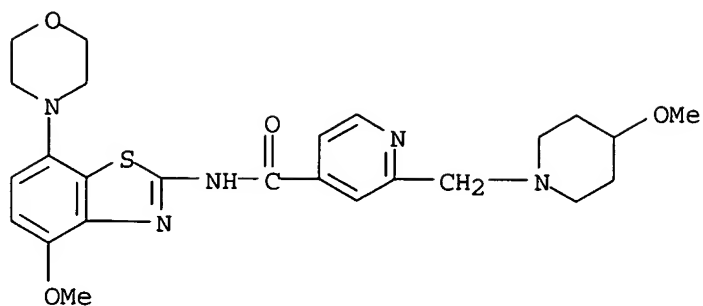
RN 535924-11-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



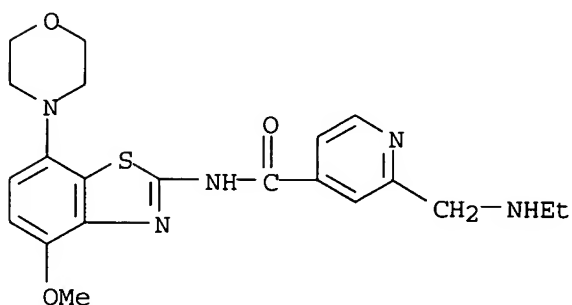
RN 535924-13-1 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[(4-methoxy-1-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



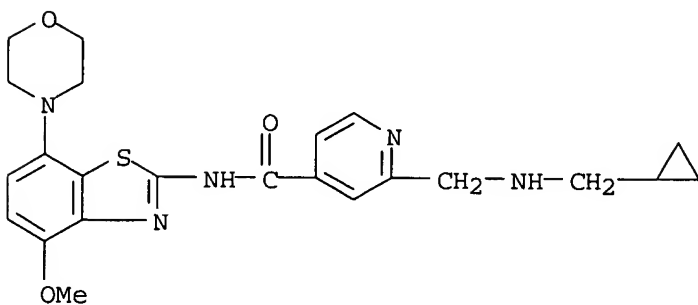
RN 535924-15-3 CAPLUS

CN 4-Pyridinecarboxamide, 2-[(ethylamino)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



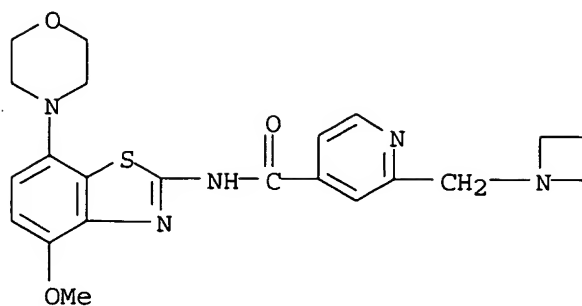
RN 535924-16-4 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[[(cyclopropylmethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]]- (9CI) (CA INDEX NAME)



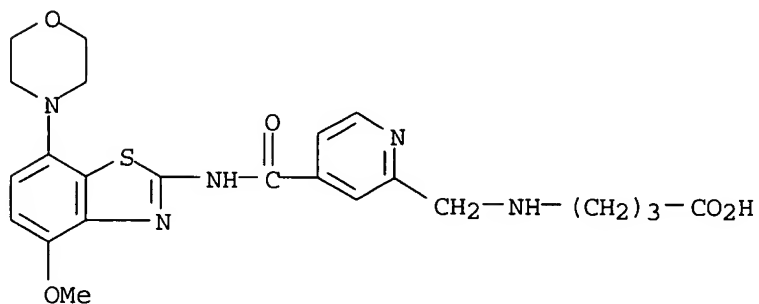
RN 535924-17-5 CAPLUS

CN 4-Pyridinecarboxamide, 2-(1-azetidinylmethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



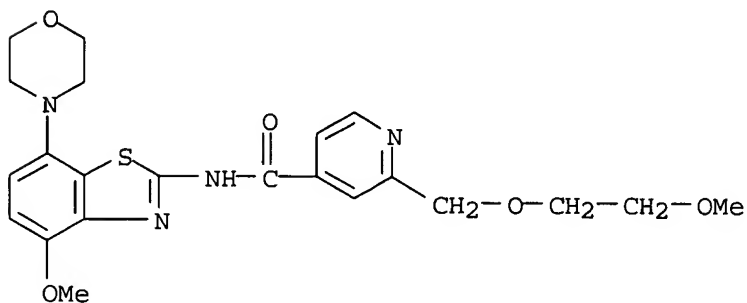
RN 535924-19-7 CAPLUS

CN Butanoic acid, 4-[[[4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-2-pyridinyl]methyl]amino] - (9CI) (CA INDEX NAME)



RN 535924-22-2 CAPLUS

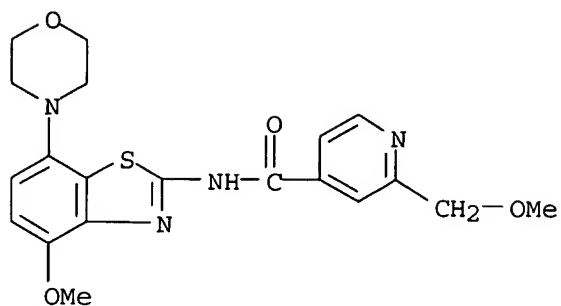
CN 4-Pyridinecarboxamide, 2-[(2-methoxyethoxy)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl] - (9CI) (CA INDEX NAME)



RN 535924-23-3 CAPLUS

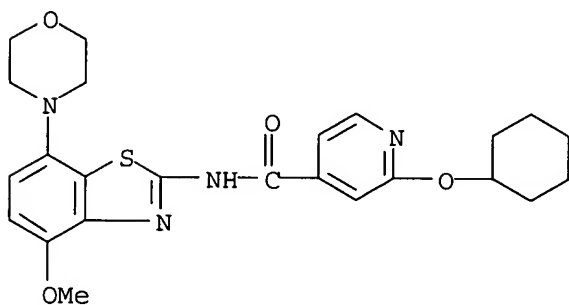
CN 4-Pyridinecarboxamide, 2-(methoxymethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl] - (9CI) (CA INDEX NAME)





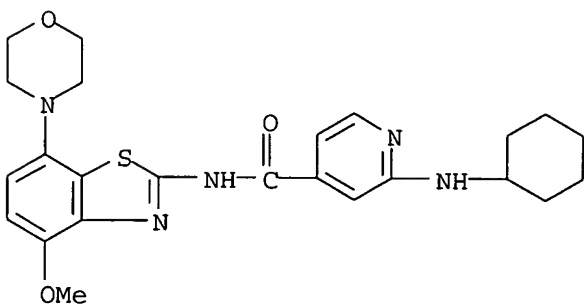
RN 535924-34-6 CAPLUS

CN 4-Pyridinecarboxamide, 2-(cyclohexyloxy)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



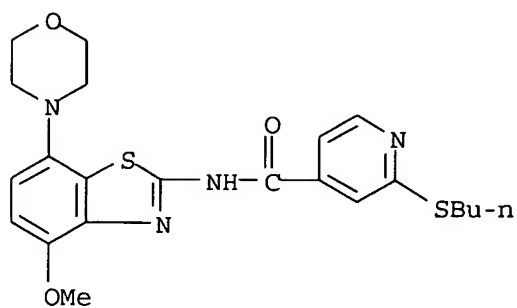
RN 535924-36-8 CAPLUS

CN 4-Pyridinecarboxamide, 2-(cyclohexylamino)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



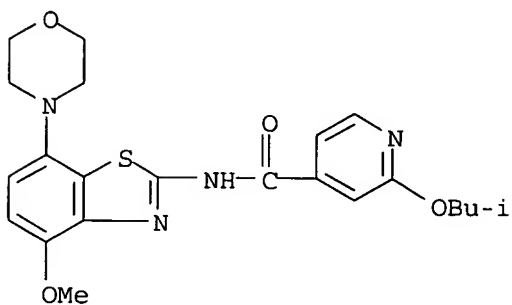
RN 535924-39-1 CAPLUS

CN 4-Pyridinecarboxamide, 2-(butylthio)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



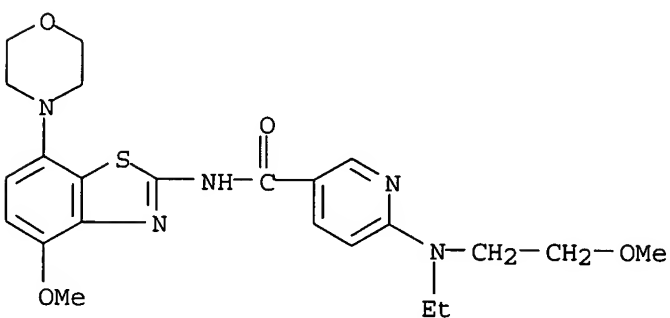
RN 535924-41-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-(2-methylpropoxy)- (9CI) (CA INDEX NAME)



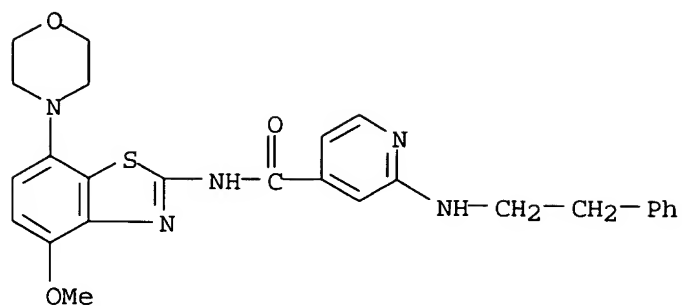
RN 535924-48-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-[ethyl (2-methoxyethyl) amino]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535924-55-1 CAPLUS

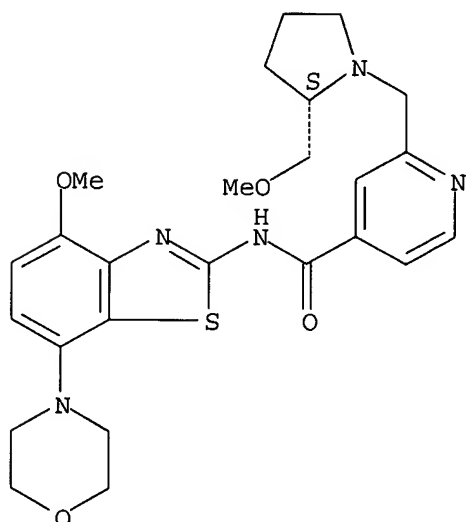
CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 535924-62-0 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

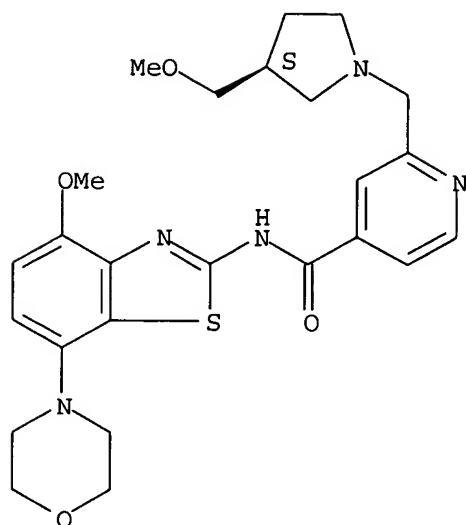
Absolute stereochemistry.



RN 535924-63-1 CAPLUS

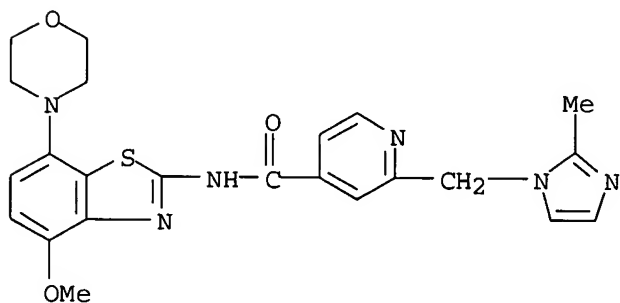
CN 4-Pyridinecarboxamide, 2-[[[(3S)-3-(methoxymethyl)-1-pyrrolidinyl]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



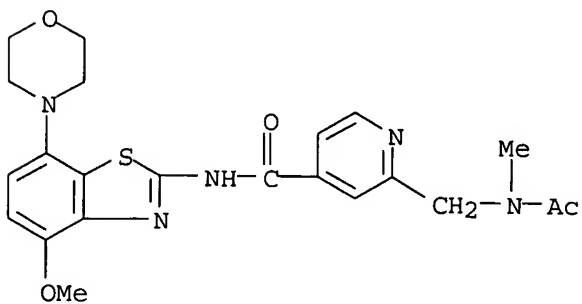
RN 535924-64-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 535924-65-3 CAPLUS

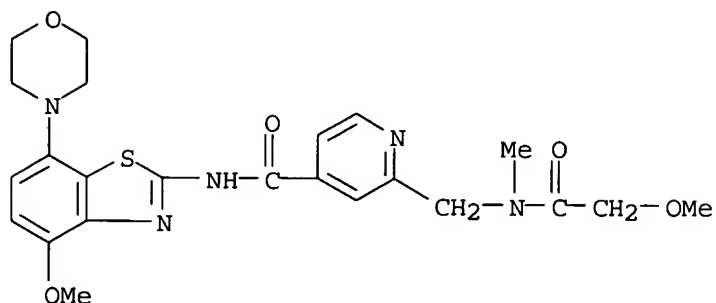
CN 4-Pyridinecarboxamide, 2-[(acetylmethylamino)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 535924-66-4 CAPLUS

CN 4-Pyridinecarboxamide, 2-[[[(methoxyacetyl)methylamino]methyl]-N-[4-methoxy-

7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:417624 CAPLUS

DOCUMENT NUMBER: 139:6879

TITLE: Preparation of N-[7-(morpholin-4-yl)benzothiazol-2-yl] 2-oxo-1,2-dihydropyridine-4-carboxamides as adenosine receptor ligands

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

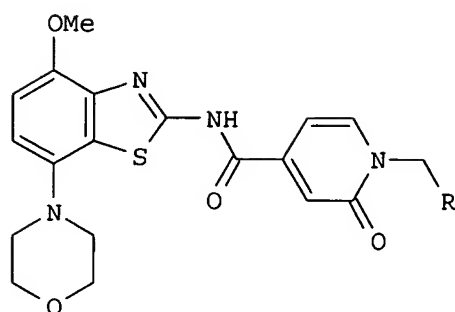
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043634	A1	20030530	WO 2002-EP12543	20021109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6599901	B1	20030729	US 2002-288531	20021105
CA 2467351	AA	20030530	CA 2002-2467551	20021109
EP 1448196	A1	20040825	EP 2002-803360	20021109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014221	A	20040921	BR 2002-14221	20021109
JP 2005515980	T2	20050602	JP 2003-545315	20021109
PRIORITY APPLN. INFO.:			EP 2001-127313	A 20011119
			WO 2002-EP12543	W 20021109

OTHER SOURCE(S): MARPAT 139:6879

GI



I

AB The title compds. [I; R = Ph, pyridin-2-yl, CO<sub>2</sub>(alkyl), CO(alkyl), CO(morpholinyl), CON(R<sub>1</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>n</sub>N(R<sub>1</sub>)<sub>2</sub> or (CH<sub>2</sub>)<sub>n</sub>O(alkyl); R<sub>1</sub> = H, alkyl] which have a good affinity to the A<sub>2A</sub> receptor and therefore they may be used in the control or prevention of illnesses based on the modulation of the adenosine system, such as Alzheimer's disease, Parkinson's disease, Huntington's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, drug addiction, such as amphetamine, cocaine, opioids, ethanol, nicotine, cannabinoids, or against asthma, allergic responses, hypoxia, ischemia, seizure and substance abuse, were prepared and formulated. **Thus**, reacting 2-methoxy-N-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]isonicotinamide with PhCH<sub>2</sub>Br in the presence of NaI in MeCN afforded 32% I [R = Ph] which showed pK<sub>i</sub> of 8.67 against human adenosine A<sub>2A</sub> receptor binding. Furthermore, compds. of I may be useful as sedatives, muscle relaxants, antipsychotics, antiepileptics, anticonvulsants and cardioprotective agents for disorders such as coronary artery disease and heart failure.

IT 533932-03-5P 533932-04-6P 533932-05-7P

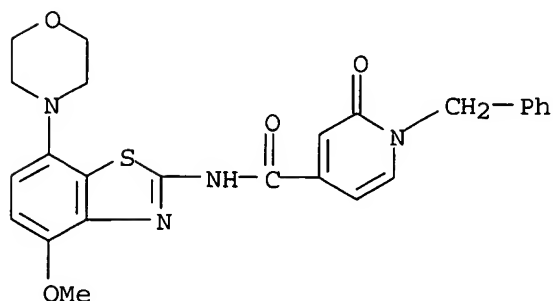
533932-06-8P 533932-07-9P 533932-08-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[7-(morpholin-4-yl)benzothiazol-2-yl] 2-oxo-1,2-dihydropyridine-4-carboxamides as adenosine receptor ligands)

RN 533932-03-5 CAPLUS

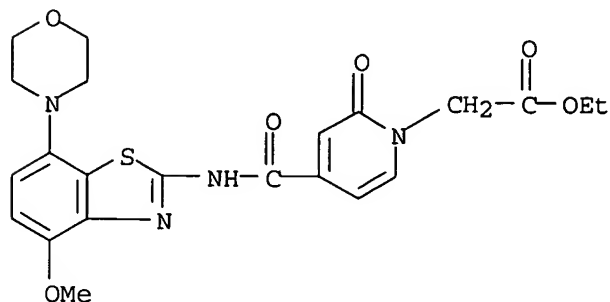
CN 4-Pyridinecarboxamide, 1,2-dihydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



07/19/2005 10691770.trn

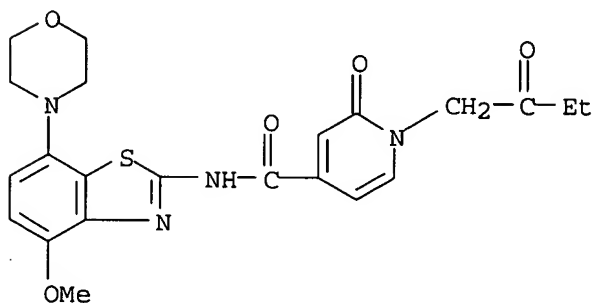
RN 533932-04-6 CAPLUS

CN 1(2H)-Pyridineacetic acid, 4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



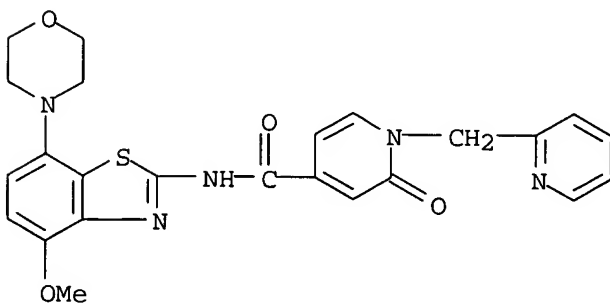
RN 533932-05-7 CAPLUS

CN 4-Pyridinecarboxamide, 1,2-dihydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-oxo-1-(2-oxobutyl)- (9CI) (CA INDEX NAME)



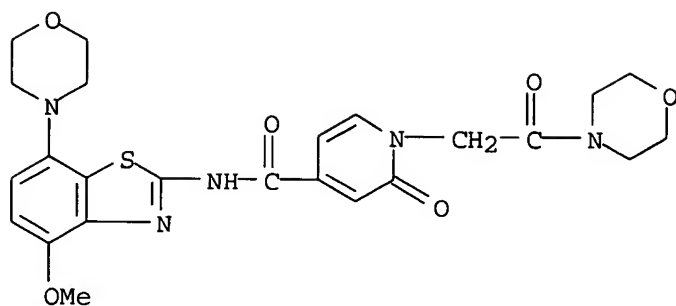
RN 533932-06-8 CAPLUS

CN 4-Pyridinecarboxamide, 1,2-dihydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-oxo-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



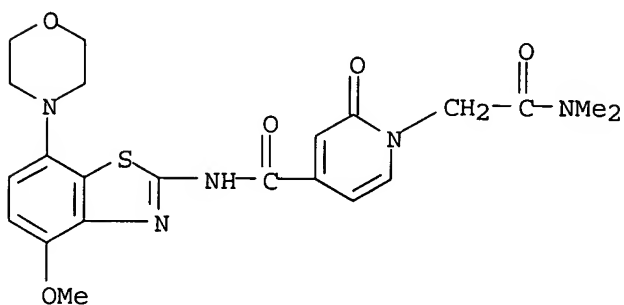
RN 533932-07-9 CAPLUS

CN 4-Pyridinecarboxamide, 1,2-dihydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-1-[2-(4-morpholinyl)-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 533932-08-0 CAPLUS

CN 1(2H)-Pyridineacetamide, 4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-N,N-dimethyl-2-oxo- (9CI) (CA INDEX NAME)



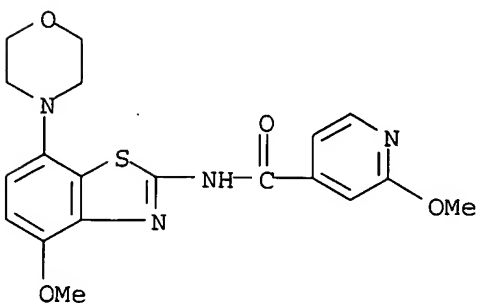
IT 533932-09-1 533932-10-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-[7-(morpholin-4-yl)benzothiazol-2-yl] 2-oxo-1,2-dihydropyridine-4-carboxamides as adenosine receptor ligands)

RN 533932-09-1 CAPLUS

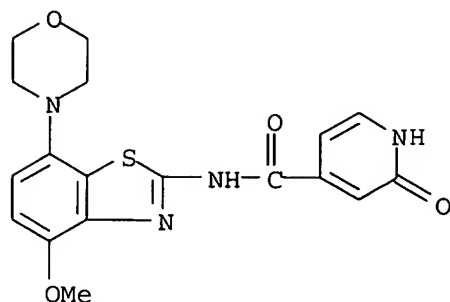
CN 4-Pyridinecarboxamide, 2-methoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 533932-10-4 CAPLUS

CN 4-Pyridinecarboxamide, 1,2-dihydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-oxo- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:935384 CAPLUS

DOCUMENT NUMBER: 136:69803

TITLE: Preparation of N-benzothiazol-2-yl amides having affinity toward the A2A adenosine receptor

INVENTOR(S): Alanine, Alexander; Flohr, Alexander; Miller, Aubry Kern; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097786	A2	20011227	WO 2001-EP6506	20010608
WO 2001097786	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2413086	AA	20011227	CA 2001-2413086	20010608
EP 1303272	A2	20030423	EP 2001-960284	20010608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012395	A	20030708	BR 2001-12395	20010608
JP 2003535887	T2	20031202	JP 2002-503263	20010608
RU 2251419	C2	20050510	RU 2003-100518	20010608
NZ 522928	A	20050527	NZ 2001-522928	20010608
US 2002045615	A1	20020418	US 2001-881252	20010614
US 6521754	B2	20030218		
ZA 2002009730	A	20040301	ZA 2002-9730	20021129
US 2003125318	A1	20030703	US 2002-310508	20021205
US 6835732	B2	20041228		
NO 2002005978	A	20021212	NO 2002-5978	20021212
US 2003176695	A1	20030918	US 2002-322272	20021218

07/19/2005 10691770.trn

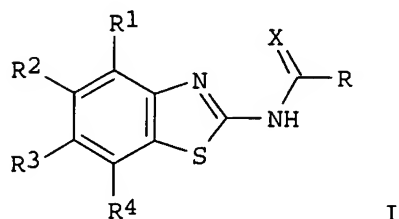
US 2005026906  
PRIORITY APPLN. INFO.:

A1 20050203

US 2004-930361  
EP 2000-113219  
WO 2001-EP6506  
US 2001-881252  
US 2002-322272

20040830  
A 20000621  
W 20010608  
A3 20010614  
A3 20021218

OTHER SOURCE(S): MARPAT 136:69803  
GI



AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; R2, R3 = H, halo, alkyl, alkoxy; R4 = H, alkyl, alkenyl, etc.; R = (un)substituted Ph, (CH<sub>2</sub>)<sub>n</sub>(5-6 membered (non)aromatic heterocyclyl, (CH<sub>2</sub>)<sub>n+1</sub>Ph, etc.; n = 0-4; X = O, S, H<sub>2</sub>], useful for the treatment of diseases related to the adenosine receptor, were prepared **Thus**, reacting 2-amino-4-methoxy-7-phenylbenzothiazole with benzoyl chloride in pyridine afforded 69% I [R1 = OMe; R2, R3 = H; R4 = Ph; R = Ph; X = O]. Biol. data for compds. I were given.

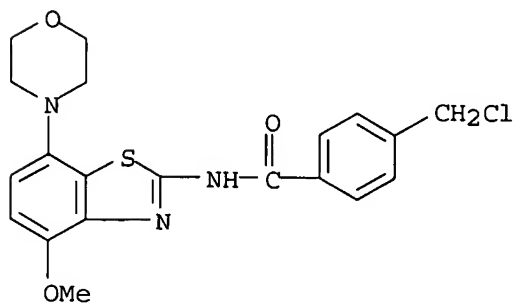
IT **383866-22-6P**, 4-Chloromethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-28-8P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((methylamino)methyl)benzamide **383868-82-4P** **383868-97-1P** **383869-76-9P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

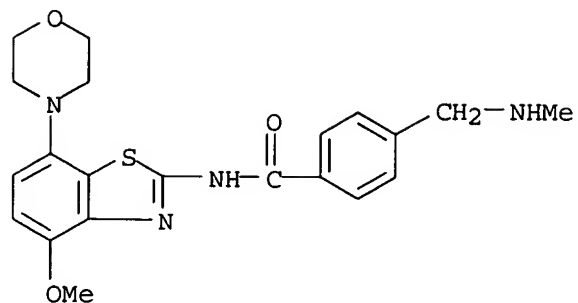
RN 383866-22-6 CAPLUS

CN Benzamide, 4-(chloromethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



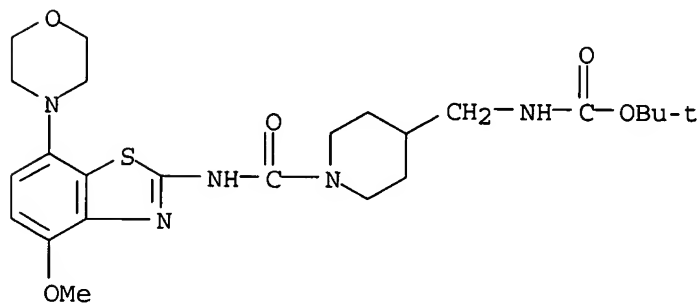
RN 383868-28-8 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-((methylamino)methyl)- (9CI) (CA INDEX NAME)



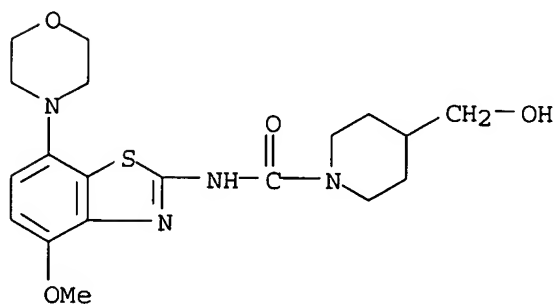
RN 383868-82-4 CAPLUS

CN Carbamic acid, [[1-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-4-piperidiny]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



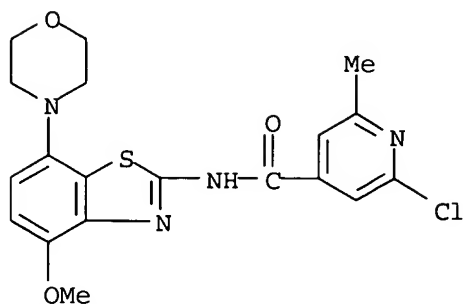
RN 383868-97-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(hydroxymethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383869-76-9 CAPLUS

CN 4-Pyridinecarboxamide, 2-chloro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-methyl- (9CI) (CA INDEX NAME)



IT **383865-56-3P 383866-23-7P**, 4-(4-Hydroxypiperidin-1-ylmethyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383866-24-8P**, 4-[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383866-25-9P**, 4-[N-(2-Hydroxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383866-28-2P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-(piperazin-1-ylmethyl)benzamide **383866-31-7P**, Thiomorpholine-4-carboxylic acid (4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)amide **383866-32-8P**, Morpholine-4-carboxylic acid, (4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)amide **383866-33-9P**, 3-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-1-methyl-1-((6-methylpyridin-3-yl)methyl)urea **383867-05-8P**, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamic acid methyl ester **383868-01-7P**, N-[2-[4-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamoyl]phenyl]ethyl]-N-methylcarbamic acid tert-butyl ester **383868-03-9P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-(1,1,2,2-tetrafluoroethoxy)benzamide **383868-05-1P**, 4-[N-(2-Methoxyethyl)-N-methylsulfamoyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-06-2P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-trifluoromethylbenzamide **383868-07-3P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-trifluoromethoxybenzamide **383868-08-4P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-trifluoromethoxybenzamide **383868-09-5P**, 4-Ethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-10-8P**, 4-Fluoro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-11-9P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-2-methylisonicotinamide **383868-12-0P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-13-1P**, 4-Chloro-3-[N-ethyl-N-(2-methoxyethyl)amino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-14-2P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-((N-methylamino)methyl)benzamide **383868-15-3P**, 4-Chloro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-((N-methylamino)methyl)benzamide **383868-16-4P**, 4-Chloro-3-[N-(2-methoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-17-5P**, 4-Chloro-3-[N-(2-methoxyethylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-18-6P**, 4-Chloro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-(pyrrolidin-1-ylmethyl)benzamide **383868-19-7P**, 1-[4-(4-Benzoyloxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamoyl]benzyl]pyridinium chloride **383868-21-1P**, 3-Fluoro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-(pyrrolidin-1-ylmethyl)benzamide **383868-22-2P**, 3-[N-(2-Methoxy-

ethylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-23-3P**, 3-[[N-(2-Methoxyethyl)-N-methylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-24-4P**, 1-[4-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-ylcarbonyl)benzyl]pyridinium chloride **383868-25-5P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-(pyrrolidin-1-ylmethyl)benzamide **383868-26-6P**, 4-[N-(2-Ethoxyethylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-benzamide **383868-27-7P**, (R)-N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((3-methoxypyrrolidin-1-yl)methyl)benzamide **383868-29-9P**, (S)-N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((3-methoxypyrrolidin-1-yl)methyl)benzamide **383868-30-2P**, 4-(Azetidin-1-ylmethyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-31-3P**, 4-[1-(2-Methoxyethylamino)ethyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-32-4P**, 4-[1-[N-(2-Methoxyethyl)-N-methylamino]ethyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-33-5P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-(1-(pyrrolidin-1-yl)ethyl)benzamide **383868-34-6P**, 4-(2-(Dimethylamino)ethylsulfanylmethyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-35-7P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-[[N-methyl-N-(4,4,4-trifluoro-3-hydroxybutyl)amino]methyl]benzamide **383868-37-9P**, 4-[[N-Ethyl-N-(2-methoxy-ethyl)amino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-38-0P**, 4-[[N-(2-Ethoxyethyl)-N-ethylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-40-4P**, 3-Fluoro-4-[[N-(2-methoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-41-5P**, 4-[[N,N-Bis(2-ethoxyethyl)amino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-42-6P**, 4-[[N-(2-Ethoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-43-7P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((4-methoxypiperidin-1-yl)methyl)benzamide **383868-44-8P**, 4-(Diethylamino)methyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-45-9P**, 4-[N-(2-Methoxyethylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-46-0P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((2-methylimidazol-1-yl)methyl)benzamide **383868-47-1P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((4-methylpiperazin-1-yl)methyl)benzamide **383868-48-2P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((pyrrolidin-1-yl)methyl)benzamide **383868-49-3P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((morpholin-4-yl)methyl)benzamide **383868-50-6P**, N-(4-Benzoyloxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-[[N-(2-methoxyethyl)-N-methylamino]methyl]benzamide **383868-52-8P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-[[N-methyl-N-(3,3,3-trifluoropropyl)amino]methyl]benzamide hydrochloride **383868-53-9P**, 4-((2-Methoxyethoxy)methyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-54-0P**, 4-Methoxymethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-66-4P**, N-(4-Hydroxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-69-7P** **383868-70-0P**, 4-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-ylcarbonyl)piperidine-1-carboxylic acid tert-butyl ester **383868-71-1P** **383868-72-2P**, Piperidine-4-carboxylic acid (4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)amide **383868-73-3P** **383868-75-5P** **383868-76-6P**

383868-78-8P 383868-79-9P 383868-80-2P  
383868-81-3P 383868-83-5P 383868-84-6P  
383868-85-7P, N-(2-Methoxyethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea 383868-87-9P  
383868-89-1P 383868-91-5P 383868-93-7P  
383868-95-9P 383869-00-9P 383869-01-0P  
383869-02-1P 383869-03-2P 383869-05-4P  
383869-07-6P 383869-09-8P 383869-11-2P  
383869-13-4P 383869-15-6P 383869-17-8P,  
N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-(4-methoxyphenyl)-N-methylurea 383869-19-0P 383869-21-4P  
383869-23-6P, N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methyl-N-phenylurea 383869-25-8P 383869-27-0P  
383869-29-2P 383869-31-6P 383869-34-9P  
383869-37-2P 383869-39-4P, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamic acid 2-methoxyethyl ester  
383869-42-9P, N-[4-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamoyl]benzyl]-N-methylcarbamic acid methyl ester  
383869-44-1P 383869-48-5P, N-(4-Ethoxy-7-(piperidin-1-yl)benzothiazol-2-yl)-4-fluorobenzamide 383869-54-3P,  
4-Fluoro-N-(4-isopropoxy-7-(piperidin-1-yl)benzothiazol-2-yl)benzamide  
383869-78-1P, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea  
383869-80-5P, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamic acid phenyl ester 383869-82-7P, 2-Chloro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)isonicotinamide  
383869-84-9P, 2-Iodo-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-6-methylisonicotinamide 383869-86-1P, N-Benzyl-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea 383869-88-3P,  
N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methyl-N-phenethylurea 383869-90-7P, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-2-phenylacetamide 383869-92-9P,  
N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)propionamide  
383869-94-1P, 2-Methoxy-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)acetamide 383869-96-3P, Pentanoic acid  
(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)amide 383869-98-5P  
, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)isobutyramide  
383870-00-6P, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-phenylpropionamide 383870-02-8P, N-Benzyl-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea 383870-05-1P,  
N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N'-phenethylurea  
383870-07-3P, N-(2-Methoxyethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea 383870-09-5P, N-(2-Dimethylaminoethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea  
383870-11-9P, N-(2-Dimethylaminoethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea 383870-13-1P, 4-(Dimethylamino)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)butyramide  
383871-39-4P 383871-76-9P, 4-(((2-(Dimethylamino)ethyl)sulfanyl)methyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-benzamide 383911-03-3P  
383911-05-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

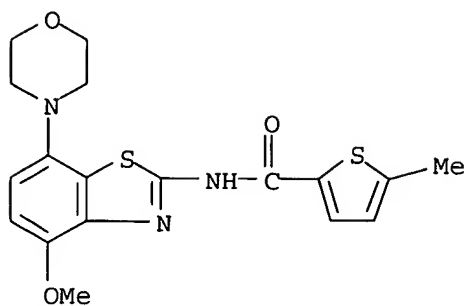
(preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

RN 383865-56-3 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-5-methyl- (9CI) (CA INDEX NAME)

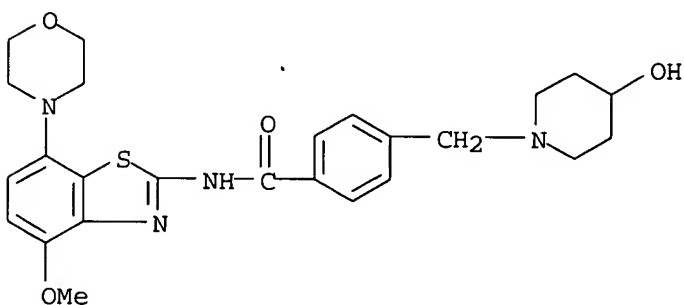
07/19/2005

10691770.trn



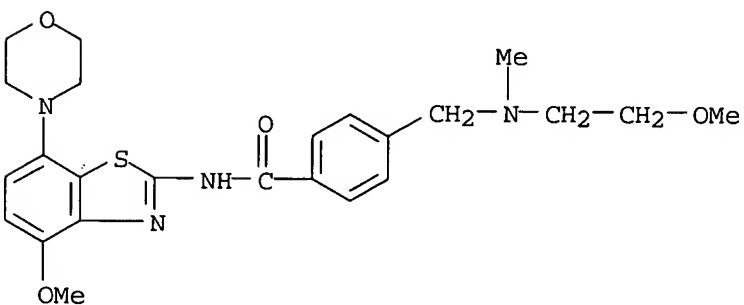
RN 383866-23-7 CAPLUS

CN Benzamide, 4-[[4-hydroxy-1-piperidiny]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



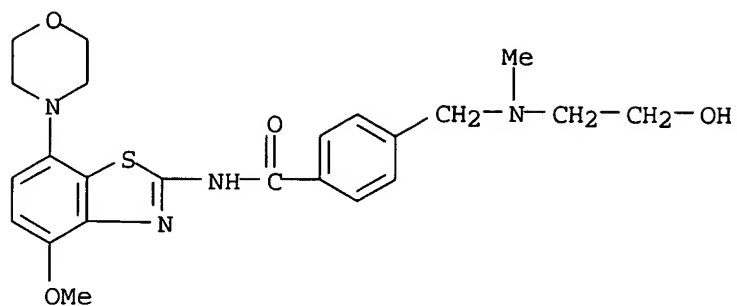
RN 383866-24-8 CAPLUS

CN Benzamide, 4-[[[(2-methoxyethyl)methylamino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



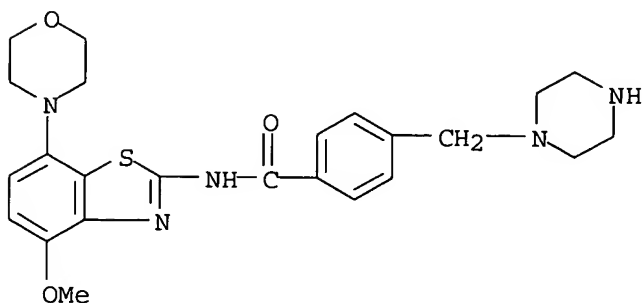
RN 383866-25-9 CAPLUS

CN Benzamide, 4-[[[(2-hydroxyethyl)methylamino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



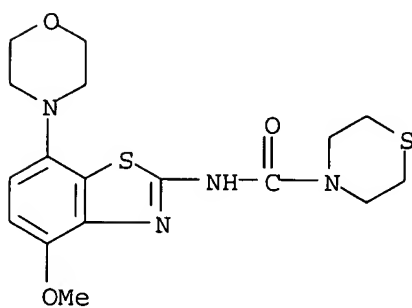
RN 383866-28-2 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(1-piperazinylmethyl)- (9CI) (CA INDEX NAME)



RN 383866-31-7 CAPLUS

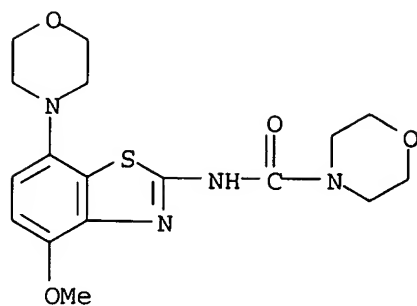
CN 4-Thiomorpholinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383866-32-8 CAPLUS

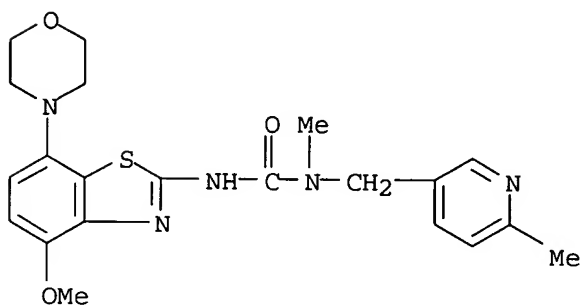
CN 4-Morpholinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)





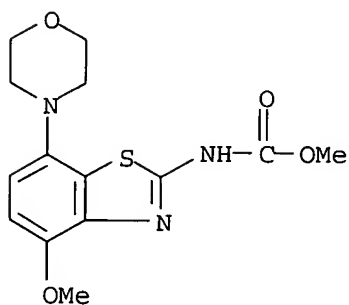
RN 383866-33-9 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-[(6-methyl-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



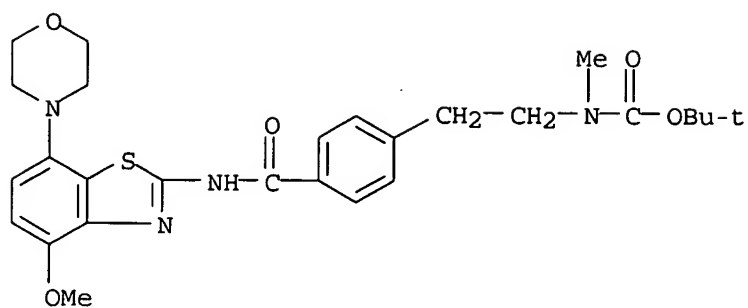
RN 383867-05-8 CAPLUS

CN Carbamic acid, [4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, methyl ester (9CI) (CA INDEX NAME)



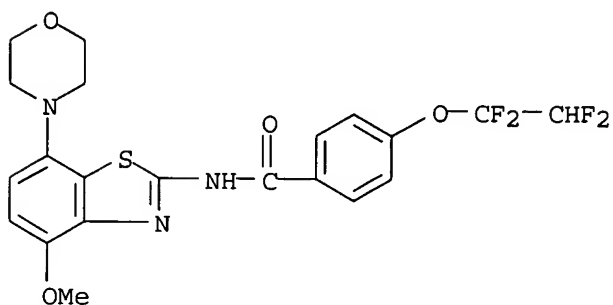
RN 383868-01-7 CAPLUS

CN Carbamic acid, [2-[4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]phenyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



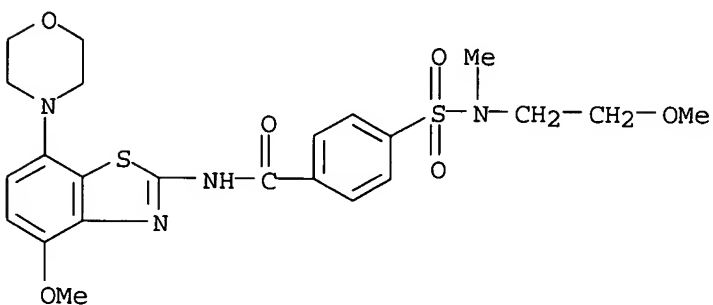
RN 383868-03-9 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(1,1,2,2-tetrafluoroethoxy)- (9CI) (CA INDEX NAME)



RN 383868-05-1 CAPLUS

CN Benzamide, 4-[[[(2-methoxyethyl)methylamino]sulfonyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]]- (9CI) (CA INDEX NAME)

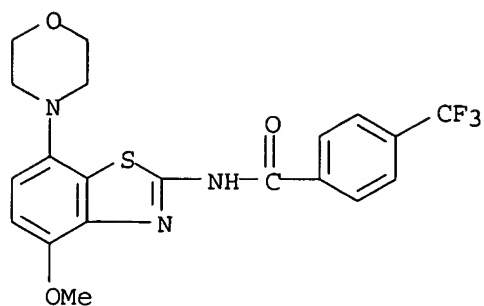


RN 383868-06-2 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

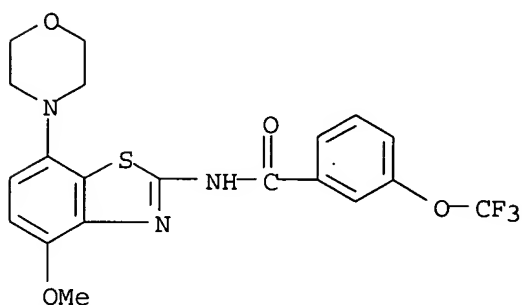
07/19/2005

10691770.trn



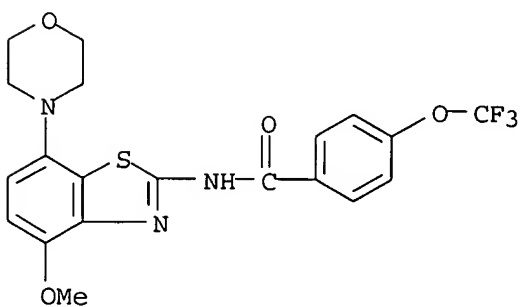
RN 383868-07-3 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 383868-08-4 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

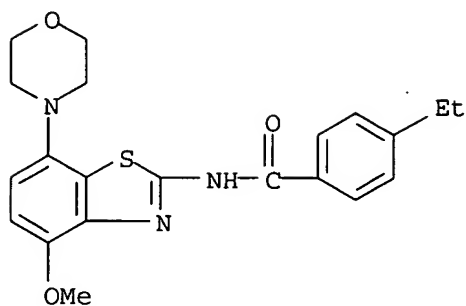


RN 383868-09-5 CAPLUS

CN Benzamide, 4-ethyl-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

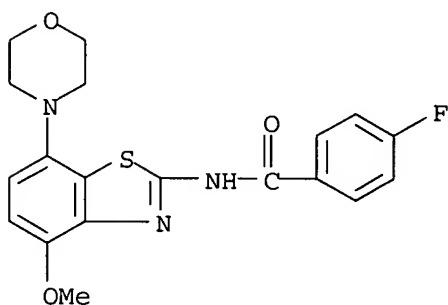
07/19/2005

10691770.trn



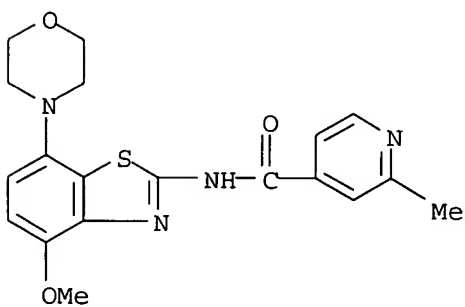
RN 383868-10-8 CAPLUS

CN Benzamide, 4-fluoro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-  
(9CI) (CA INDEX NAME)



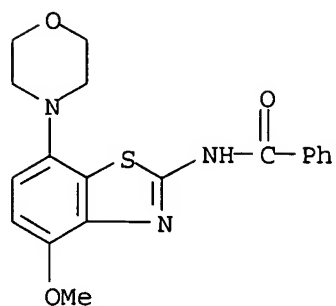
RN 383868-11-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-  
methyl- (9CI) (CA INDEX NAME)



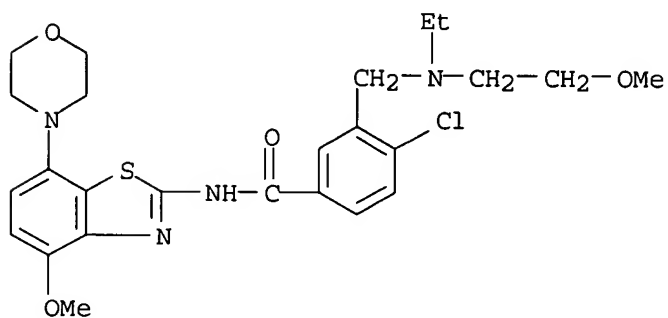
RN 383868-12-0 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA  
INDEX NAME)



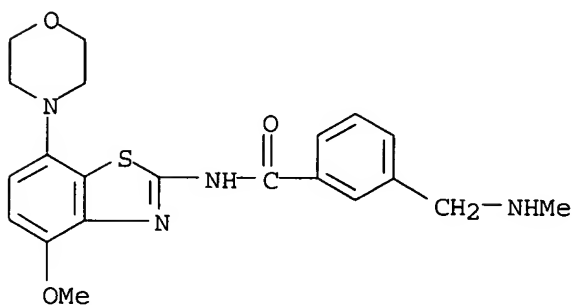
RN 383868-13-1 CAPLUS

CN Benzamide, 4-chloro-3-[[ethyl(2-methoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



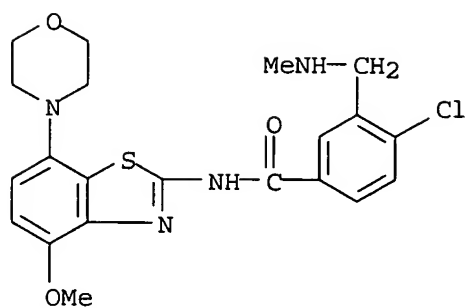
RN 383868-14-2 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)



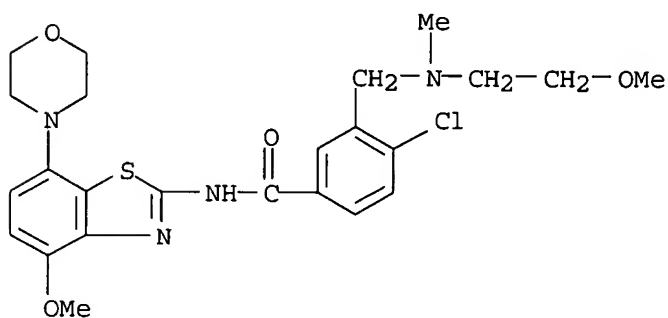
RN 383868-15-3 CAPLUS

CN Benzamide, 4-chloro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)



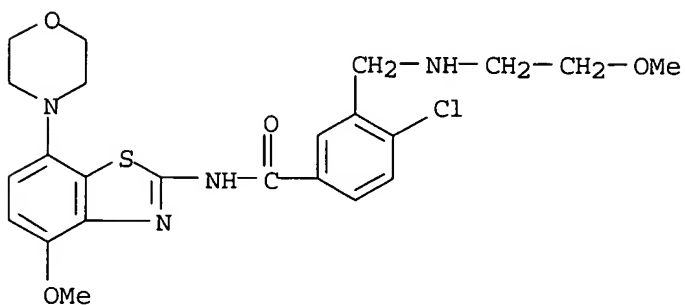
RN 383868-16-4 CAPLUS

CN Benzamide, 4-chloro-3-[[[(2-methoxyethyl)methylamino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



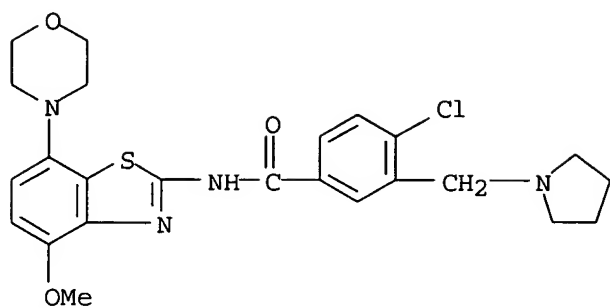
RN 383868-17-5 CAPLUS

CN Benzamide, 4-chloro-3-[[[(2-methoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



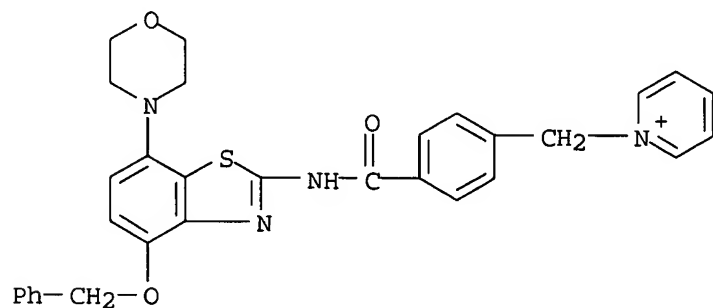
RN 383868-18-6 CAPLUS

CN Benzamide, 4-chloro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-3-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



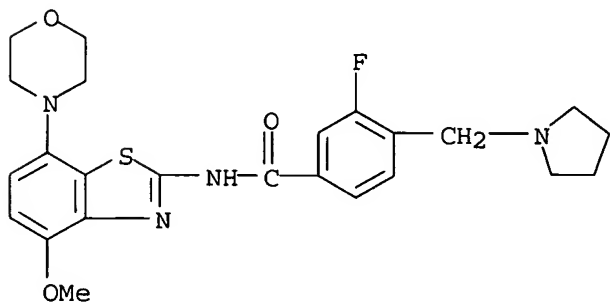
RN 383868-19-7 CAPLUS

CN Pyridinium, 1-[[4-[[[7-(4-morpholinyl)-4-(phenylmethoxy)-2-benzothiazolyl]amino]carbonyl]phenyl]methyl]-, chloride (9CI) (CA INDEX NAME)

● Cl<sup>-</sup>

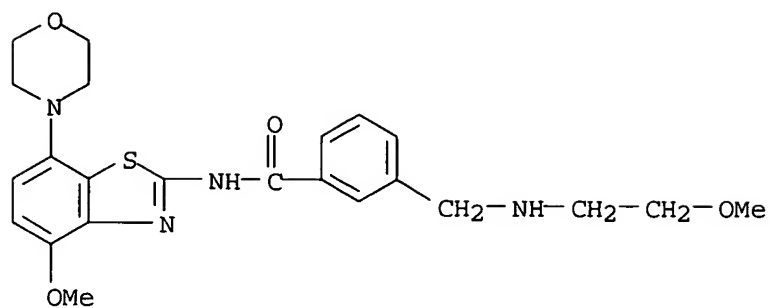
RN 383868-21-1 CAPLUS

CN Benzamide, 3-fluoro-N-[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(1-pyrrolidinylmethyl)]- (9CI) (CA INDEX NAME)



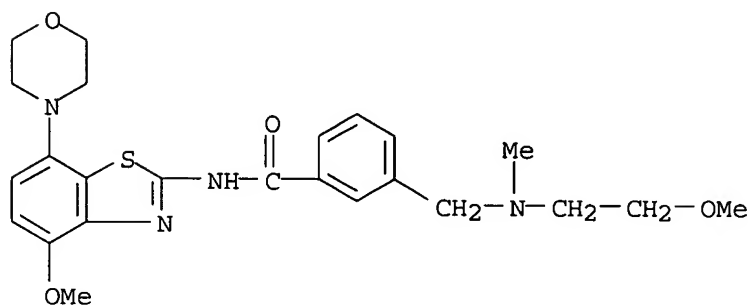
RN 383868-22-2 CAPLUS

CN Benzamide, 3-[[[(2-methoxyethyl)amino]methyl]-N-[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]]- (9CI) (CA INDEX NAME)



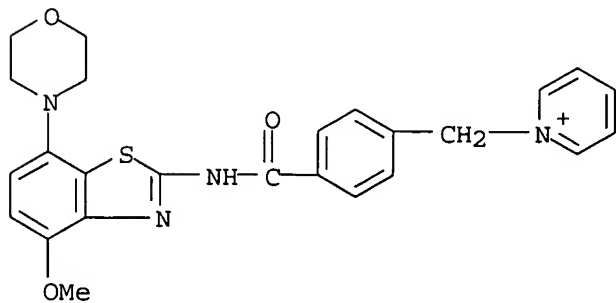
RN 383868-23-3 CAPLUS

CN Benzamide, 3-[[[2-methoxyethyl)methylamino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383868-24-4 CAPLUS

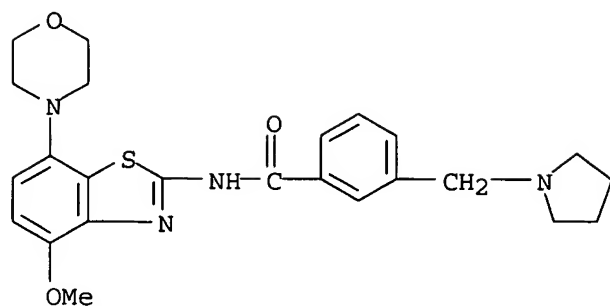
CN Pyridinium, 1-[[4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]phenyl]methyl]-, chloride (9CI) (CA INDEX NAME)

● Cl<sup>-</sup>

RN 383868-25-5 CAPLUS

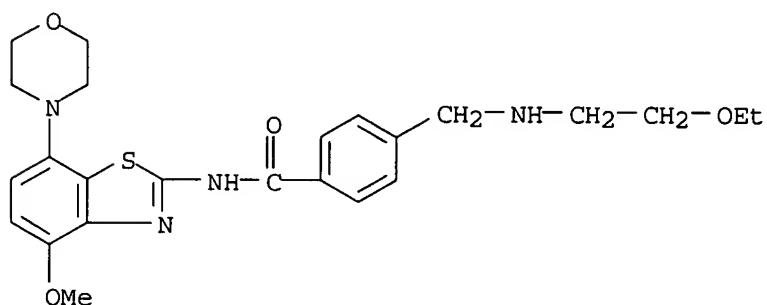
CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-3-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)





RN 383868-26-6 CAPLUS

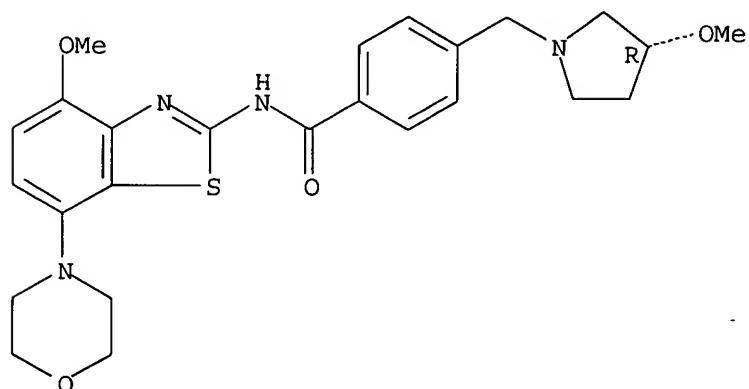
CN Benzamide, 4-[[[(2-ethoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383868-27-7 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[[(3R)-3-methoxy-1-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

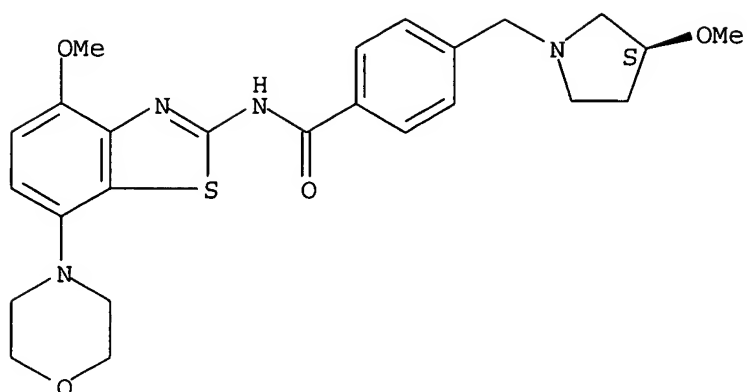
Absolute stereochemistry.



RN 383868-29-9 CAPLUS

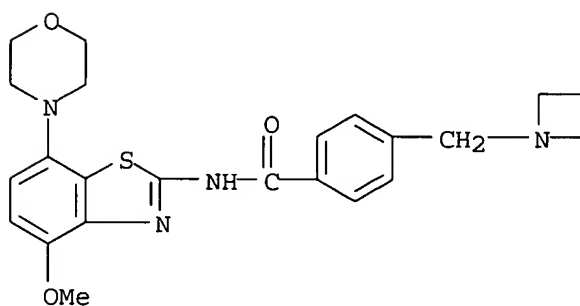
CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[[(3S)-3-methoxy-1-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



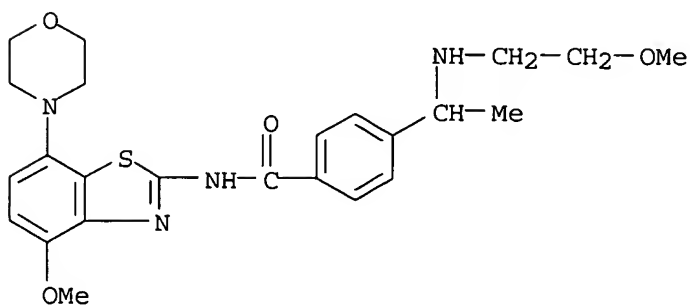
RN 383868-30-2 CAPLUS

CN Benzamide, 4-(1-azetidinemethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



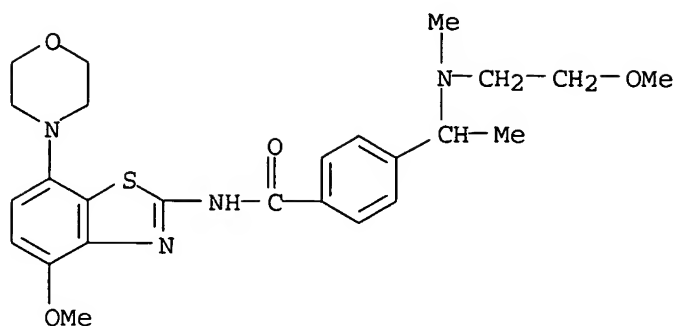
RN 383868-31-3 CAPLUS

CN Benzamide, 4-[1-[(2-methoxyethyl)amino]ethyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



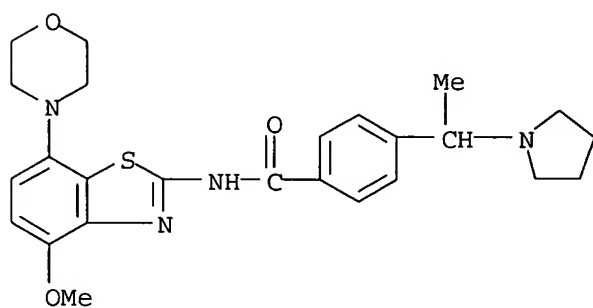
RN 383868-32-4 CAPLUS

CN Benzamide, 4-[1-[(2-methoxyethyl)methylamino]ethyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



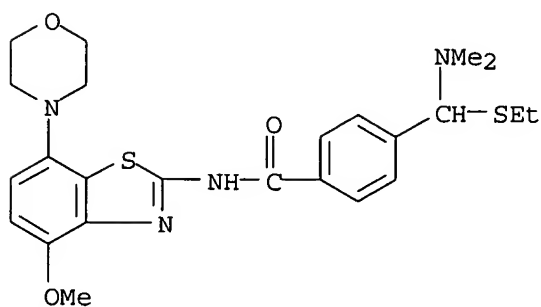
RN 383868-33-5 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[1-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



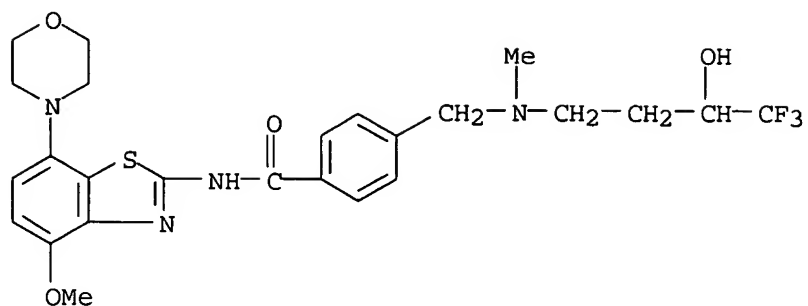
RN 383868-34-6 CAPLUS

CN Benzamide, 4-[(dimethylamino)(ethylthio)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



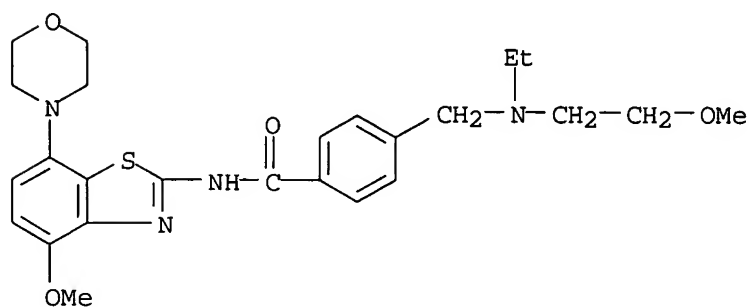
RN 383868-35-7 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[methyl(4,4,4-trifluoro-3-hydroxybutyl)amino]methyl]- (9CI) (CA INDEX NAME)



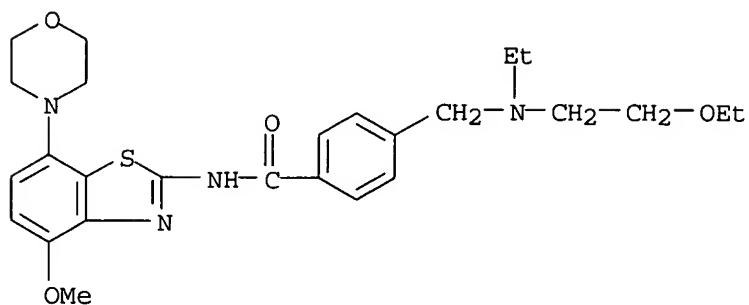
RN 383868-37-9 CAPLUS

CN Benzamide, 4-[[ethyl (2-methoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



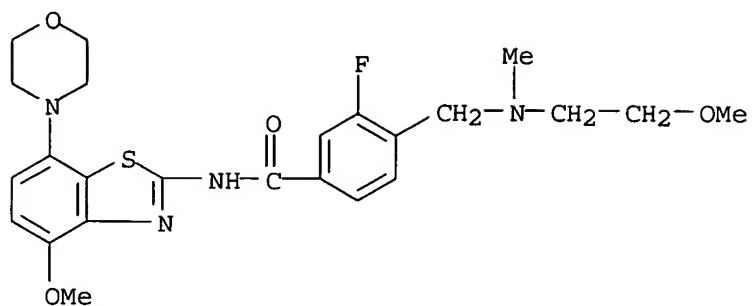
RN 383868-38-0 CAPLUS

CN Benzamide, 4-[[ethyl (2-methoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



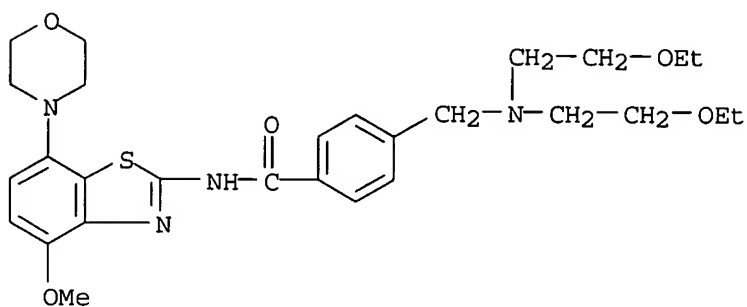
RN 383868-40-4 CAPLUS

CN Benzamide, 3-fluoro-4-[[ethyl (2-methoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



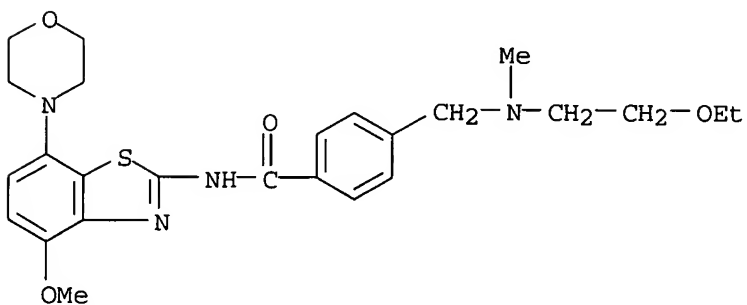
RN 383868-41-5 CAPLUS

CN Benzamide, 4-[[bis(2-ethoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



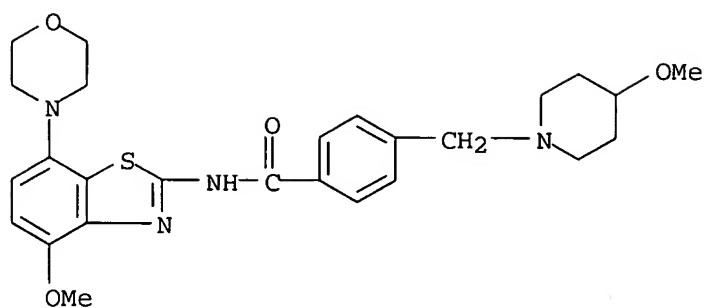
RN 383868-42-6 CAPLUS

CN Benzamide, 4-[[bis(2-ethoxyethyl)amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



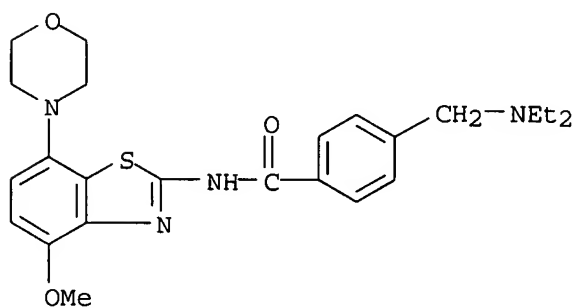
RN 383868-43-7 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[(4-methoxy-1-piperidiny)methyl]- (9CI) (CA INDEX NAME)



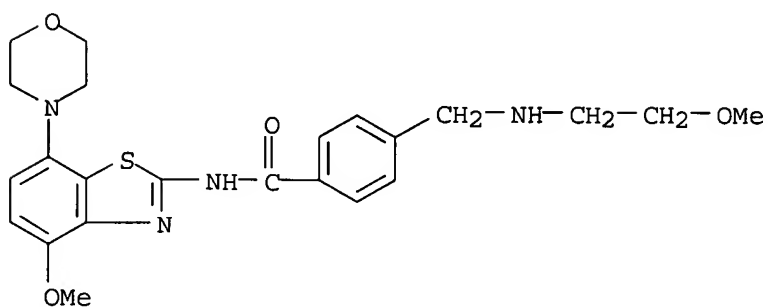
RN 383868-44-8 CAPLUS

CN Benzamide, 4-[(diethylamino)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



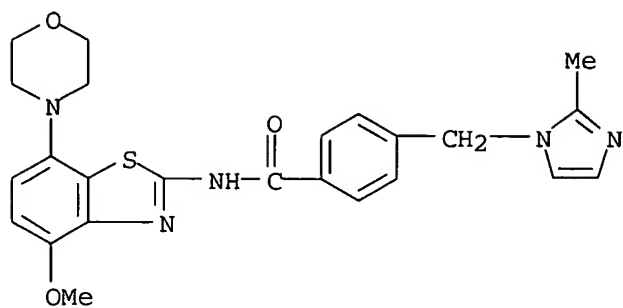
RN 383868-45-9 CAPLUS

CN Benzamide, 4-[(2-methoxyethyl)amino]methyl-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



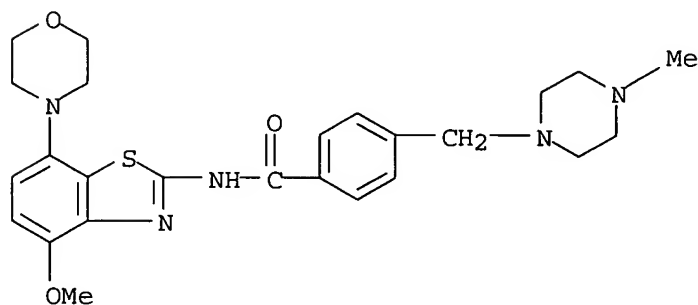
RN 383868-46-0 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



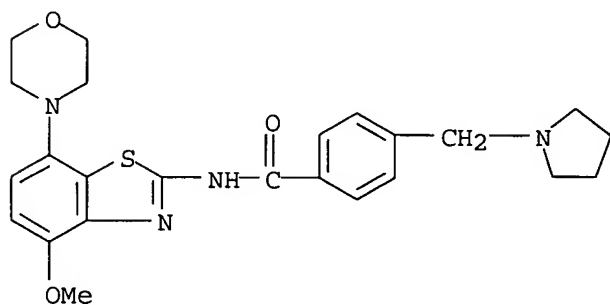
RN 383868-47-1 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



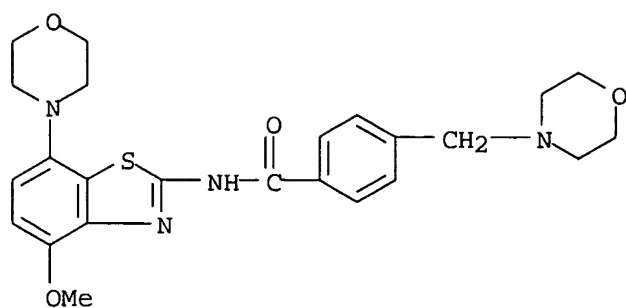
RN 383868-48-2 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



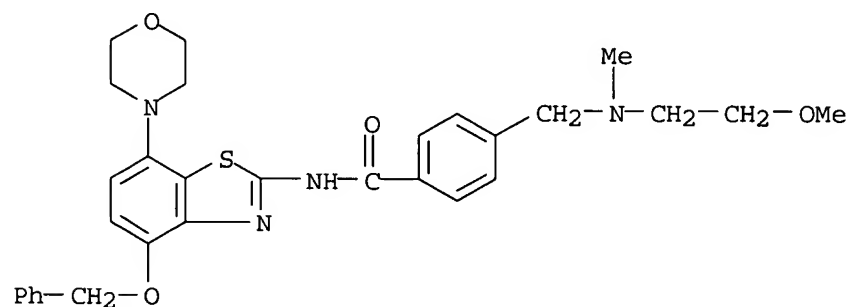
RN 383868-49-3 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



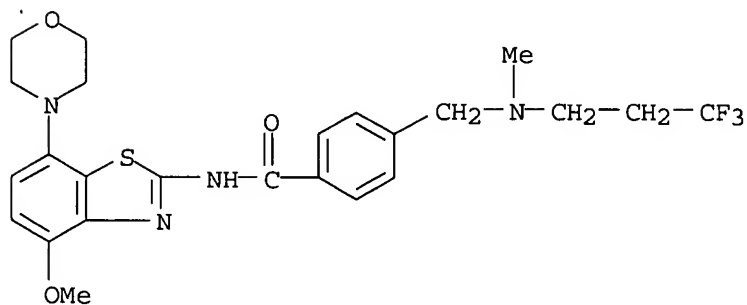
RN 383868-50-6 CAPLUS

CN Benzamide, 4-[[[(2-methoxyethyl)methylamino]methyl]-N-[7-(4-morpholinyl)-4-(phenylmethoxy)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383868-52-8 CAPLUS

CN Benzamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[methyl(3,3,3-trifluoropropyl)amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

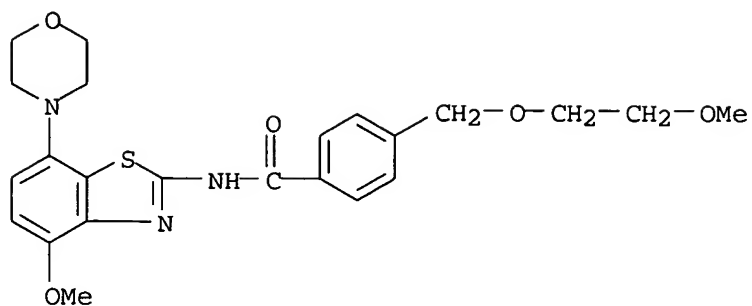
RN 383868-53-9 CAPLUS

CN Benzamide, 4-[[[(2-methoxyethoxy)methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



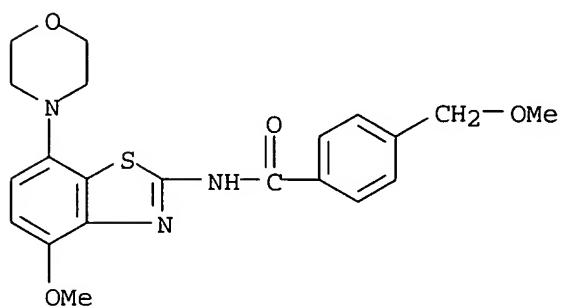
07/19/2005

10691770.trn



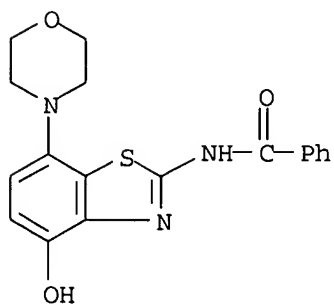
RN 383868-54-0 CAPLUS

CN Benzamide, 4-(methoxymethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



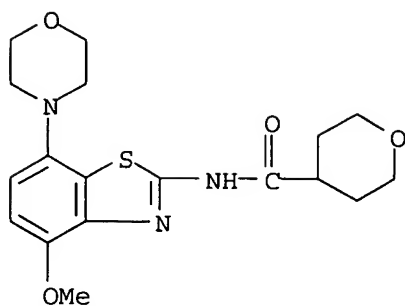
RN 383868-66-4 CAPLUS

CN Benzamide, N-[4-hydroxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



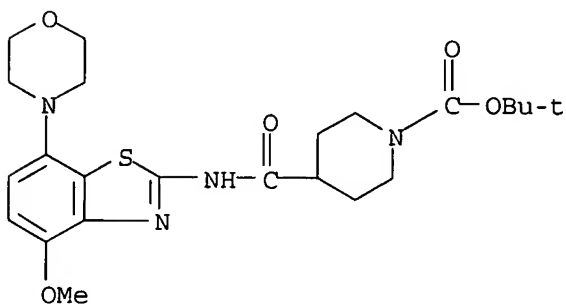
RN 383868-69-7 CAPLUS

CN 2H-Pyran-4-carboxamide, tetrahydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



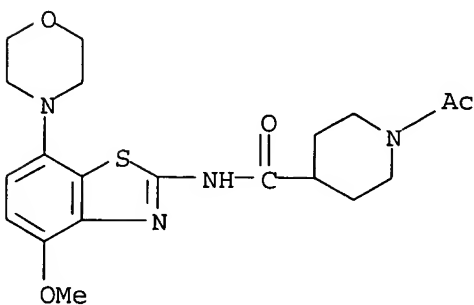
RN 383868-70-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



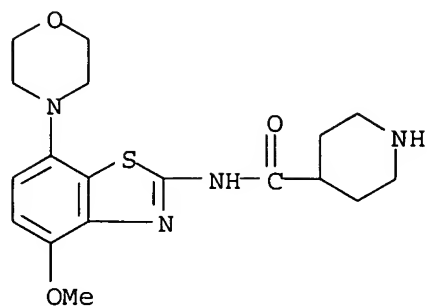
RN 383868-71-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-acetyl-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



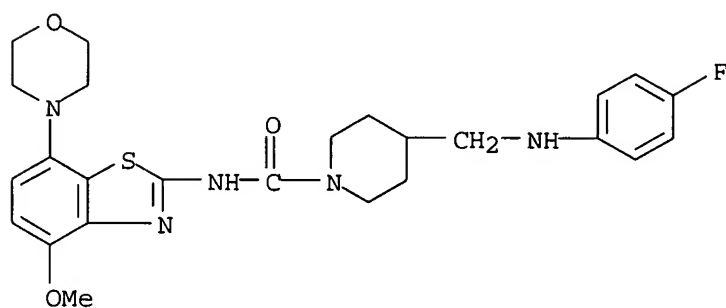
RN 383868-72-2 CAPLUS

CN 4-Piperidinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



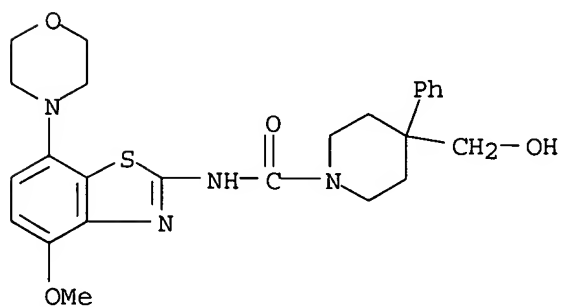
RN 383868-73-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[4-fluorophenyl]amino]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



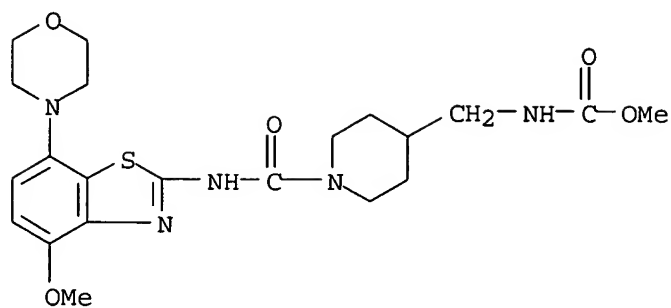
RN 383868-75-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(hydroxymethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-phenyl- (9CI) (CA INDEX NAME)



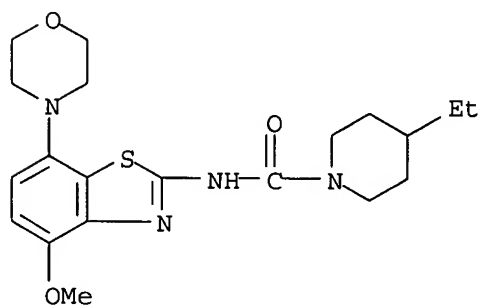
RN 383868-76-6 CAPLUS

CN Carbamic acid, [[1-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



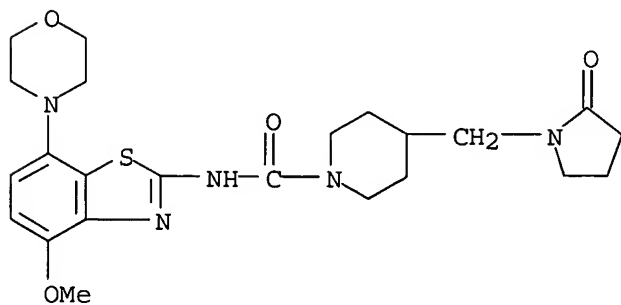
RN 383868-78-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-ethyl-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



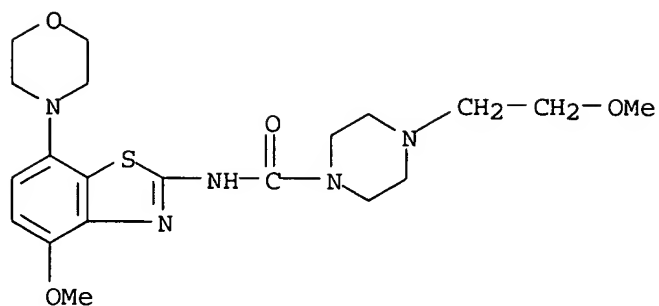
RN 383868-79-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



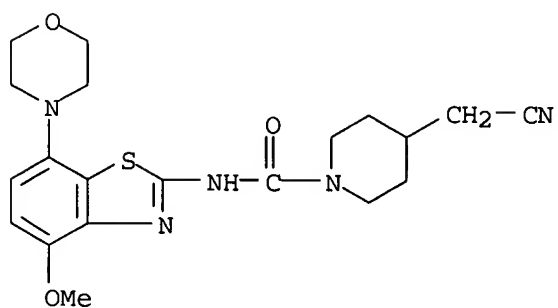
RN 383868-80-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(2-methoxyethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



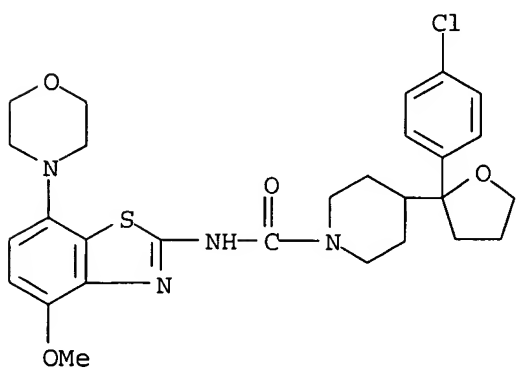
RN 383868-81-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(cyanomethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



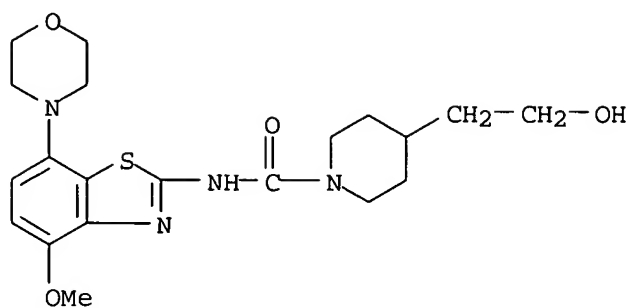
RN 383868-83-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-(4-chlorophenyl)tetrahydro-2-furanyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



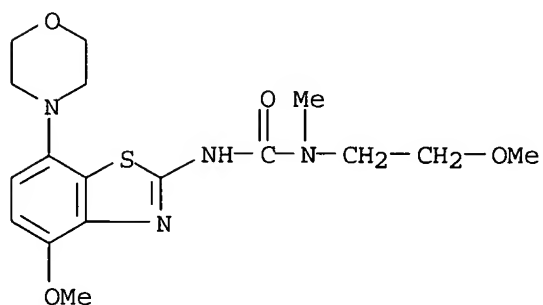
RN 383868-84-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-hydroxyethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



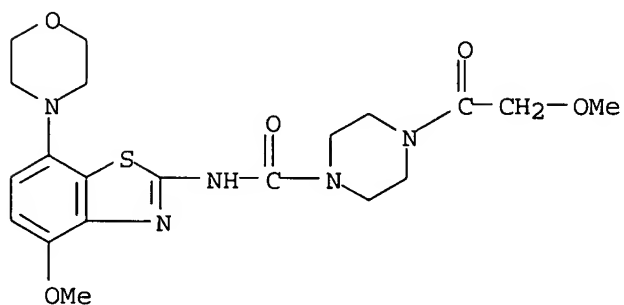
RN 383868-85-7 CAPLUS

CN Urea, N-(2-methoxyethyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 383868-87-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(methoxyacetyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

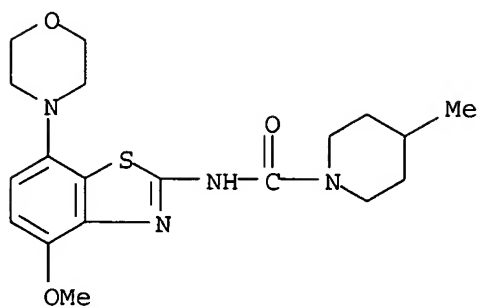


RN 383868-89-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-methyl- (9CI) (CA INDEX NAME)

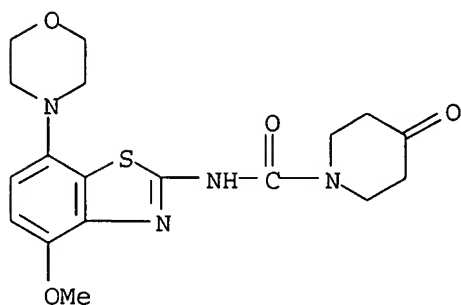
07/19/2005

10691770.trn



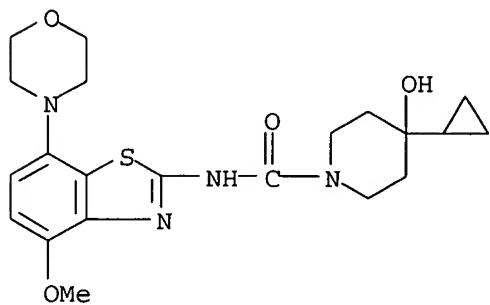
RN 383868-91-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-oxo- (9CI) (CA INDEX NAME)



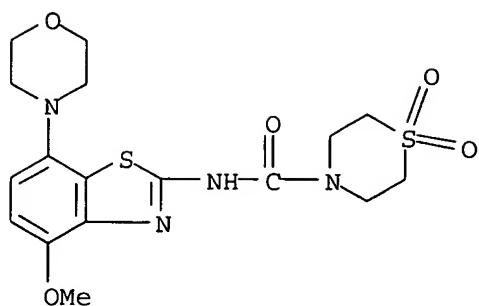
RN 383868-93-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclopropyl-4-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

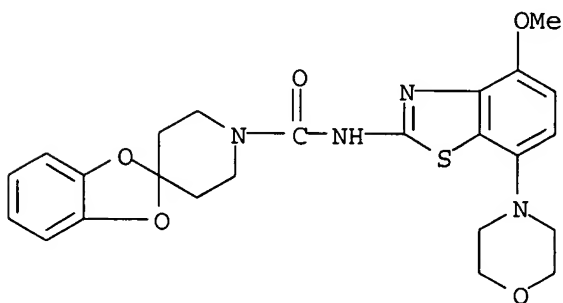


RN 383868-95-9 CAPLUS

CN 4-Thiomorpholinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

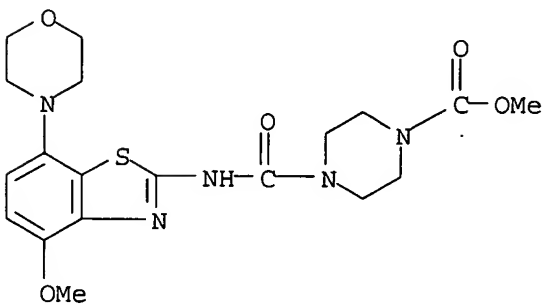


RN 383869-00-9 CAPLUS

CN Spiro[1,3-benzodioxole-2,4'-piperidine]-1'-carboxamide,  
N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

RN 383869-01-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



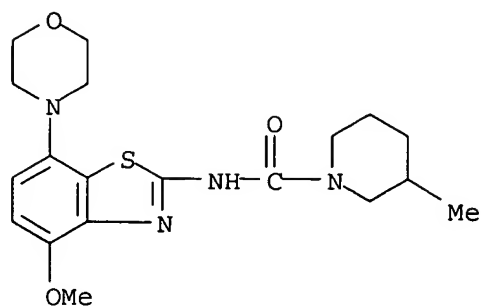
RN 383869-02-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-3-methyl- (9CI) (CA INDEX NAME)



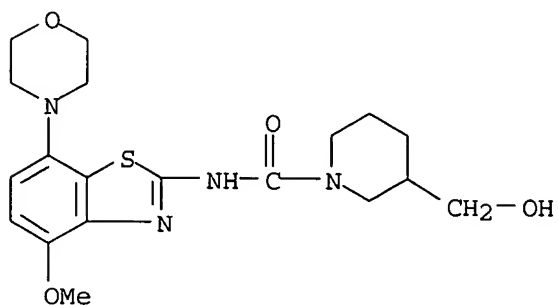
07/19/2005

10691770.trn



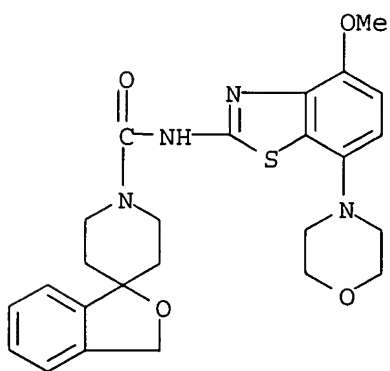
RN 383869-03-2 CAPLUS

CN 1-Piperidinecarboxamide, 3-(hydroxymethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



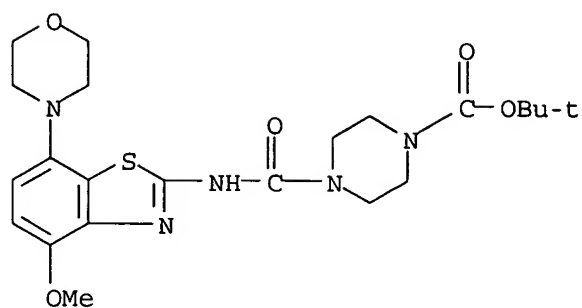
RN 383869-05-4 CAPLUS

CN Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



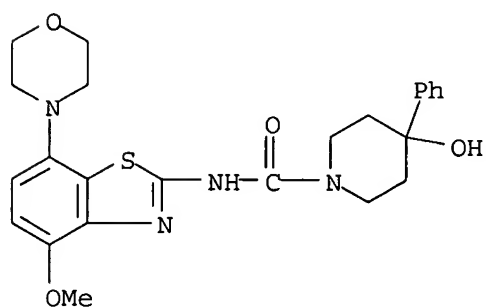
RN 383869-07-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



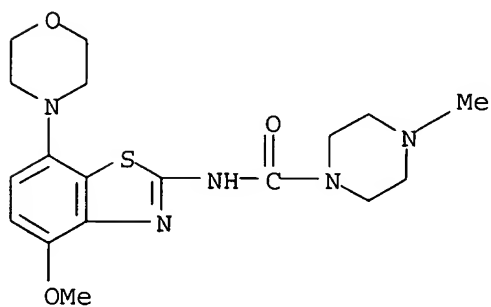
RN 383869-09-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-phenyl- (9CI) (CA INDEX NAME)



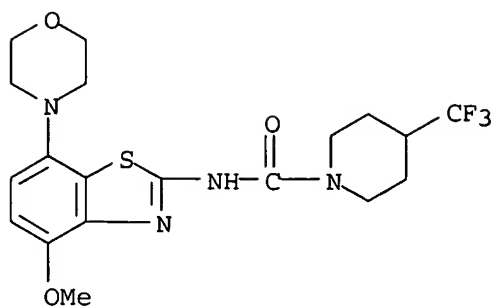
RN 383869-11-2 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-methyl- (9CI) (CA INDEX NAME)



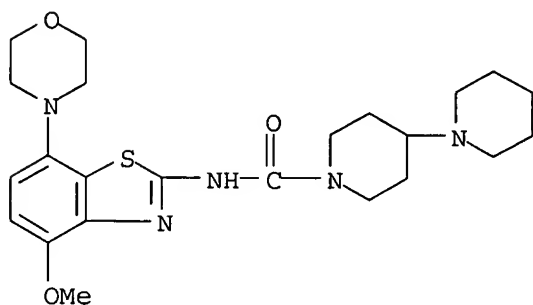
RN 383869-13-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



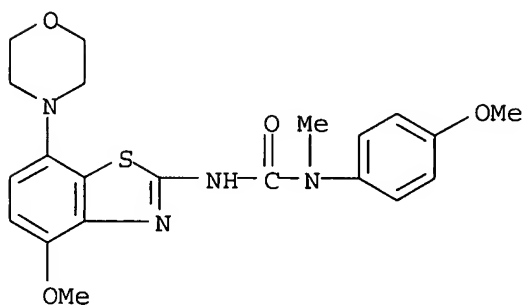
RN 383869-15-6 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



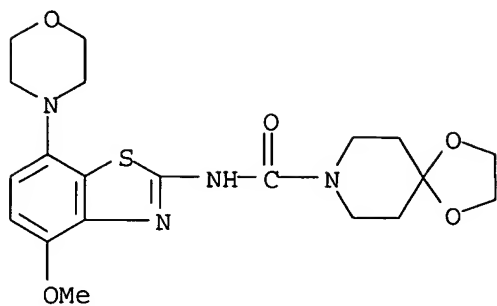
RN 383869-17-8 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



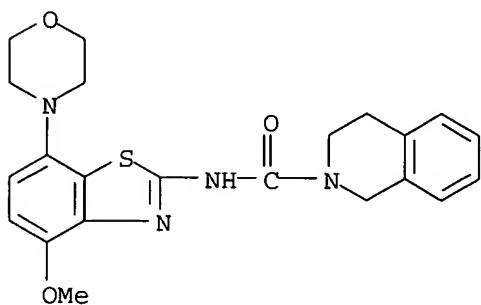
RN 383869-19-0 CAPLUS

CN 1,4-Dioxo-8-azaspiro[4.5]decane-8-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



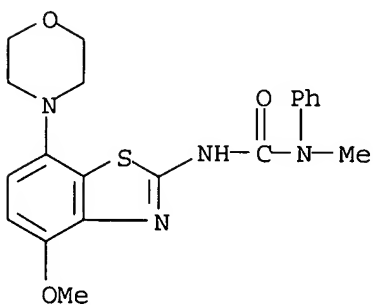
RN 383869-21-4 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, 3,4-dihydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383869-23-6 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)

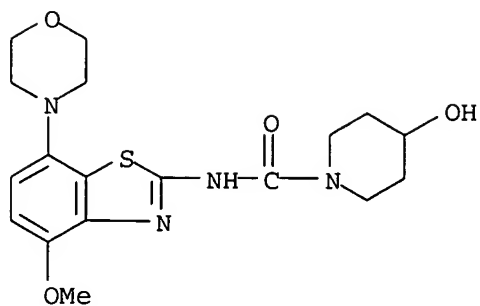


RN 383869-25-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

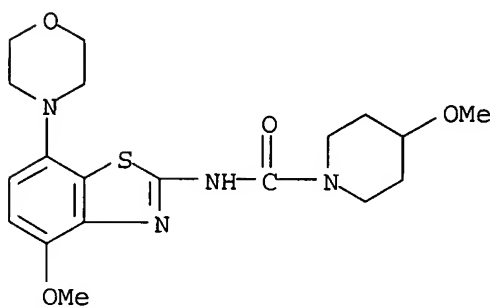
07/19/2005

10691770.trn



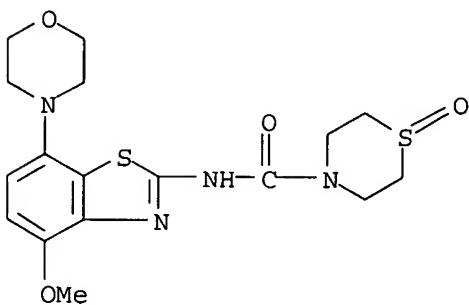
RN 383869-27-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-methoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



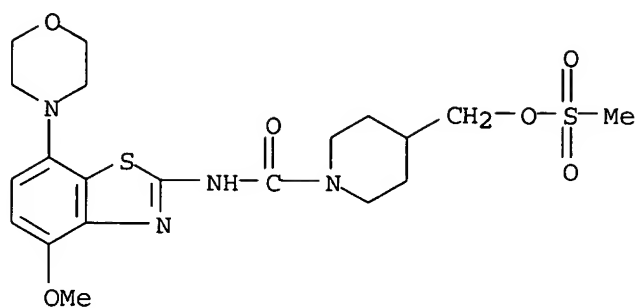
RN 383869-29-2 CAPLUS

CN 4-Thiomorpholinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, 1-oxide (9CI) (CA INDEX NAME)

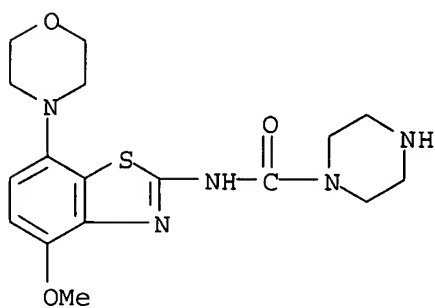


RN 383869-31-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-[[[(methanesulfonyl)oxy]methyl]- (9CI) (CA INDEX NAME)

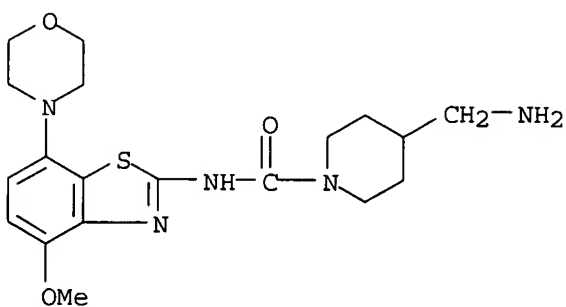


RN 383869-34-9 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-  
(9CI) (CA INDEX NAME)

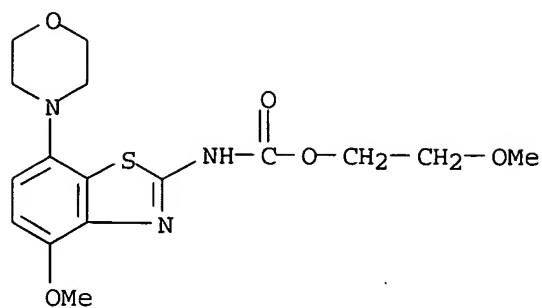
RN 383869-37-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(aminomethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



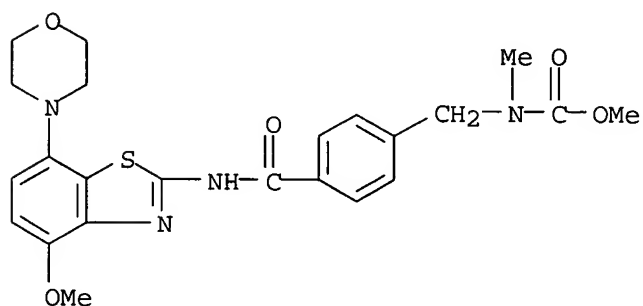
RN 383869-39-4 CAPLUS

CN Carbamic acid, [4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



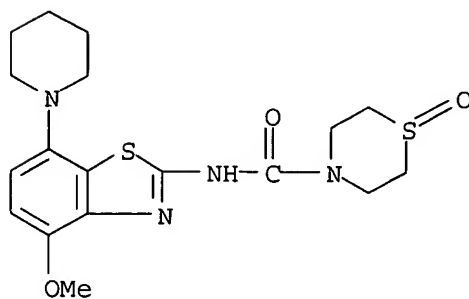
RN 383869-42-9 CAPLUS

CN Carbamic acid, [[4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]phenyl]methyl]methyl-, methyl ester (9CI)  
(CA INDEX NAME)



RN 383869-44-1 CAPLUS

CN 4-Thiomorpholinecarboxamide, N-[4-methoxy-7-(1-piperidiny)-2-benzothiazolyl]-, 1-oxide, monohydrochloride (9CI) (CA INDEX NAME)



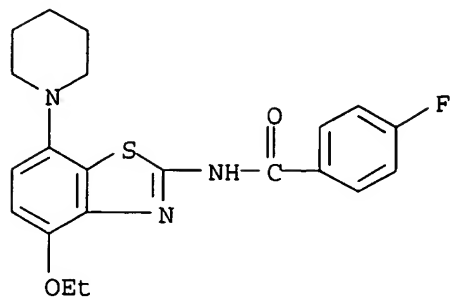
● HCl

RN 383869-48-5 CAPLUS

CN Benzamide, N-[4-ethoxy-7-(1-piperidiny)-2-benzothiazolyl]-4-fluoro- (9CI)  
(CA INDEX NAME)

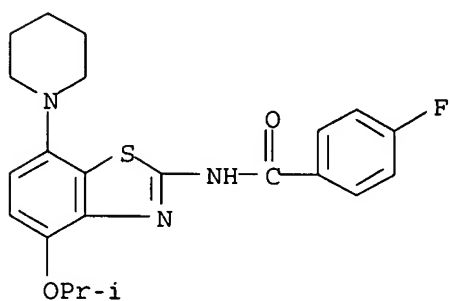
07/19/2005

10691770.trn



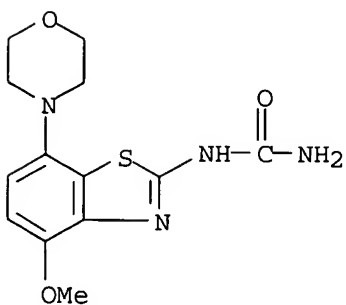
RN 383869-54-3 CAPLUS

CN Benzamide, 4-fluoro-N-[4-(1-methylethoxy)-7-(1-piperidinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383869-78-1 CAPLUS

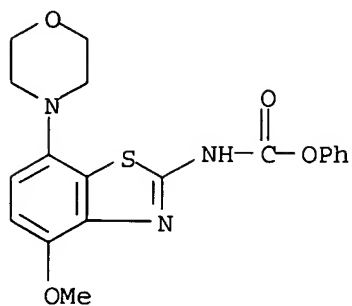
CN Urea, [4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383869-80-5 CAPLUS

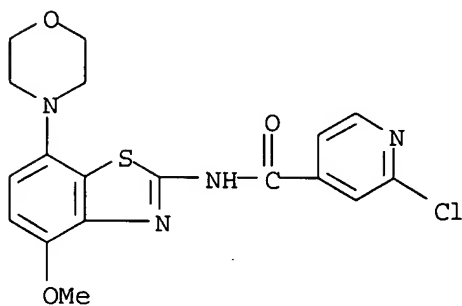
CN Carbamic acid, [4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-, phenyl ester (9CI) (CA INDEX NAME)





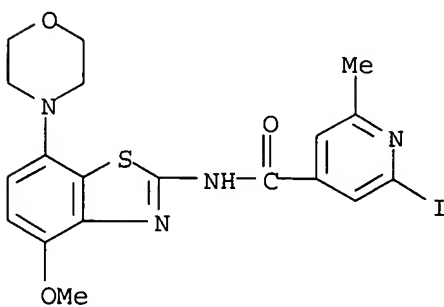
RN 383869-82-7 CAPLUS

CN 4-Pyridinecarboxamide, 2-chloro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383869-84-9 CAPLUS

CN 4-Pyridinecarboxamide, 2-iodo-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-6-methyl- (9CI) (CA INDEX NAME)

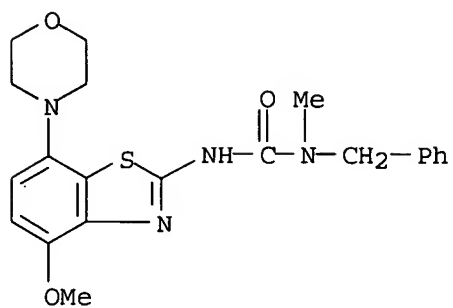


RN 383869-86-1 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

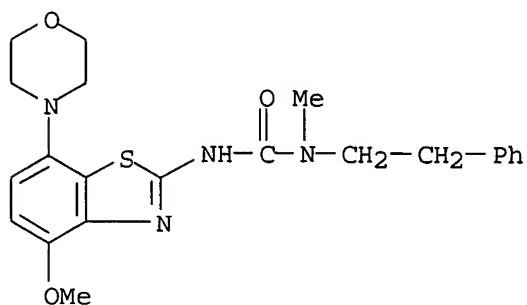
07/19/2005

10691770.trn



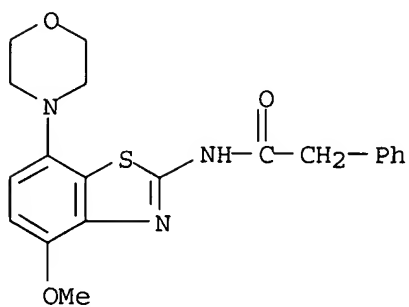
RN 383869-88-3 CAPLUS

CN Urea, N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 383869-90-7 CAPLUS

CN Benzeneacetamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

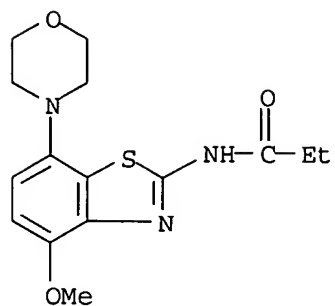


RN 383869-92-9 CAPLUS

CN Propanamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

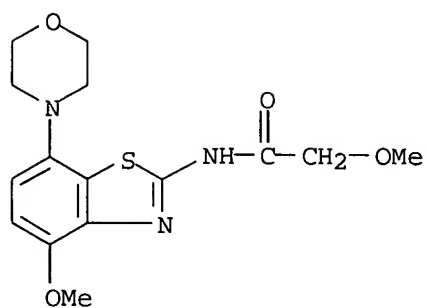
07/19/2005

10691770.trn



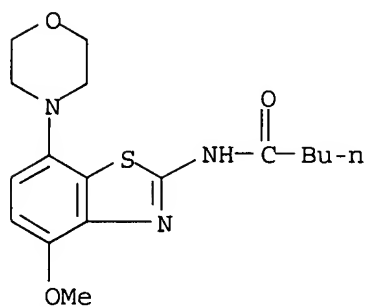
RN 383869-94-1 CAPLUS

CN Acetamide, 2-methoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-  
(9CI) (CA INDEX NAME)



RN 383869-96-3 CAPLUS

CN Pentanamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA  
INDEX NAME)

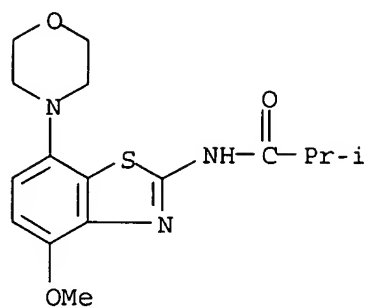


RN 383869-98-5 CAPLUS

CN Propanamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-methyl-  
(9CI) (CA INDEX NAME)

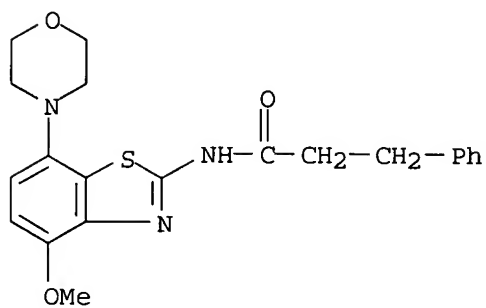
07/19/2005

10691770.trn



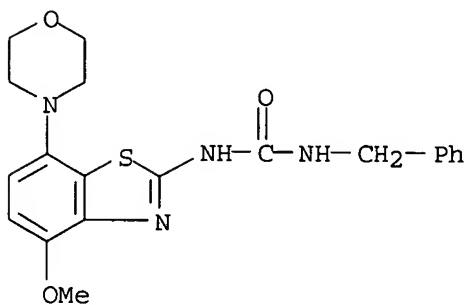
RN 383870-00-6 CAPLUS

CN Benzenepropanamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-  
(9CI) (CA INDEX NAME)



RN 383870-02-8 CAPLUS

CN Urea, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N'-(phenylmethyl)-  
(9CI) (CA INDEX NAME)

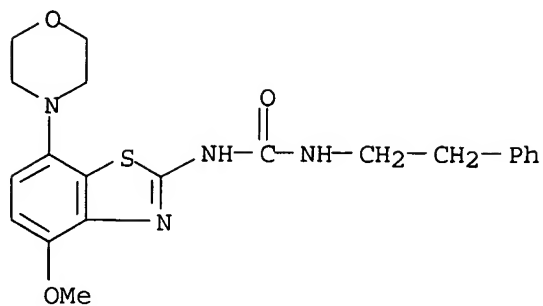


RN 383870-05-1 CAPLUS

CN Urea, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N'-(2-phenylethyl)-  
(9CI) (CA INDEX NAME)

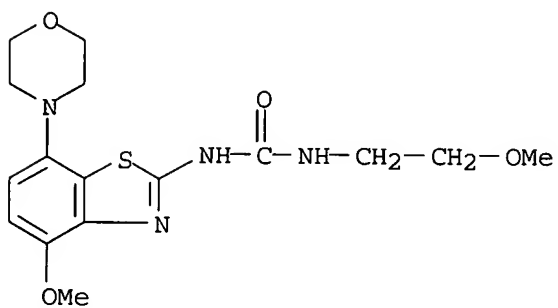
07/19/2005

10691770.trn



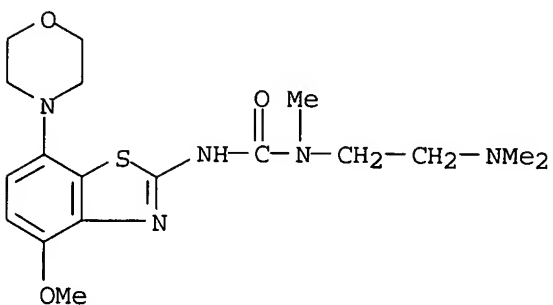
RN 383870-07-3 CAPLUS

CN Urea, N-(2-methoxyethyl)-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-  
(9CI) (CA INDEX NAME)



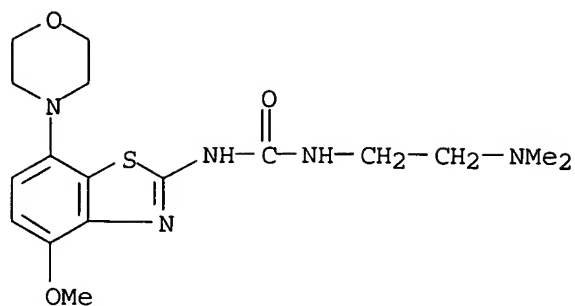
RN 383870-09-5 CAPLUS

CN Urea, N-[2-(dimethylamino)ethyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



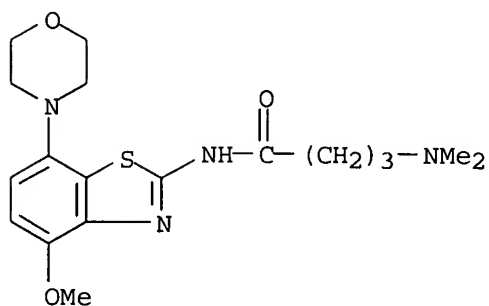
RN 383870-11-9 CAPLUS

CN Urea, N-[2-(dimethylamino)ethyl]-N'-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



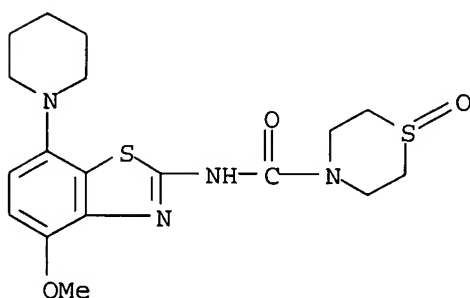
RN 383870-13-1 CAPLUS

CN Butanamide, 4-(dimethylamino)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383871-39-4 CAPLUS

CN 4-Thiomorpholinecarboxamide, N-[4-methoxy-7-(1-piperidinyl)-2-benzothiazolyl]-, 1-oxide (9CI) (CA INDEX NAME)

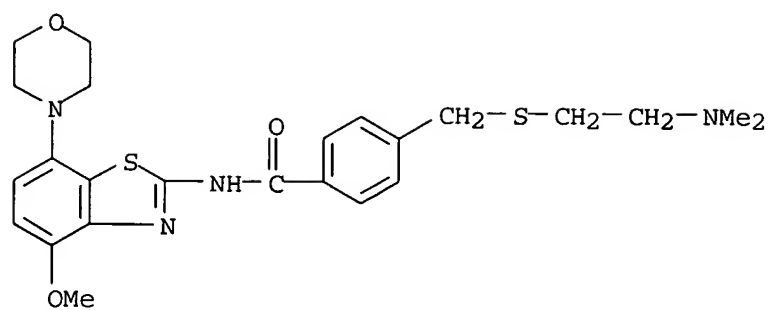


RN 383871-76-9 CAPLUS

CN Benzamide, 4-[[[2-(dimethylamino)ethyl]thio]methyl]-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

07/19/2005

10691770.trn



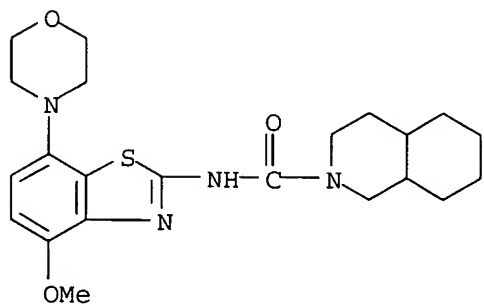
RN 383911-03-3 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, hexahydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

CM 1

CRN 383911-02-2

CMF C22 H30 N4 O3 S



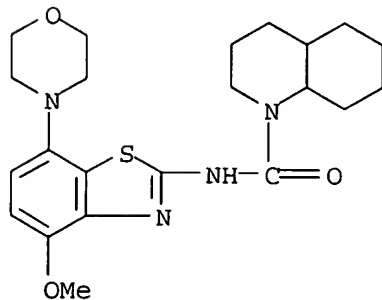
RN 383911-05-5 CAPLUS

CN 1(2H)-Quinolinecarboxamide, hexahydro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

CM 1

CRN 383911-04-4

CMF C22 H30 N4 O3 S

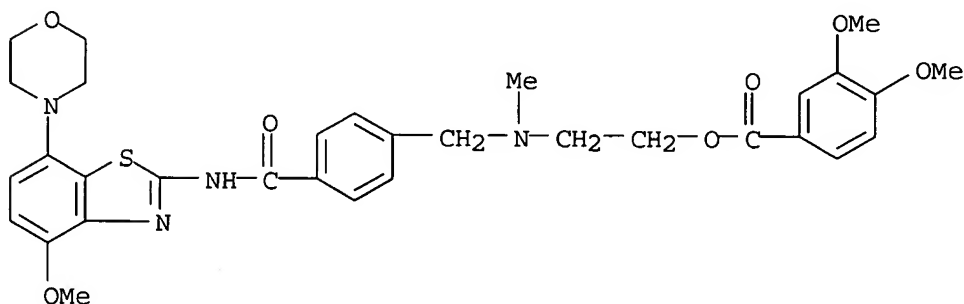


10691770.trn

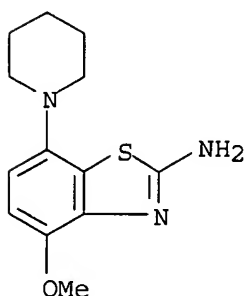
Page 187

09:12

IT 383866-26-0, 3,4-Dimethoxybenzoic acid 2-[N-[4-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamoyl]benzyl]-N-methylamino]ethyl ester 383869-46-3, 4-Methoxy-7-piperidin-1-yl-benzothiazol-2-ylamine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)  
 RN 383866-26-0 CAPLUS  
 CN Benzoic acid, 3,4-dimethoxy-, 2-[[[4-[[[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]amino]carbonyl]phenyl]methyl]methylamino]ethyl ester (9CI)  
 (CA INDEX NAME)



RN 383869-46-3 CAPLUS  
 CN 2-Benzothiazolamine, 4-methoxy-7-(1-piperidinyl)- (9CI) (CA INDEX NAME)

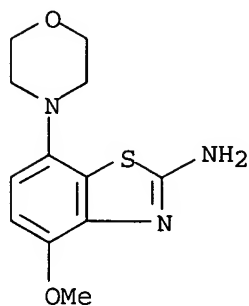


IT 383865-57-4P, 2-Amino-4-methoxy-7-(morpholin-4-yl)benzothiazole 383868-20-0P, 4-Benzyloxy-7-(morpholin-4-yl)benzothiazol-2-ylamine 383868-51-7P, N-(4-Benzyloxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-chloromethylbenzamide 383870-98-2P, 4-Chloromethyl-N-(4-hydroxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide 383871-01-0P, 4-(1-Bromoethyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide 383871-03-2P, 3-Chloromethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide 383871-04-3P, 4-Chloromethyl-3-fluoro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide 383871-06-5P, 4-Chloro-3-chloromethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)  
 RN 383865-57-4 CAPLUS



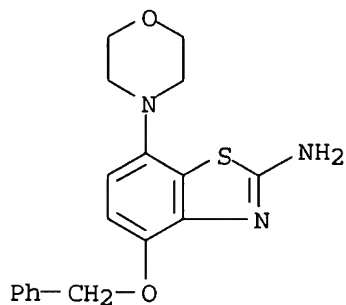
07/19/2005 10691770.trn

CN 2-Benzothiazolamine, 4-methoxy-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



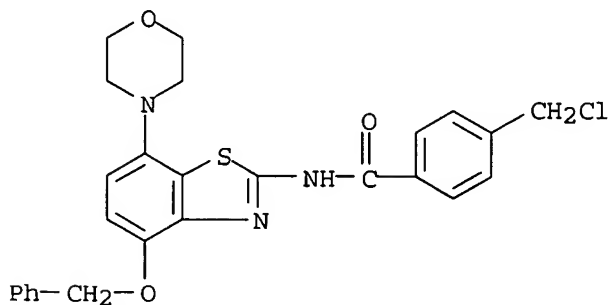
RN 383868-20-0 CAPLUS

CN 2-Benzothiazolamine, 7-(4-morpholinyl)-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 383868-51-7 CAPLUS

CN Benzamide, 4-(chloromethyl)-N-[7-(4-morpholinyl)-4-(phenylmethoxy)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

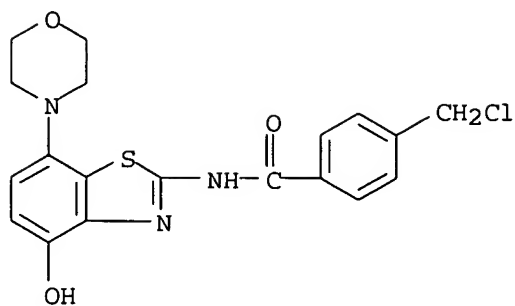


RN 383870-98-2 CAPLUS

CN Benzamide, 4-(chloromethyl)-N-[4-hydroxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

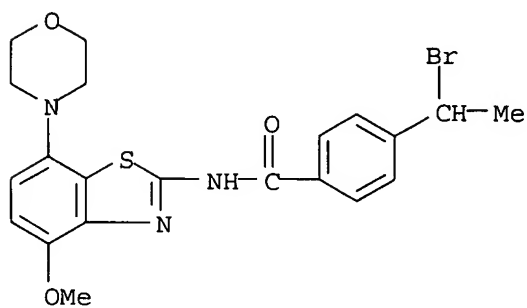
07/19/2005

10691770.trn



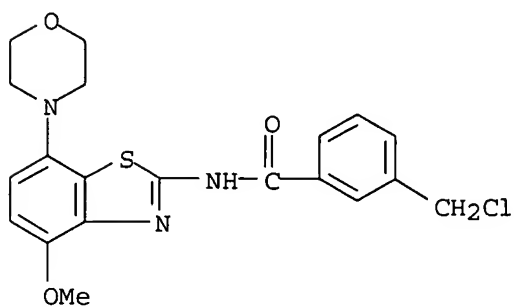
RN 383871-01-0 CAPLUS

CN Benzamide, 4-(1-bromoethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 383871-03-2 CAPLUS

CN Benzamide, 3-(chloromethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

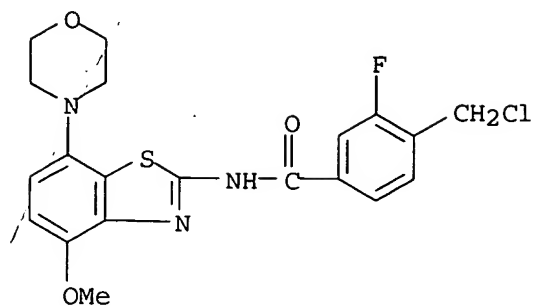


RN 383871-04-3 CAPLUS

CN Benzamide, 4-(chloromethyl)-3-fluoro-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

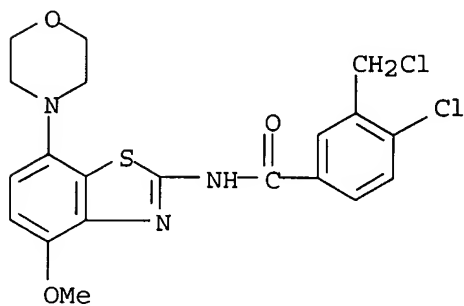
07/19/2005

10691770.trn



RN 383871-06-5 CAPLUS

CN Benzamide, 4-chloro-3-(chloromethyl)-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
72.40	574.08

SINCE FILE	TOTAL
ENTRY	SESSION
-10.22	-12.41

STN INTERNATIONAL LOGOFF AT 09:13:07 ON 19 JUL 2005